10/ 687,421

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NEWS 7	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS 8	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 9	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 11	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 12	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 13	JAN 30	Saved answer limit increased
NEWS 14	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA
NEWS 15	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 16	FEB 22	Status of current WO (PCT) information on STN
NEWS 17	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 18	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 19	FEB 27	New STN AnaVist pricing effective March 1, 2006

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:47:05 ON 28 FEB 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:47:18 ON 28 FEB 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 FEB 2006 HIGHEST RN 875402-35-0
DICTIONARY FILE UPDATES: 27 FEB 2006 HIGHEST RN 875402-35-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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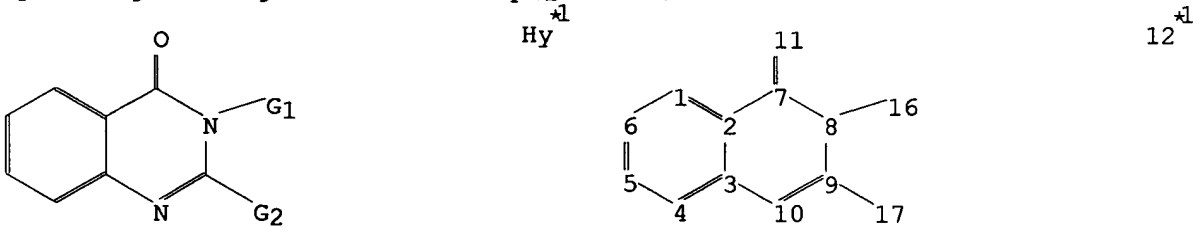
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10687421.str



chain nodes :
11 12 16 17

ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
7-11 8-16 9-17
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
2-7 3-10 7-8 7-11 8-9 8-16 9-10 9-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:C,O,S,N,[*1]

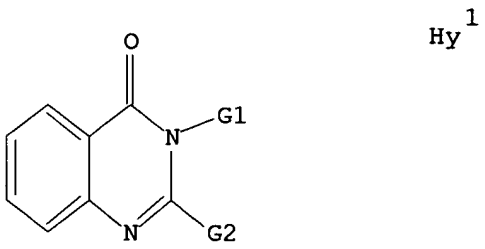
G2:C,O,S,N,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 16:CLASS 17:CLASS
Generic attributes :
12:
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : less than 2
Type of Ring System : Monocyclic

Element Count :
Node 12: Limited
C,C5
N,N1

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,O,S,N,[@1]

G2 C,O,S,N,Cy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sample
SAMPLE SEARCH INITIATED 16:47:39 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 44381 TO ITERATE

4.5% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 875046 TO 900194
PROJECTED ANSWERS: 44995 TO 50867

L2 50 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 16:47:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 892303 TO ITERATE

100.0% PROCESSED 892303 ITERATIONS 47693 ANSWERS
SEARCH TIME: 00.00.15

L3 47693 SEA SSS FUL L1

=> s l3 and (pyridin? or pyridyl)
1887738 PYRIDIN?
43695 PYRIDYL
L4 2043 L3 AND (PYRIDIN? OR PYRIDYL)

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 176.90 177.11

FILE 'HCAPLUS' ENTERED AT 16:48:42 ON 28 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 28 Feb 2006 VOL 144 ISS 10
FILE LAST UPDATED: 27 Feb 2006 (20060227/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d his

(FILE 'HOME' ENTERED AT 16:47:05 ON 28 FEB 2006)

FILE 'REGISTRY' ENTERED AT 16:47:18 ON 28 FEB 2006

10/ 687,421

```
L1              STRUCTURE UPLOADED
L2           50 S L1 SAMPLE
L3        47693 S L1 FULL
L4         2043 S L3 AND (PYRIDIN? OR PYRIDYL)

     FILE 'HCAPLUS' ENTERED AT 16:48:42 ON 28 FEB 2006

=> s l4/thu
           291 L4
        755953 THU/RL
L5         100 L4/THU
                 (L4 (L) THU/RL)

=> d l5 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 100 ANSWERS - CONTINUE? Y/(N):y
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L5 ANSWER 1 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

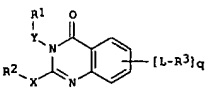
ACCESSION NUMBER: 2006:104528 HCAPLUS
TITLE: Preparation of quinazolinone derivatives useful for the regulation of glucose homeostasis and food intake
INVENTOR(S): Rudolph, Joachim; O'Connor, Stephen; Coish, Philip; Wickens, Philip; Bondar, Georgiy; Chuang, Chih-Yuan; Ramsden, Philip; Lowe, Derek; Bierer, Donald; Chen, Libing; Fu, Wenlang; Khire, Uday; Liu, Xiao-Gao; Mcclure, Andrea; Wang, Lei; Yi, Lin; Esler, William
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 559 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006012577	A2	20060202	WO 2005-US26192	20050722

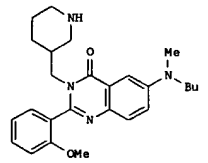
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-590804P P 20040722
GI

L5 ANSWER 1 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I



II

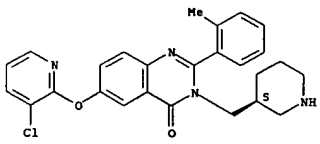
AB The invention is related to substituted quinazolinone derivs. I [R1 = (un)substituted pyrrolidin-3-yl, piperidin-3-yl, morpholin-4-yl, etc.; R2 = H, (un)substituted cyclo/alkyl, pyridinyl, Ph, etc.; R3 = H, halo, haloalkyl, (un)substituted Ph, alkyl, etc.; L = a bond, O, CO, S, SO2, NHSO2, NH and derivs., etc.; X = (CH2)m; m = 0-2; Y = (CH2)n; n = 1-2; p = 0-2; with provisos], and their pharmaceutically acceptable salts, and their compns., and methods for treating diabetes, obesity and related disorders, and regulation of glucose homeostasis and food intake (e.g., stimulation and suppression) (no data). The invention is also related to the preparation of quinazolinones I. Five biol. tests are given (no data). Thus, II•TFA was prepared by amination of 5-fluoro-2-nitrobenzoic acid with N-methylbutylamine, reduction of the nitro compound, cyclocondensation with o-anisoyl chloride, reaction with tert-Bu 3-(aminomethyl)piperidine-1-carboxylate (intermediate not isolated), and Boc-deprotection in the presence of TFA.

IT **875258-77-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of quinazolinones useful for regulation of glucose homeostasis and food intake)

RN 875258-77-8 HCAPLUS
CN 4(3H)-Quinazolinone, 6-[(3-chloro-2-pyridinyl)oxy]-2-(2-methylphenyl)-3-[(3S)-3-piperidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 1 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



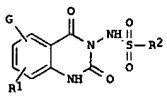
L5 ANSWER 2 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:101023 HCAPLUS
TITLE: Preparation of quinazoline derivatives as AMPA receptor antagonist and for the treatment or delay of progression of epilepsy or schizophrenia
INVENTOR(S): Allgeier, Hans; Froestl, Wolfgang; Koller, Manuel; Mattes, Henri; Nozulak, Joachim; Ofner, Silvio; Orain, David; Rasetti, Vittorio; Renaud, Johanne; Soldermann, Nicolas; Floersheim, Philipp
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

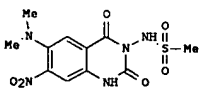
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010591	A2	20060202	WO 2005-EP8113	20050726

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2004-16730 A 20040727
GI



I



II

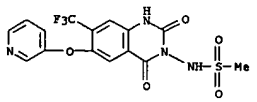
AB 1H-quinazoline-2,4-dione derivs. I, wherein G is NR3R4 or OR5, wherein R3-R5 are independently hydrogen, aryl, aralkyl, acyl, alkyl optionally substituted by aryl, heterocyclyl, aryloxy, aralkyloxy or alkoxycarbonylamino, or R3 and R4 together with the adjacent nitrogen atom

L5 ANSWER 2 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
form heteroaryl or heterocyclyl contg. at least one nitrogen ring atom and attached via this nitrogen ring atom, wherein heteroaryl and heterocyclyl are optionally substituted by aryl, aralkyl, aryloxyalkyl, aminocarbonylalkyl, mono- or dialkyl aminocarbonylalkyl or morpholinocarbonylalkyl, R1 is nitro or trifluoromethyl, and R2 is alkyl, aryl or aralkyl, and their salts, were prepd. as an AMPA receptor antagonist and for the treatment or delay of progression of epilepsy or schizophrenia. Title compds. were prepd. and used for the prevention, treatment or delay of progression of epilepsy or schizophrenia, neuropathic pain, affective and attention disorders, schizophrenia, tinnitus, myopia and other ocular disorders, multiple sclerosis, dementia. The invention provides a combination which comprises at least one compd. I ("AMPA receptor antagonist") and at least one compd. selected from the group consisting of lithium, valproic acid sodium salt, conventional antipsychotics, atypical antipsychotics, lamotrigine, Me phenidate, antidepressants and antiepileptics is greater than the additive effect of the combined drugs. Thus, quinazoline II was prepd. and tested as an antagonist at the rGluR3 AMPA receptor with an IC50 Of 2.3 μM.

IT **875154-77-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. as AMPA receptor antagonist and for the
treatment or delay of progression of epilepsy or schizophrenia)

RN 875154-77-1 HCAPLUS

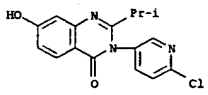
CN Methanesulfonamide, N-[1,4-dihydro-2,4-dioxo-6-(3-pyridinyloxy)-7-(trifluoromethyl)-3(2H)-quinazolinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of quinazolinone derivs. as vanilloid receptor antagonists)

RN 871814-73-2 HCAPLUS

CN 4(3H)-Quinazolinone, 3-(6-chloro-3-pyridinyl)-7-hydroxy-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

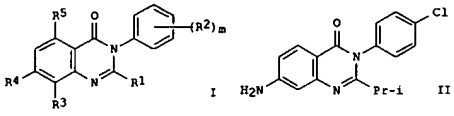
L5 ANSWER 3 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1331267 HCAPLUS
DOCUMENT NUMBER: 144:69846
TITLE: Preparation of quinazolinone derivatives as vanilloid receptor antagonists
INVENTOR(S): Ritchie, Timothy John; Culshaw, Andrew James; Brain, Christopher Thomas; Oziadulewicz, Edward Karol
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005120510	A1	20051222	WO 2005-EP6253	20050608

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2004-12769 A 20040608
GI



AB The present invention relates to the preparation of I [wherein R1 = (un)substituted alkyl, cycloalkyl, or amino; R2 = halo, alkyl, CN, etc.; R3 = H, halo, alkyl, alkenyl, alkynyl, etc; R4 = (un)substituted OH or amino; R5 = H or OH; m = 1 or 2] or pharmaceutically acceptable salts and their use as vanilloid receptor antagonists. For example, 2-(isobutyrylamino)-4-nitrobenzoic acid was reacted with 4-chloroaniline in toluene in the presence of PCl3 to give 3-(4-chlorophenyl)-2-isopropyl-7-nitro-4-quinazolinone. The compound obtained was reduced with iron powder in glacial acetic acid to give 7-amino-3-(4-chlorophenyl)-2-isopropyl-4-quinazolinone (II). A formulation containing I as an active ingredient was also described.

IT **871814-73-2P**

L5 ANSWER 4 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1329696 HCAPLUS
DOCUMENT NUMBER: 144:45525
TITLE: Methods for treating mast cell disorders
INVENTOR(S): Hayflick, Joel S.; Pefaur, Noah; Puri, Kamal D.; Tino, William
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005120511	A1	20051222	WO 2005-US19558	20050604

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

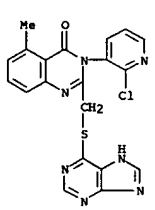
PRIORITY APPLN. INFO.: US 2004-576947P P 20040604

AB The invention provides methods of inhibiting mast cell activity by administering a selective inhibitor of phosphoinositide 3-kinase delta (PI3Kδ). The invention also provides methods for treating or preventing a condition associated with undesirable mast cell activity in an individual comprising administering an effective amount of a selective PI3Kδ inhibitor.

IT **371243-02-6**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(methods for treating mast cell disorders)

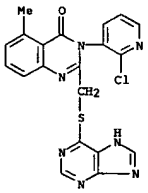
RN 371243-02-6 HCAPLUS

CN 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-5-methyl-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1313884 HCAPLUS
DOCUMENT NUMBER: 144:32213
TITLE: Methods using phosphoinositide 3-kinase δ inhibitors for treating and/or preventing aberrant proliferation of hematopoietic cells
INVENTOR(S): Hayflick, Joel S.; Bouscary, Didier; Lacombe, Catherine; Mayeux, Patrick
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005117889	A1	20051215	WO 2004-US37860	20041112

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-574481P P 20040525
US 2004-578683P P 20040609

AB The invention discloses methods for treating and/or preventing aberrant proliferation of hematopoietic cells. More particularly, the invention discloses methods for treating and/or preventing aberrant proliferation of hematopoietic cells comprising selectively inhibiting phosphoinositide 3-kinase δ (PI3Kδ) activity in hematopoietic cells. The methods may be used to treat any indication involving aberrant proliferation of hematopoietic cells.

IT **371243-02-6**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(phosphoinositide 3-kinase δ inhibitors for treating and/or preventing aberrant proliferation of hematopoietic cells)

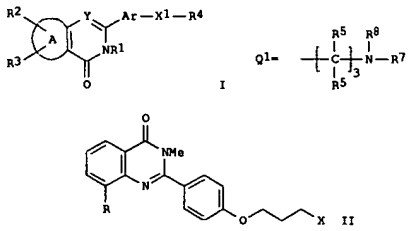
RN 371243-02-6 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-5-methyl-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1288664 HCAPLUS
DOCUMENT NUMBER: 144:36366
TITLE: Preparation of quinazoline derivatives as histamine H3 receptor antagonists
INVENTOR(S): Mizutani, Takashi; Nagase, Tsuyoshi; Sato, Nagaaki; Kanatani, Akio; Tokita, Shigeru
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 233 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115993	A1	20051208	WO 2005-JP10291	20050530

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-162459 A 20040531
OTHER SOURCE(S): MARPAT 144:36366
GI



I

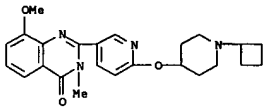
II

AB Title compds. I [R1 = aryl, aralkyl, alkoxy, etc.; further details on R1 are given.; R2, R3 = H, amino, alkylamino, etc.; R4 = Q1, etc.; R5 = H, alkyl, hydroxy, etc.; R7, R8 = alkyl, arylalkyl, heteroarylalkyl, with the proviso that R7 and R8 are not alkyl simultaneously; X1 = NH, O, S; Y = N, C; Ar = optionally substituted aryl, heteroaryl with alkyl, alkoxy, halo;

L5 ANSWER 6 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
ring A = Ph, heteroaryl contg. N, O] were prepd. For example, reaction of 2-(4-hydroxyphenyl)-3,8-dimethyl-4(3H)-quinazolinone, e.g., prepd. from 3-methyl-2-aminobenzoic acid in 3 steps, with 1-chloro-3-bromopropane and K2CO3 followed by in-situ treatment with piperidine afforded compd. II [R = methyl; X = piperidin-1-yl]. In histamine analog binding inhibition assays, the IC50 value of compd. II [R = H; X = pyrrolidin-1-yl] was 0.68 nM. Compds. I are claimed useful for the treatment of diabetes, obesity, etc.

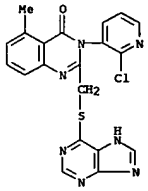
IT **870996-75-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. as histamine H3 antagonists for treatment of obesity, diabetes, etc.)

RN 870996-75-1 HCAPLUS
CN 4(3H)-Quinazolinone, 2-[6-[(1-cyclobutyl-4-piperidinyl)oxy]-3-pyridinyl]-8-methoxy-3-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1262655 HCAPLUS
DOCUMENT NUMBER: 144:612
TITLE: Phosphoinositide 3-kinase δ selective inhibitors for inhibiting angiogenesis
INVENTOR(S): Hallahan, Dennis; Hayflick, Joel S.; Sadhu, Chanchal
PATENT ASSIGNEE(S): Vanderbilt University, USA; Icos Corporation
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005112935	A1	20051201	WO 2004-US29561	20040909
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2004-570688P	P 20040513

OTHER SOURCE(S): MARPAT 144:612
AB The invention discloses methods for inhibiting angiogenesis. The methods comprise selectively inhibiting phosphoinositide 3-kinase delta (PI3Kδ) activity in endothelial cells. The methods may comprise administration of one or more cytotoxic therapies including but not limited to radiation, chemotherapeutic agents, photodynamic therapies, radiofrequency ablation, anti-angiogenic agents, and combinations thereof. Inhibitors of the invention include quinazolin-4-one derivs.

IT **371243-02-6**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(phosphoinositide 3-kinase δ inhibitors for angiogenesis inhibition)

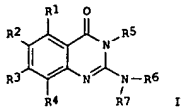
RN 371243-02-6 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-5-methyl-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1240775 HCAPLUS
DOCUMENT NUMBER: 144:17202
TITLE: Novel 2-amino-4-quinazolinones and 2-amino-4-oxoquinazolones as LXR (liver X receptor) nuclear receptor binding compounds with partial agonistic properties
INVENTOR(S): Deuschle, Ulrich; Loebbert, Ralph; Blume, Beatrix; Koegl, Manfred; Kremoser, Claus; Kober, Ingo; Bauer, Ulrike; Hermann, Kristina; Albers, Michael
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261319	A1	20051124	US 2005-76163	20050309
EP 1407774	A1	20040414	EP 2002-20255	20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CA 2498655	AA	20040325	CA 2003-2498655	20030702
WO 2004024162	A1	20040325	WO 2003-EP7067	20030702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003296861	A1	20040430	AU 2003-296861	20030702
JP 2006502169	T2	20060119	JP 2004-535046	20030702
WO 2004024161	A1	20040325	WO 2003-EP10036	20030910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003271595	A1	20040430	AU 2003-271595	20030910
EP 1536799	A1	20050608	EP 2003-753402	20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			EP 2002-20255	A 20020910
			WO 2003-EP7067	A2 20030702
			WO 2003-EP10036	A2 20030910

OTHER SOURCE(S): MARPAT 144:17202
GI

L5 ANSWER 8 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



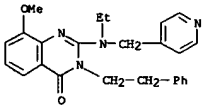
I

AB The present invention relates to compds. according to the general formula (I) wherein R1, R2, R3 and/or R4, are independently from each other selected from H, halogen, hydroxy, protected hydroxy, cyano, nitro, C1 to C6 alkyl, C1 to C6 substituted alkyl, C1 to C7 alkoxy, C1 to C7 substituted alkoxy, C1 to C7 acyl, C1 to C7 substituted acyl, C1 to C7 acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, (monosubstituted) amino, protected (monosubstituted) amino, (disubstituted) amino, carboxamide, protected carboxamide, N-(C1 to C6 alkyl)carboxamide, protected N-(C1 to C6 alkyl)carboxamide, N,N-di(C1 to C6 alkyl)carboxamide, trifluoromethyl, N-[(C1 to C6 alkyl)sulfonyl]amino, N-(phenylsulfonyl)amino or substituted or unsubstituted phenyl; R5 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, R6 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, R7 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, and R6 and R7 may be taken together with nitrogen to form a heterocycle or substituted heterocycle or a heteroaryl or substituted heteroaryl ring. I bind to the LXR receptors and act as agonists and antagonists of the LXR receptors. The invention further relates to the treatment of diseases and/or conditions through binding of said nuclear receptor by said compds. and the production of medicaments using said compds.

IT **307956-46-3**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(novel 2-aminoquinazolinones and 2-aminooxoquinazolones as LXR nuclear receptor binding compds. with partial agonistic properties for treatment of diseases)

RN 307956-46-3 HCAPLUS

CN 4(3H)-Quinazolinone, 2-[ethyl(4-pyridinylmethyl)amino]-8-methoxy-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

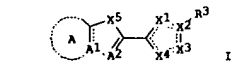
L5 ANSWER 9 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1004722 HCAPLUS
DOCUMENT NUMBER: 143:306320
TITLE: Preparation of diaryl-substituted triazole derivatives as mGluR1 inhibitors
INVENTOR(S): Kawamoto, Hiroshi; Ito, Satoru; Satoh, Atsushi; Nagatomi, Yasushi; Hirata, Yukari; Kimura, Toshifumi; Suzuki, Gentaroh; Sato, Akio; Ohta, Hisashi
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd, Japan
SOURCE: PCT Int. Appl., 323 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085214	A1	20050915	WO 2005-JP4379	20050307

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-63243 A 20040305
OTHER SOURCE(S): MARPAT 143:306320
GI



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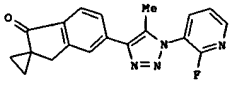


II

AB Title compds. represented by the formula I [wherein X1 = O, N or CR2; X2-X4, A1 = independently N or C; X5 = S or A4:A3; A2-A4 = independently CR4 or N; ring A = (hetero)cyclyl or (hetero)aryl; R2 = H, alkyl, cyano, alkyloxy(carbonyl) or trialkylsilyl; R4 = H, halo, alkyl(oxy), etc.; R3 = halo, alkyl(oxy), cyano, etc.; and pharmaceutically acceptable salts thereof] were prepared as mGluR1 (metabotropic Glutamate receptor 1) inhibitors. For example, II was given in a multi-step synthesis starting from 5-bromoindanone. II showed inhibition of mGluR1a with an IC50 value of 2.3 nM. Thus, I are useful for the prevention or treatment of convulsion, acute pains, inflammatory pains, chronic pains, brain

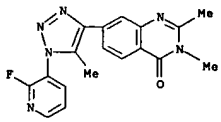
L5 ANSWER 9 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

disorders such as brain infarction or transient cerebral ischemic attack, mental function disorders such as schizophrenia, anxiety, drug dependence, Parkinson's disease, or gastrointestinal disorders (no data).

IT **864864-45-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diaryl-substituted triazole derivs. as mGluR1 inhibitors)

RN 864864-45-9 HCAPLUS

CN 4(3H)-Quinazolinone, 7-(1-(2-fluoro-3-pyridinyl)-5-methyl-1H-1,2,3-triazol-4-yl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

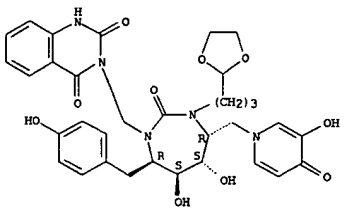
L5 ANSWER 10 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:778005 HCAPLUS
DOCUMENT NUMBER: 143:338952
TITLE: Combinatorial design of nonsymmetrical cyclic urea inhibitors of aspartic protease of HIV-1
AUTHOR(S): Frecer, Vladimir; Burello, Enrico; Miertus, Stanislav
CORPORATE SOURCE: UNIDO, International Centre for Science and High Technology, Trieste, I-34012, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(18), 5492-5501
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The aspartic protease (PR) of the human immunodeficiency virus type 1 (HIV-1) is an important target for the design of specific antiviral agents dedicated to treatment of HIV-1 infection. We have employed computer-assisted combinatorial chemical methods to design a small focused virtual library of nonsym. substituted cyclic urea inhibitors of the PR. Nonsym. compds. with decreased peptidic character were namely found to inhibit the PR with comparable inhibition potencies as their C2-pseudosym. counterparts and to possess superior pharmacokinetic properties. To generate the virtual library of fully nonsym. cyclic urea analogs, diverse reagents were selected from databases of available chems. with characteristics similar to those of the building blocks of known potent PR inhibitors. The X-ray structure of the protease-inhibitor complex PR-XV-638 was used as the receptor model in the structure-based focusing and in silico screening of the virtual library. A target-specific LUDI-type scoring function, parameterized for a QSAR training set of known cyclic urea inhibitors and validated on a set of compds. not included into the training set, was used to predict the inhibition consts. (Ki) of the generated analogs toward the HIV-1 PR. The fragments most frequently occurring in the analogs with the highest predicted inhibition potencies (K*i < 10 pM) were then selected to constitute a highly focused library subset containing novel nonsym. cyclic ureas with predicted K*is 1 order of magnitude lower than the most potent known cyclic urea inhibitors. ADME properties calculated for the most promising analogs suggested that the cyclic
urea are endowed with a wide range of favorable pharmacokinetic properties, which may favor the discovery of a potent orally administrable antiviral drug.

IT **865777-94-2**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(Combinatorial design of nonsym. cyclic urea inhibitors of aspartic protease of HIV-1)
RN 865777-94-2 HCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[[(4R,5S,6S,7R)-3-[3-(1,3-dioxolan-2-yl)propyl]hexahydro-5,6-dihydroxy-4-[(3-hydroxy-4-oxo-1(4H)-pyridinyl)methyl]-7-[(4-hydroxyphenyl)methyl]-2-oxo-1H-1,3-diazepin-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 10 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

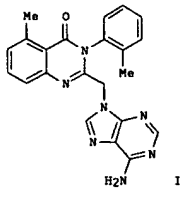


REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:673090 HCAPLUS
DOCUMENT NUMBER: 143:166655
TITLE: Phosphoinositide 3-kinase δ-selective inhibitors for treating and preventing hypertension and hypertension-related disorders
INVENTOR(S): Watts, Stephanie W.; Northcott, Carrie A.
PATENT ASSIGNEE(S): Michigan State University, USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005067901	A2	20050728	WO 2005-US677	20050107
WO 2005067901	A3	20051201		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005239809	A1	20051027	US 2005-31477	20050107
PRIORITY APPLN. INFO.:			US 2004-535412P	P 20040108
			US 2004-547107P	P 20040224
			US 2004-548620P	P 20040227

OTHER SOURCE(S): MARPAT 143:166655
GI



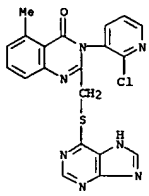
I

AB The present invention is based on the discovery that the δ isoform of phosphoinositide 3-kinase (PI-3-K) plays a role in arterial spontaneous tone, and specifically the p110δ subunit in the mesenteric resistance arteries. The data emphasize the critical importance of the p110δ subunit of PI-3-K to the development of hypertension and

L5 ANSWER 11 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

hypertension-related conditions by showing that it is localized to the vascular smooth muscle cells, up-regulated in both activity and expression, and pharmacol. responsive to specific inhibitors as evidence by changes in spontaneous tone. Thus, compds. that selectively inhibit phosphoinositide 3-kinase (PI-3-K) p110δ expression activity can be used to treat hypertension and hypertension-related disorders. Inhibitors of expression include ribozymes, antisense oligonucleotides, and siRNA, while inhibitors of activity may include aptamers and small mols. In particular, 2-(6-aminopurin-9-ylmethyl)-5-methyl-3-o-tolyl-3H-quinazolin-4-one (I) is provided as a selective PI-3-Kδ inhibitor for the treatment of hypertension.

IT **371243-02-6**
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(phosphoinositide 3-kinase δ-selective inhibitors for treating and preventing hypertension and hypertension-related disorders)
RN 371243-02-6 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-5-methyl-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:490357 HCAPLUS
DOCUMENT NUMBER: 143:43896
TITLE: Preparation of quinazolinone compounds as anticancer agents
INVENTOR(S): Wang, Weibo; Lagniton, Liana M.; Constantine, Ryan N.; Desai, Manoj C.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051922	A1	20050609	WO 2004-US39448	20041124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005209254	A1	20050922	US 2004-996814	20041124
PRIORITY APPLN. INFO.:			US 2003-525059P	P 20031125
OTHER SOURCE(S):	MARPAT 143:43896			

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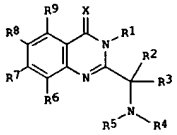
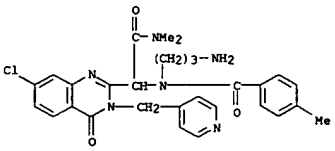


AB Title compds. I [X = O, S; R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R3 = CO2R10, COR10, CONR11R12, etc.; R10, R11, R12 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R4 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R5 = H, (un)substituted alkyl, (un)substituted alkoxy, etc.; R6, R7, R8, R9 = H, halo, hydroxy, etc.] and their pharmaceutically acceptable salts were prepared For example, 4-methylbenzoylation of compound I [X = O; R1 = benzyl;

L5 ANSWER 12 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
R2 = H; R3 = CONMe2; R4 = 3-(tert-butoxycarbonylamino)propyl; R5 = H; R7 = Cl; R6 = R8 = R9 = H], e.g., prepd. from 2-amino-4-chlorobenzoic acid in 4 steps, followed by treatment with trifluoroacetic acid afforded compd. I [X = O; R1 = benzyl; R2 = H; R3 = CONMe2; R4 = 3-aminopropyl; R5 = 4-methylbenzoyl; R7 = Cl; R6 = R8 = R9 = H]. Compds. I are claimed useful as KSP (kinesin spindle protein) inhibitors for the treatment of cancer.

IT **853303-11-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone compds. as KSP inhibitors for treatment of cancer)

RN 853303-11-4 HCAPLUS
CN 2-Quinazolineacetamide, α-[(3-aminopropyl)(4-methylbenzoyl)amino]-7-chloro-3,4-dihydro-N,N-dimethyl-4-oxo-3-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:490293 HCAPLUS
DOCUMENT NUMBER: 143:43903
TITLE: Preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation
INVENTOR(S): Boyce, Rustum S.; Speake, Jason D.; Phillips, James
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051391	A1	20050609	WO 2004-US39020	20041119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005192297	A1	20050901	US 2004-993147	20041119
PRIORITY APPLN. INFO.:			US 2003-523336P	P 20031119
			US 2003-524492P	P 20031124
OTHER SOURCE(S):	MARPAT 143:43903			

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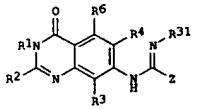

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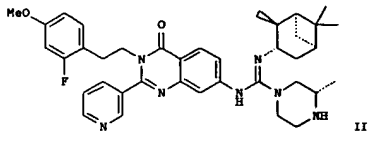


II

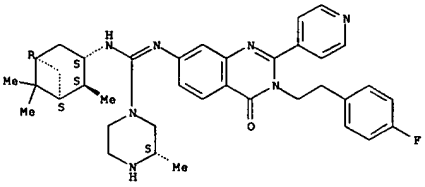
AB Title compds. [I; R1 = (substituted) aralkyl, heteroarylalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl,

L5 ANSWER 13 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
alkynyl, alkyl; R2 = H, (substituted) aralkyl, heteroarylalkyl, alkoxy, alkylamino, dialkylamino, aryl, heteroaryl, heterocyclyl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3, R4, R6 = H, Cl, F, Br, iodo, OH, NH2, cyano, NO2, (substituted) alkoxy, alkyl; R31 = H, (substituted) alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl; Z = (substituted) 3-oxopiperazinyl; and tautomers], were prepd. Thus, title compd. (II) (prepn. via coupling of 6-methylpiperazin-2-one with the corresponding quinazolinylthiourea deriv. in the presence of polymer-supported carbodiimide) showed a plasma half life of 1.9 h in mice.

IT **628689-73-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation)

RN 628689-73-6 HCAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(4-fluorophenyl)ethyl]-3,4-dihydro-4-oxo-2-(4-pyridinyl)-7-quinazolinyl]-3-methyl-N'-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



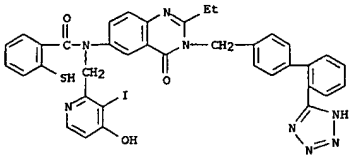
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:480040 HCAPLUS
DOCUMENT NUMBER: 143:90225
TITLE: Pharmacophore, Drug Metabolism, and Pharmacokinetics Models on Non-Peptide AT1, AT2, and AT1/AT2 Angiotensin II Receptor Antagonists
AUTHOR(S): Berellini, Giuliano; Cruciani, Gabriele; Mannhold, Raimund
CORPORATE SOURCE: Laboratory for Chemometrics and Cheminformatics, Department of Chemistry, University of Perugia, Perugia, I-06123, Italy
SOURCE: Journal of Medicinal Chemistry (2005), 48(13), 4389-4399
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB About 20 nonpeptide angiotensin II receptor antagonists are in various stages of clin. development. Different modeling approaches were used to predict the pharmacophoric requirements for AT1 (angiotensin II receptor subtype 1) affinity. However, to our knowledge, none was used to predict both the selectivity toward AT1 and AT2 (angiotensin II receptor subtype 2) receptor subtypes. In this paper, partial least squares discriminant anal. is applied to derive the chemical features guiding AT1 and AT2 selectivity or mixed AT1/AT2 receptor binding. The method can be used to modulate AT1 vs. AT2 selectivity. Concerns that unopposed stimulation of the AT2 receptor might produce adverse effects initiated a search for new balanced antagonists. Moreover, it can serve as a fast filtering procedure in database searches. Finally, some relevant pharmacokinetics and metabolic properties of the database of 53 compds. are calculated using the VolSurf and MetaSite software to allow the simultaneous characterization of pharmacodynamic and pharmacokinetics properties of the chemical space of angiotensin II receptor antagonists.

IT 162327-06-2
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacophore, drug metabolism, and pharmacokinetics models on non-peptide AT1, AT2, and AT1/AT2 angiotensin II receptor antagonists)
RN 162327-06-2 HCAPLUS
CN Benzamide, N-[2-ethyl-3,4-dihydro-4-oxo-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl]-N-[(4-hydroxy-3-iodo-2-pyridinyl)methyl]-2-mercapto- (9CI) (CA INDEX NAME)

L5 ANSWER 14 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

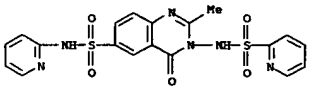


REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:447845 HCAPLUS
DOCUMENT NUMBER: 143:125824
TITLE: A Virtual Screening Approach for Thymidine Monophosphate Kinase Inhibitors as Antitubercular Agents Based on Docking and Pharmacophore Models
AUTHOR(S): Gopalakrishnan, B.; Aparna, V.; Jeevan, J.; Ravi, M.; Desiraju, G. R.
CORPORATE SOURCE: Bioinformatics Division, Advanced Technology Centre, TATA Consultancy Services Limited, Hyderabad, 500 081, India
SOURCE: Journal of Chemical Information and Modeling (2005), 45(4), 1101-1108
CODEN: JCISD8; ISSN: 1549-9596
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Docking and pharmacophore screening tools were used to examine the binding of ligands in the active site of thymidine monophosphate kinase of Mycobacterium tuberculosis. Docking anal. of deoxythymidine monophosphate (dTMP) analogs suggests the role of hydrogen bonding and other weak interactions in enzyme selectivity. Water-mediated hydrogen-bond networks and a halogen-bond interaction seem to stabilize the mol. recognition. A pharmacophore model was developed using 20 dTMP analogs. The pharmacophoric features were complementary to the active site residues involved in the ligand recognition. On the basis of these studies, a composite screening model that combines the features from both the docking anal. and the pharmacophore model was developed. The composite model was validated by screening a database spiked with 47 known inhibitors. The model picked up 42 of these, giving an enrichment factor of 17. The validated model was used to successfully screen an inhouse database of about 500,000 compds. Subsequent screening with other filters gave 186 hit mols.

IT 858681-09-1, NSC 691813
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virtual screening approach for thymidine monophosphate kinase inhibitors as antitubercular agents based on docking and pharmacophore models)
RN 858681-09-1 HCAPLUS
CN 6-Quinazolinesulfonamide, 3,4-dihydro-2-methyl-4-oxo-N-2-pyridinyl-3-[(2-pyridinylsulfonyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:324009 HCAPLUS
DOCUMENT NUMBER: 142:392426
TITLE: Preparation of 2,4-dioxo-3-quinazolinylaryl sulfonylureas for treating thrombosis and thrombosis related conditions or disorders
INVENTOR(S): Scarborough, Robert M.; Huang, Wolin; Pandey, Anjali; Bauer, Shawn M.; Zhang, Xiaoming; Jia, Zhaozhong J.
PATENT ASSIGNEE(S): Portola Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005032488	A2	20050414	WO 2004-US32921	20040929
WO 2005032488	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005107357	A1	20050519	US 2004-956004	20040929
PRIORITY APPLN. INFO.:			US 2003-508564P	P 20031003
OTHER SOURCE(S):	MARPAT 142:392426			

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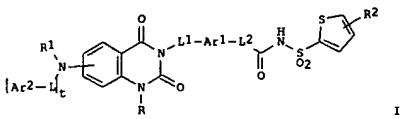
L5 ANSWER 16 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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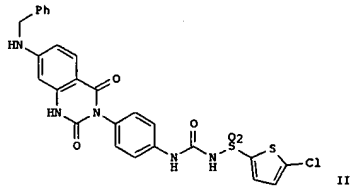


II

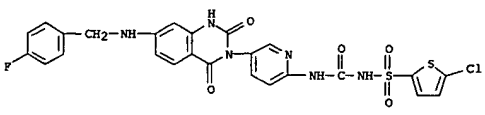
AB The title compds. I [R = H, alkyl; R1 = H, alkyl, haloalkyl, etc.; R2 = H, halo, alkyl, etc.; L = CH2, CH(Me), CH2CH2, CH2CH(Me), (CH2)3; L1 = a bond, CH2; L2 = a bond, NH, CH2; Ar1 = (un)substituted benzene, pyridine, pyrimidine; Ar2 = (un)substituted 5-6 membered monocyclic or 9-10 membered fused-bicyclic aromatic ring system optionally having from 1-3 heteroatoms; t = 0 or 1 when L2 = a bond, and t = 1 when L2 = NH or CH2] which are useful for the inhibition of ADP-dependent platelet aggregation, particularly in the treatment of thrombosis and thrombosis related conditions or disorders, were prepared E.g., a multi-step synthesis of II, starting from Me 4-tert-butoxycarbonylamino-2-nitrobenzoate and benzyl bromide, was given. The compound II showed IC50 of < 10 μM in the PRP assay. The pharmaceutical composition comprising the compound I is disclosed.

IT **849792-90-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinylaryl sulfonylureas for treating thrombosis and thrombosis related conditions or disorders)

RN 849792-90-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[[[5-[7-[[(4-fluorophenyl)methyl]amino]-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl]-2-pyridinyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 16 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



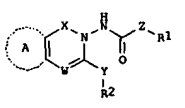
L5 ANSWER 17 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:238744 HCAPLUS
DOCUMENT NUMBER: 142:316851
TITLE: Preparation of fused ring heterocycles as potassium channel modulators
INVENTOR(S): McNaughton-Smith, Grant Andrew; Amato, George Salvatore; Thomas, James Barnwell
PATENT ASSIGNEE(S): Icagen, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 39 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

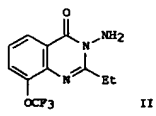
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005059823	A1	20050317	US 2004-937958	20040910
WO 2005025293	A2	20050324	WO 2004-US29868	20040910
WO 2005025293	A3	20050616		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-502109P P 20030910
OTHER SOURCE(S): MARPAT 142:316851
GI



I



II

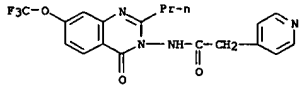
AB Compds. I [A = (un)substituted 5-6 membered (hetero)aryl, cycloalkyl, 5-8 membered heteroaryl; X = CO, CS, SO2; W = N, CR3 (wherein R3 = H, F, (un)substituted (hetero)acyl, etc.); Z = a bond, CH2, CHF, CH:CH, etc.; Y = (CR5R6)n (n = 0-4; R5, R6 = H, F, (un)substituted (hetero)aryl, etc.); R1 = (un)substituted (hetero)aryl, cycloalkyl, 5-7 membered heterocyclyl, alkyl; R2 = CF3, (un)substituted alkyl, (hetero)aryl, cycloalkyl, 3-7 membered heterocyclyl], compns. and methods are provided which are useful in the treatment of diseases through the modulation of potassium ion flux through voltage-dependent potassium channels. More particularly, the invention provides quinazolinones, compns. and methods that are useful in the treatment of central or peripheral nervous system disorders (e.g., migraine, ataxia, Parkinson's disease, bipolar disorders, trigeminal neuralgia, spasticity, mood disorders, brain tumors, psychotic disorders, myokymia, seizures, epilepsy, hearing and vision loss, Alzheimer's disease, age-related memory loss, learning deficiencies, anxiety and motor neuron diseases, maintaining bladder control or treating urinary incontinence) and as neuroprotective agents (e.g., to prevent stroke and the like) by modulating potassium channels assocd. with the onset or recurrence of the indicated conditions. E.g., a multi-step synthesis of II, starting from 2-trifluoromethoxyaniline, was given. The compd. II and analogs were subsequently coupled with isocyanates and carboxylic acids to provide the compds. I such as 1-(2-cyclohexyl-4-oxo-4H-quinazolin-3-yl)-3-(2-fluorobenzyl)urea. The representative compds. I were tested for the ability to open voltage-gated potassium channels in the NG-108-15 FLIPR assay (data given for selected compds. I).

L5 ANSWER 17 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT **848026-91-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as potassium channel modulators)

RN 848026-91-5 HCAPLUS

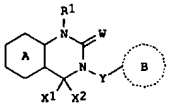
CN 4-Pyridineacetamide, N-[4-oxo-2-propyl-7-(trifluoromethoxy)-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:182640 HCAPLUS
DOCUMENT NUMBER: 142:280220
TITLE: Preparation of quinazoline-2,4(1H,3H)-dione derivatives as gonadotropin-releasing hormone antagonists
INVENTOR(S): Hamamura, Kazumasa; Oda, Tsuneo; Kusaka, Masami; Kanzaki, Naoyuki
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 541 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019188	A1	20050303	WO 2004-JP12322	20040820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005097276	A2	20050414	JP 2004-241721	20040820
PRIORITY APPLN. INFO.:			JP 2003-298637 A	20030822
OTHER SOURCE(S):	MARPAT 142:280220			

GI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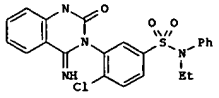
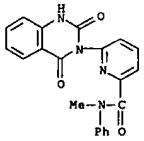

II

AB The title quinazoline-2,4(1H,3H)-dione derivs. I [wherein R1 = H or (un)substituted hydrocarbyl; ring A = (un)substituted aromatic 6-membered ring; ring B = (un)substituted (hetero)cyclyl; W = O or S; X1 and X2 = independently H, (un)substituted hydrocarbyl, or heterocyclyl; or X1 and X2 together form =O, =S, or (un)substituted =NH; Y = a bond or (un)substituted alkylene], or salts or prodrugs thereof are prepared as gonadotropin-releasing hormone antagonists. For example, the compound II was prepared in a multi-step synthesis. I inhibited 75.4-99.9% of human gonadotropin releasing hormone at the concentration of 10 nM. I are useful for
the treatment of prostatic hyperplasia, hysteromyoma, endometriosis,

L5 ANSWER 18 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
uterus fibroma, etc. (no data). Formulations contg. I as an active ingredient were also described.

IT **847168-35-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazoline-2,4(1H,3H)-dione derivs. as gonadotropin-releasing hormone antagonists)

RN 847168-35-8 HCAPLUS
CN 2-Pyridinecarboxamide, 6-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:160815 HCAPLUS
DOCUMENT NUMBER: 142:233323
TITLE: Methods of inhibiting immune responses stimulated by an endogenous factor by administering phosphoinositide 3-kinase δ selective inhibitors
INVENTOR(S): Douangpanya, Jason; Hayflick, Joel S.; Puri, Kamal D.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

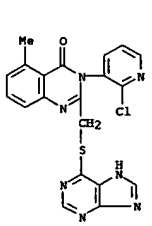
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043239	A1	20050224	US 2004-918803	20040813
PRIORITY APPLN. INFO.:			US 2003-495370P P	20030814
			US 2004-540090P P	20040128

OTHER SOURCE(S): MARPAT 142:233323

AB The present invention relates generally to phosphoinositide 3-kinases (PI3Ks), and more particularly to methods of inhibiting undesirable immune responses without inhibiting desired immune responses. In one embodiment, the invention provides methods of inhibiting an endogenous immune response stimulated by at least one endogenous factor without substantially inhibiting an exogenous immune response stimulated by at least one exogenous factor comprising administering an amount of a phosphoinositide 3-kinase delta (PI3Kδ) selective inhibitor effective to inhibit the endogenous immune response stimulated by endogenous factor without substantially inhibiting the exogenous immune response stimulated by the at least one exogenous factor.

IT **371243-02-6**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(as PI3Kδ selective inhibitor; phosphoinositide 3-kinase δ selective inhibitors for inhibiting immune responses stimulated by endogenous factor)

RN 371243-02-6 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-5-methyl-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 20 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:158543 HCAPLUS
DOCUMENT NUMBER: 142:233321
TITLE: Methods of inhibiting leukocyte accumulation
INVENTOR(S): Diacovo, Thomas G.; Hayflick, Joel S.; Puri, Kamal D.
PATENT ASSIGNEE(S): Icos Corporation, USA; Washington University
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016349	A1	20050224	WO 2004-US26834	20040813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005054614	A1	20050310	US 2004-918825	20040813
PRIORITY APPLN. INFO.:			US 2003-495370P P	20030814
			US 2004-540036P P	20040128

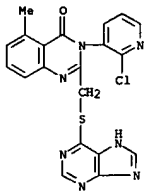
OTHER SOURCE(S): MARPAT 142:233321

AB The invention relates generally to phosphoinositide 3-kinases (PI3Ks), and more particularly to methods of inhibiting leukocyte accumulation comprising selectively inhibiting phosphoinositide 3-kinase delta (PI3Kδ) activity in vascular endothelial cells. The adhesivity induced in these cells can result in temporary adhesion between the leukocytes and the endothelial cells, typically referred to as leukocyte tethering. Leukocyte tethering is generally mediated by interactions between selectin receptors including but not limited to E-selectin and P-selectin on endothelial cells and corresponding ligands present on leukocytes. The disclosed methods may be used to treat individuals having an inflammatory condition where leukocytes are accumulating at the site of insult or inflamed tissue. The disclosed methods may affect inflammatory conditions mediated by one or more components of the PI3K/Akt signal transduction pathway of endothelial cells.

IT **371243-02-6**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(inhibition of leukocyte accumulation response to inflammatory mediator by inhibiting phosphoinositide 3-kinase and signal transduction of vascular endothelium to treat inflammatory conditions)

RN 371243-02-6 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-5-methyl-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 20 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:158542 HCAPLUS
DOCUMENT NUMBER: 142:254586
TITLE: Method using a phosphoinositide 3-kinase δ inhibitor for inhibiting immune responses stimulated by an endogenous factor
INVENTOR(S): Douangpanya, Jason; Hayflick, Joel S.; Puri, Kamal D.
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016348	A1	20050224	WO 2004-US26436	20040813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-495370P P 20030814
US 2004-540090P P 20040128

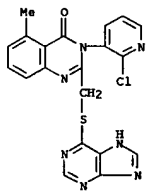
OTHER SOURCE(S): MARPAT 142:254586

AB The invention relates generally to phosphoinositide 3-kinases (PI3Ks), and more particularly to methods of inhibiting undesirable immune responses without inhibiting desired immune responses. In one embodiment, the invention provides methods for inhibiting an endogenous immune response stimulated by at least one endogenous factor without substantially inhibiting an exogenous immune response stimulated by at least one exogenous factor comprising administering an amount of a phosphoinositide 3-kinase δ (PI3Kδ) selective inhibitor effective to inhibit the endogenous immune response stimulated by endogenous factor without substantially inhibiting the exogenous immune response stimulated by the at least one exogenous factor.

IT **371243-02-6**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(phosphoinositide 3-kinase inhibitor for inhibiting immune responses stimulated by endogenous factor)

RN 371243-02-6 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-5-methyl-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 21 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1156498 HCAPLUS
DOCUMENT NUMBER: 142:93848
TITLE: Preparation of guanidino-substituted quinazolinone compounds as MC4-R agonists
INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron; Conlee, Christopher R.; Thompson, Brian D.; De Armas, Kuntz Judith; Musso, David L.; Barvian, Kevin K.; Thomson, Stephen A.; Swain, William R.; Du, Kien S.; Chauder, Brian A.; Speake, Jason D.; Bishop, Michael J.
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004112793	A1	20041229	WO 2004-US15959	20040521
WO 2004112793	B1	20050310		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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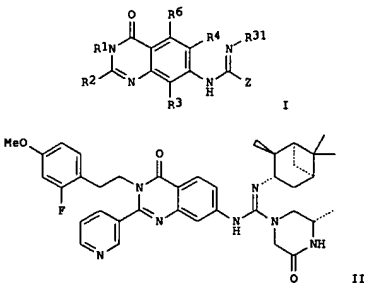
CA 2523015	AA	20041229	CA 2004-2523015	20040521
US 2005059662	A1	20050317	US 2004-850967	20040521

PRIORITY APPLN. INFO.: US 2003-473317P P 20030523
US 2003-523336P P 20031119
US 2003-524492P P 20031124
WO 2004-US15959 W 20040521

OTHER SOURCE(S): MARPAT 142:93848

GI

L5 ANSWER 22 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

II

AB A variety of small mol., guanidine-containing mols. capable of acting as MC4-R
agonists such as I-III [Z1 = CR4, N; Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted arylalkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, arylalkyl, aryl, etc.; R4-R6 = H, Cl, I, F, Br, OH, etc.; W = IV (wherein R11, R12 = H, (un)substituted alkyl, aryl, etc.; at least one of R11 and R12 is (un)substituted heterocyclylalkyl; R13 = H, (un)substituted aryl, alkyl, etc.; R14 = H, (un)substituted alkyl, cycloalkyl, etc.)] are provided. General procedures used in the synthesis of compds. I-III are described. E.g., a multi-step synthesis of (1S,2S,3S,5R)-V, was given. The exemplified compds. I-III were tested against MC4-R and exhibited -logEC50 values above about 3. The compds. I are useful in treating MC4-R mediated diseases such as obesity and type II diabetes. The pharmaceutical composition comprising the compound I is disclosed.

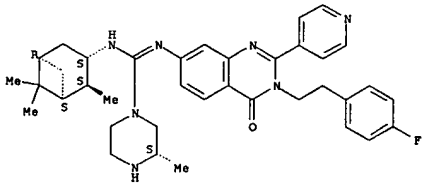
IT **628689-73-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of guanidino-substituted quinazolinone compds. as MC4-R agonists)

RN 628689-73-6 HCAPLUS

CN 1-Piperazinecarboximidamide, N-[3-[2-(4-fluorophenyl)ethyl]-3,4-dihydro-4-oxo-2-(4-pyridinyl)-7-quinazolinyl]-3-methyl-N'-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 22 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



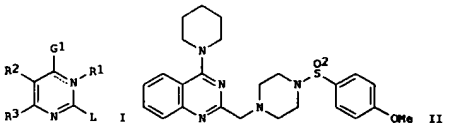
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1127348 HCAPLUS
DOCUMENT NUMBER: 142:74614
TITLE: Preparation of pyrimidine derivatives as modulators of ATP-binding cassette transporters
INVENTOR(S): Makings, Lewis R.; Singh, Ashvani K.; Miller, Mark T.; Hadida Ruah, Sarah S.; Grootenhuis, Peter; Hamilton, Matthew; Hazelwood, Anna R.; Huang, Liming
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 432 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004111014	A1	20041223	WO 2004-US17673		20040604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005059687	A1	20050317	US 2004-862909		20040607
PRIORITY APPLN. INFO.:			US 2003-476698P	P	20030606
			US 2003-500132P	P	20030904
			US 2003-520181P	P	20031114
			WO 2004-US17673	A	20040604

OTHER SOURCE(S): MARPAT 142:74614
GI



I II

AB The present invention relates to compds. I [G1 = O, RA, ORA, SRA, NRARB (wherein RA, RB = VRV, or NRARB = (un)substituted 3-12 membered (un)saturated
monocyclic or bicyclic ring having 0-4 heteroatoms selected from N, O, or S; V = a bond, alkylidene wherein up to two methylene units of V are optionally replaced by CO, CS, COCO, etc.; RV = halo, NO2, CN, etc.); R1 = absent, YRY (Y = a bond, alkylidene wherein up to two methylene units of Y are optionally replaced by CO, O, S, etc.; RY = halo, NO2, CN, etc.); R2, R3 = TRZ, or R2 and R3, taken together, form (un)substituted 5-6 membered monocyclic aryl having 0-5 heteroatoms selected from N, O, or S, 5-6 membered (un)satd. monocyclic ring having 0-3 heteroatoms selected from N, O, or S (T = a bond, alkylidene wherein up to two methylene units of T are optionally replaced by CO, CS, COCO, etc. RZ = halo, NO2, CN, etc.); L = G2BG3Ar1 (G2, G3 = absent, alkylidene wherein up to two methylene units are optionally replaced by CO, CS, SO, etc.; B = absent, (un)substituted aryl, heteroaryl, cycloalkyl, etc.; Ar1 = absent, (un)substituted 3-8 membered (un)satd. monocyclic ring having 0-3 heteroatoms, 8-12 membered (un)satd. bicyclic ring having 0-5 heteroatoms)] as modulators of ATP-Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Regulator ("CFTR"), compns. thereof, and methods therewith. E.g., a multi-step synthesis of the quinazoline II, is described. The compds. I are useful as modulators of ATP binding cassette transporters (the EC50 and relative efficacy for 405 compds. I were given). The present invention also relates to methods of treating ABC transporter mediated diseases such as cystic fibrosis using the modulators I.

L5 ANSWER 23 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT **815591-90-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as modulators of ATP-binding cassette transporters)

RN 815591-90-3 HCAPLUS

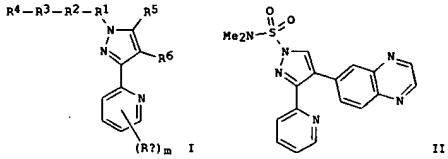
CN Piperazine, 1-[1-(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)ethyl]-4-[(6-phenoxy-3-pyridinyl)sulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:696346 HCAPLUS
DOCUMENT NUMBER: 141:225504
TITLE: Preparation of 2-pyrazolylpyridine derivatives as TGFβ receptor inhibitors
INVENTOR(S): Lee, Wen-cherng; Sun, Lihong; Shan, Feng; Chuaqui, Claudio; Cornebise, Mark; Pontz, Timothy W.; Carter, Marybeth; Singh, Juswinder; Boriack-sjodin, Paula Ann; Ling, Leona; Petter, Russell C.
PATENT ASSIGNEE(S): Biogen Idec Ma Inc., USA; et al.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072033	A2	20040826	WO 2004-US4049	20040212
WO 2004072033	A3	20050317		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2514382	AA	20040826	CA 2004-2514382	20040212
EP 1596656	A2	20051123	EP 2004-710613	20040212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
NO 2005004200	A	20051014	NO 2005-4200	20050909
PRIORITY APPLN. INFO.:			US 2003-446777P	P 20030212
			WO 2004-US4049	W 20040212

OTHER SOURCE(S): MARPAT 141:225504
GI

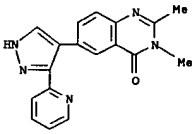


AB The title compds. I [wherein Ra = independently alkyl, alkenyl, alkynyl,

L5 ANSWER 24 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
etc.; R1 = a bond, alkylene, alkenylene, etc.; R2 = (hetero)cycloalkyl, (hetero)cycloalkenyl, (hetero)aryl, or a bond; R3 = CO, CO2, OCO, etc.; R4 = H, alkyl, alkenyl, etc.; R5 = H, (un)substituted alkyl, alkoxy, etc.; R6 = heterocyclyl or heteroaryl; m = 0-3] or N-oxides or pharmaceutically acceptable salts thereof are prepd. as transforming growth factor (TGF) β receptor antagonists for the treatment of numerous diseases, including fibrotic disorders. For example, the compd. II was prepd. in a five-step synthesis in good yield. Some of compds. I inhibited TGFβ type I receptor with IC50 of <0.1 μM.

IT **746667-07-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-pyrazolylpyridine derivs. as TGFβ receptor inhibitors)

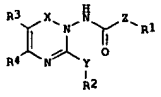
RN 746667-07-2 HCAPLUS
CN 4(3H)-Quinazolinone, 2,3-dimethyl-6-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 25 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:565204 HCAPLUS
DOCUMENT NUMBER: 141:123645
TITLE: Preparation of quinazolinones as potassium channel modulators
INVENTOR(S): McNaughton-Smith, Grant Andrew; Thomas, James Barnwell, Jr.; Amato, George Salvatore
PATENT ASSIGNEE(S): Icagen, Inc., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058704	A2	20040715	WO 2003-US41657	20031223
WO 2004058704	A3	20050707		
WO 2004058704	C1	20051027		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2505195	AA	20040715	CA 2003-2505195	20031223
US 2004198724	A1	20041007	US 2003-746205	20031223
EP 1585522	A2	20051019	EP 2003-808616	20031223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-436145P	P 20021223
			WO 2003-US41657	W 20031223

OTHER SOURCE(S): MARPAT 141:123645
GI

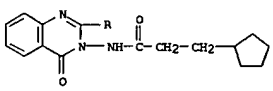


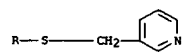
AB Quinazolinones I [X = CO, CS, SO2; Z = bond, CH2, CHF, CF2, CH:CH, (un)substituted NH(CH2)1-3; Y = S, S(O), SO2; R1 = (un)substituted aryl, heteroaryl, cycloalkyl, heterocyclyl, alkyl; R2 = CF3, (un)substituted alkyl, aryl, heteroaryl, cycloalkyl, heterocyclyl; R3R4 = atoms required to complete a 5- or 6-membered aryl, heteroaryl, cycloalkyl, heterocyclic ring] were prepared for use in the treatment of diseases through the modulation of potassium ion flux through voltage-dependent potassium channels, especially central or peripheral nervous system disorders (e.g.,

L5 ANSWER 25 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
migraine, ataxia, Parkinson's disease, bipolar disorders, trigeminal neuralgia, spasticity, mood disorders, brain tumors, psychotic disorders, myokymia, seizures, epilepsy, hearing and vision loss, Alzheimer's disease, age-related memory loss, learning deficiencies, anxiety and motor neuron diseases) and as neuroprotective agents (e.g., to prevent stroke and the like). Thus, 2,4-F(H2N)C6H3CO2H was converted to 2,4-F(H2N)C6H3CONHNHCOCH2Ph and cyclized to I [X = CO, Y = S, Z = CH2, R1 = Ph, R2 = H, R3R4 = CH:CHCF:CH] which was alkylated to I [R2 = CHMe2]. The latter compd. had EC50 for opening voltage-gated potassium channels in NG-108 cells of 0.09 μM.

IT **724447-05-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of quinazolinones as potassium channel modulators)

RN 724447-05-6 HCAPLUS
CN Cyclopentanepropanamide, N-[4-oxo-2-[(3-pyridinylmethyl)thio]-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



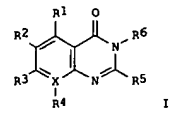


L5 ANSWER 26 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:412903 HCAPLUS
DOCUMENT NUMBER: 140:423688
TITLE: Preparation of quinazolinone derivatives as calcilytics
INVENTOR(S): Shcherbakova, Irina; Balandrin, Manuel; Fox, John; Heaton, William; Conklin, Rebecca; Papac, Damon
PATENT ASSIGNEE(S): NPS Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

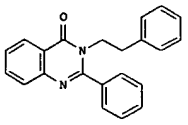
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041755	A2	20040521	WO 2003-US35162	20031104
WO 2004041755	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2502302	AA	20040521	CA 2003-2502302	20031104
EP 1558260	A2	20050803	EP 2003-768655	20031104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-423663P P 20021104
WO 2003-US35162 W 20031104
OTHER SOURCE(S): MARPAT 140:423688
GI

L5 ANSWER 26 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

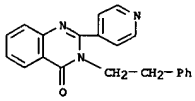


II

AB The title compds. I [R1, R2, R3 = H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.; R4 (optional) = H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.; X = C or N; R5 = H, alkyl, furyl, thienyl, styryl, pyridyl, (substituted)phenyl; R6 = H, alkyl, or -(CH2)n-X1-R7; n= 0-2; X1 = O, CO, CHOH, alkyl, or a single bond; R7 = an aromatic group optionally substituted with 1-3 substituents selected from H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.] were prepared as calcium receptor antagonists for the treatment of bone diseases. Thus, reaction of 2-phenyl-benzo[d][1,3]oxazin-4-one (preparation given) with phenethylamine gave compound II. Methods to determine the biol. activity of the compound of this invention were demonstrated.

IT **691378-23-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone derivs. as calcilytics)

RN 691378-23-1 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(2-phenylethyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



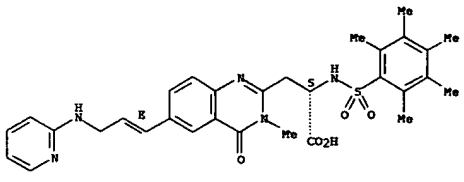
L5 ANSWER 27 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:383049 HCAPLUS
DOCUMENT NUMBER: 140:385522
TITLE: Structure-function study of quinazolinone-based vitronectin receptor (αVβ3) antagonists: Computer-assisted analysis of ligand-receptor interactions
AUTHOR(S): Lawson, Edward C.; Kinney, William A.; Costanzo, Michael J.; Hoekstra, William J.; Kauffman, Jack A.; Luci, Diane K.; Santulli, Rosemary; Tounge, Brett A.; Yabut, Stephen C.; Andrade-Gordon, Patricia; Maryanoff, Bruce E.
CORPORATE SOURCE: Drug Discovery, Johnson and Johnson Pharmaceutical Research and Development, Spring House, PA, 19477-0776, USA
SOURCE: Letters in Drug Design & Discovery (2004), 1(1), 14-18
CODEN: LDDDAW; ISSN: 1570-1808
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:385522

AB Modification of the pendant functionalities on a quinazolinone scaffold led to potent antagonist activity for integrin αvβ3 with selectivity over integrin αIIbβ3. Various guanidine mimetics, linkers, and arylsulfonamides were investigated to optimize the series. A mol. model was constructed based on a published x-ray structure and used to analyze ligand-receptor interactions. We identified key interactions for the quinazolinone and arylsulfonamide groups that may explain the changes in potency in the structure-function study.

IT **688032-24-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and integrin αvβ3-antagonistic activity of 4-quinazolinone derivs.)

RN 688032-24-8 HCAPLUS
CN 2-Quinazolinepropanoic acid, 3,4-dihydro-3-methyl-4-oxo-α-[[(pentamethylphenyl)sulfonyl]amino]-6-[(1E)-3-(2-pyridinylamino)-1-propenyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

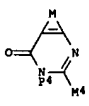


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:368869 HCAPLUS
DOCUMENT NUMBER: 140:391291
TITLE: Preparation of quinazolinones and analogs as Factor Xa inhibitors
INVENTOR(S): Han, Wei; Hu, Zilun
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037176	A2	20040506	WO 2003-US32816	20031016
WO 2004037176	A3	20041014		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004132732	A1	20040708	US 2003-687421	20031016
EP 1553947	A2	20050720	EP 2003-809567	20031016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-420098P P 20021021
WO 2003-US32816 W 20031016
OTHER SOURCE(S): MARPAT 140:391291
GI



I

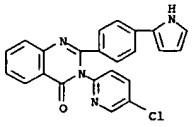
AB Title compds. [I; M = atoms to form a (substituted) 5-6 membered aromatic or dihydroarom. (heterocyclic) ring; 1 of P4, M4 = ZAB, the other = G1G; D = (substituted) (Ph-, pyridyl-, pyrimidyl-, pyrazinyl- pyridazinyl-fused) 5-6 membered (heterocyclic) ring, etc.; G1 = null, (substituted) alkylene, alkenylene, alkynylene, etc.; Z = bond, (substituted) alkylene, alkyleneaminoalkylene, etc.; A, Y = (substituted) carbocyclyl, heterocyclyl; B = Y, XY, (alkylene)carbonylamino, etc.; X = (substituted) alkylene, imino, CO, etc.; with provisos], were prepared as antithrombotics (no data). Thus, biphenyl-4-carboxylic acid was stirred 2 h with (COCl)2 and cat. DMF in CH2Cl2; the residue was added to a mixture of 2-amino-5-chloro-N-(5-chloropyridin-2-yl)benzamide (preparation given), Et3N

L5 ANSWER 28 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
and DAMP in CH2Cl2 at 0° followed by stirring overnight at room temp. to give the desired biphenylcarboxamide. This was refluxed with HCl in dioxane/THF to give 2-biphenyl-4-yl-6-chloro-3-(5-chloropyridine-2-yl)-3H-quinazolin-4-one.

IT **687639-18-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of quinazolinones and analogs as Factor Xa inhibitors)

RN 687639-18-5 HCAPLUS

CN 4(3H)-Quinazolinone, 3-(5-chloro-2-pyridinyl)-2-[4-(1H-pyrrol-2-yl)phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 29 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:211993 HCAPLUS
DOCUMENT NUMBER: 140:264510
TITLE: 4-Oxo-quinazoline agonist ligands for the liver X nuclear receptor and their use in treatment of disorders of lipid metabolism
INVENTOR(S): Kober, Ingo; Albers, Michael; Koegl, Manfred; Blume, Beatrix; Deuschle, Ulrich; Kremoser, Claus
PATENT ASSIGNEE(S): Phenex Pharmaceuticals A.-G., Germany
SOURCE: Eur. Pat. Appl., 85 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1398032	A1	20040317	EP 2003-20417	20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
EP 1407774	A1	20040414	EP 2002-20255	20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

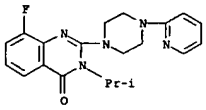
PRIORITY APPLN. INFO.: EP 2002-20255 A 20020910
OTHER SOURCE(S): MARPAT 140:264510

AB 4-Oxo-quinazoline ligands for liver X receptors (LXR receptors, LXRα/NR1 H3 and LXRbeta/NR1H2) acting as selective agonists of the receptor are described. The invention further relates to the treatment of diseases and/or conditions through binding of said nuclear receptors and selective agonistic effects by said compds. and the production of medicaments using said compds. In particular the compds. are useful in the treatment of hypercholesteremia, obesity or other diseases associated with elevated lipoprotein (LDL) levels. Reporter gene methods of screening for effective agonists of the receptor are described.

IT **671211-33-9**
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(as liver X receptor agonist; 4-oxo-quinazoline agonist ligands for liver X nuclear receptor and their use in treatment of disorders of lipid metabolism)

RN 671211-33-9 HCAPLUS

CN 4(3H)-Quinazolinone, 8-fluoro-3-(1-methylethyl)-2-[4-(2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

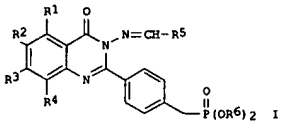
L5 ANSWER 29 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:17804 HCAPLUS
DOCUMENT NUMBER: 140:94056
TITLE: Preparation of [(quinazolinonyl)benzyl]phosphonate diesters and their use as acyl-CoA:cholesterol acyltransferase-1 (ACAT-1) inhibitors
INVENTOR(S): Sakai, Yasuhiro; Miyata, Kazuyoshi; Tomoyasu, Takahiro; Kuroda, Terunori; Inoue, Yasuhide; Hagi, Akifumi; Miki, Shinya; Yoshinaga, Yoshihiro; Doi, Masako; Tsuda, Yoshihiko
PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 49 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004002214	A2	20040108	JP 2002-131479	20020507

PRIORITY APPLN. INFO.: JP 2002-106534 A 20020409
OTHER SOURCE(S): MARPAT 140:94056
GI



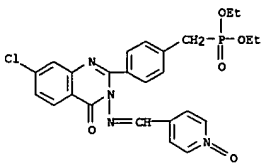
AB The title compds. I [R1-R4 = H, halo, lower alkyl, lower alkoxy; R5 = (un)substituted Ph, benzodioxolanyl, naphthyl, etc.], useful as antiarteriosclerotic and anticholesteremic agents, are prepared Thus, condensation of di-Et {4-(3-amino-7-chloro-4(3H)-quinazolinon-2-yl)benzyl}phosphonate with p-tolualdehyde gave I (R1 = R2 = R4 = H, R3 = Cl, R5 = 4-C6H4Me), which inhibited 75% ACAT-1 activity.

IT **642464-38-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(quinazolinonyl)benzyl]phosphonate diesters as acyl-CoA:cholesterol acyltransferase-1 inhibitors for antiarteriosclerotic and anticholesteremic agents)

RN 642464-38-8 HCAPLUS

CN Phosphonic acid, [[4-[7-chloro-3,4-dihydro-3-[[(1-oxido-4-pyridinyl)methylene]amino]-4-oxo-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 30 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

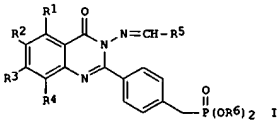


L5 ANSWER 31 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:17803 HCAPLUS
DOCUMENT NUMBER: 140:87712
TITLE: Acyl-CoA:cholesterol acyltransferase-1 (ACAT-1) inhibitors containing [(quinazolinonyl)benzyl]phosphonate diester derivatives
INVENTOR(S): Sakai, Yasuhiro; Miyata, Kazuyoshi; Tomoyasu, Takahiro; Kuroda, Terunori; Inoue, Yasuhide; Shu, Akifumi; Miki, Shinya; Yoshinaga, Yoshihiro; Doi, Masako; Tsuda, Yoshihiko
PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004002213	A2	20040108	JP 2002-131208	20020507
PRIORITY APPLN. INFO.:			JP 2002-106526 A	20020409

OTHER SOURCE(S): MARPAT 140:87712
GI

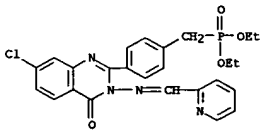


AB The title inhibitors, useful as antiarteriosclerotic and anticholesteremic agents, contain [(quinazolinonyl)benzyl]phosphonate diesters I [R1-R4 = H, halo, lower alkyl; R5 = (lower alkoxy-substituted) Ph, pyridyl; R6 = lower alkyl] as active ingredients are prepared Thus, I (R1 = R2 = R4 = H, R3 = Cl, R5 = 3-C6H4OMe) inhibited 82% ACAT-1 activity.

IT 173019-02-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation [(quinazolinonyl)benzyl]phosphonate diesters as acyl-CoA:cholesterol acyltransferase-1 inhibitors)

RN 173019-02-8 HCAPLUS
CN Phosphonic acid, [[4-[7-chloro-3,4-dihydro-4-oxo-3-[(2-pyridinylmethylene)amino]-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 31 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 32 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:951025 HCAPLUS
DOCUMENT NUMBER: 140:16739
TITLE: Preparation of (guanidino)quinazolinones as MC4-R agonists for treatment of obesity and type II diabetes
INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099818	A1	20031204	WO 2003-US16442	20030523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2486966	AA	20031204	CA 2003-2486966	20030523
AU 2003245325	A1	20031212	AU 2003-245325	20030523
US 2004019049	A1	20040129	US 2003-444495	20030523
EP 1551834	A1	20050713	EP 2003-738964	20030523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005531583	T2	20051020	JP 2004-507475	20030523
US 2006030573	A1	20060209	US 2005-248040	20051011
PRIORITY APPLN. INFO.:			US 2002-382762P P	20020523
			US 2003-441019P P	20030117
			US 2003-444495 A3	20030523
			WO 2003-US16442 W	20030523

OTHER SOURCE(S): MARPAT 140:16739
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title low mol. weight, guanidine-containing mols. I, II, and III [wherein Z1 =
CR4, N; Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted (hetero)arylalkyl, (hetero)aryl, heterocyclyl, cycloalkyl(alkyl), heterocycloalkyl(alkyl), alkenyl, alkynyl, alkyl; R2 = H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, heteroaryl, heterocyclyl, (hetero)arylalkyl, cycloalkylalkyl, alkylcarbonyl, arylcarbonyl; R3 = H or (un)substituted (hetero)arylalkyl, alkoxy, (di)alkylamino, (hetero)aryl, heterocyclyl, (hetero)cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R4-R6 = independently H, halo, OH, NH2, CN, NO2, or (un)substituted alkoxy, (cyclo)alkyl, alkenyl, alkynyl, (di)alkylamino, heterocycylamino(carbonyl), heteroarylamino(carbonyl), aminocarbonyl, (di)alkylaminocarbonyl; W = (un)substituted guanidino; and prodrugs, pharmaceutically acceptable

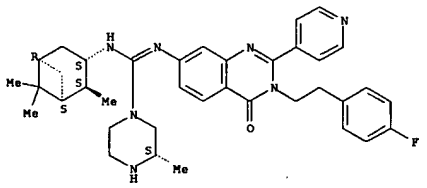
L5 ANSWER 32 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
salts, stereoisomers, tautomers, hydrates, hydrides, or solvates thereof] were prepd. as melanocortin-4 receptor (MC4-R) agonists. For example, amidation of 4,5-difluoroanthranilic acid with 4-fluorophenylethylamine in the presence of HOBt and diisopropylethylamine in THF provided the benzamide (90%). The 2-aminobenzamide was cyclized with tri-Me orthoformate by heating to 120° for 3 h affording 6,7-difluoro-3-[2-(4-fluorophenyl)ethyl]-3-hydroquinazolin-4-one (75%), which was converted to the azide (95%) by reaction with NaN3 in DMSO. The azide was coupled with (1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-ylisocyanate in the presence of PMe3 in THF, and the product was reacted with (6S,2R)-2,6-dimethylpiperazine to give the guanidine deriv. IV. EC50 values of one hundred five test compds. were detd. by treating cells expressing MC4-R with test compds., lysing the cells, and measuring intercellular cAMP concns. Compds. listed displayed -log EC50 values above about 3. Thus, I, II, III, and their pharmaceutical compns. are useful for the treatment of MC4-R-mediated diseases, such as obesity or type II diabetes (no data).

IT **628689-73-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(MC4-R agonist; preparation of (guanidino)quinazolinones as MC4-R agonists
for treatment of obesity and type II diabetes)

RN 628689-73-6 HCAPLUS

CN 1-Piperazinecarboximidamide, N-[3-[2-(4-fluorophenyl)ethyl]-3,4-dihydro-4-oxo-2-(4-pyridinyl)-7-quinazolinyl]-3-methyl-N'-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

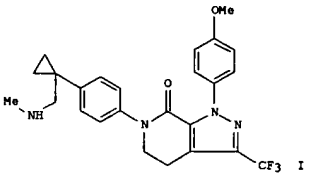
L5 ANSWER 33 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:950836 HCAPLUS
DOCUMENT NUMBER: 140:16722
TITLE: Preparation of 1,1-disubstituted cycloalkyl derivatives as factor Xa inhibitors for treating a thromboembolic disorder
INVENTOR(S): Qiao, Jennifer X.; Pinto, Donald J.; Orwat, Michael J.; Han, Wei; Friedrich, Sarah R.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 686 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099276	A1	20031204	WO 2003-US13893	20030505
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003273179	A1	20031212	AU 2003-273179	20030505
US 2004254158	A1	20041216	US 2003-430024	20030505
EP 1505966	A1	20050216	EP 2003-755341	20030505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-379357P P 20020510
US 2002-415367P P 20021002
WO 2003-US13893 W 20030505

OTHER SOURCE(S): MARPAT 140:16722
GI



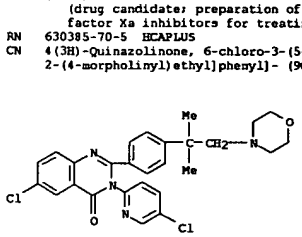
AB The present application describes 1,1-disubstituted cycloalkyl compds. and

L5 ANSWER 33 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
derivs. thereof (P4-P-M-M4; variables defined below; most of the examples contain 1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one, e.g. the trifluoroacetate of I), or pharmaceutically acceptable salt forms thereof, which are useful as inhibitors of factor Xa for treatment of a thromboembolic disorder. Although the methods of prepn. are not claimed, approx.240 example prepns. are included. A no. of I exhibit Ki's of <10 μM towards factor Xa; also some I are direct acting inhibitors (Ki < 10 μM) of the serine protease thrombin as indicated by their ability to inhibit the cleavage of small mol. substrates by thrombin in a purified system; the specific compds. are not stated. For I: M is a 3-10 membered carbocycle or a 4-10 membered heterocycle, consisting of: C atoms and 1-3 heteroatoms = O, S(O)p, N, and NZ2; ring M is substituted with 0-3 R1a and 0-2 carbonyl groups, and there are 0-3 ring double bonds; P is fused onto ring M and is a 5, 6, or 7 membered carbocycle or a 5, 6, or 7 membered heterocycle, consisting of: C atoms and 1-3 heteroatoms = O, S(O)p, and N; ring P is substituted with 0-3 R1a and 0-2 carbonyl groups, and there are 0-3 ring double bonds; alternatively, ring P is absent and P4 is directly attached to ring M, provided that when ring P is absent, P4 and M4 are attached to the 1,2, 1,3, or 1,4 positions of ring M. One of P4 and M4 is -Z-A-B and the other -G1-G, provided that P4 and M4 are attached to different rings when ring P is present; G is consists of 2 fused rings D and E (ring D, including the two atoms of Ring E to which it is attached, is a 5-6 membered ring consisting of carbon atoms and 0-2 heteroatoms selected from the group consisting of N, O, and S(O)p; E is selected from (un)substituted Ph, pyridyl, pyrimidyl, pyrazinyl, and pyridazinyl; alternatively, ring D is absent and ring E is selected from (un)substituted Ph, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, triazolyl, thienyl, and thiazolyl); G1 is absent or = (CR3R3a)1-5, etc. A = (un)substituted C3-10 carbocycle and 5-12 membered heterocycle consisting of: C atoms and 1-4 heteroatoms N, O, and S(O)p; B is Y-R4a or X-Y-R4a, provided that Z and B are attached to different atoms on A and A and R4a or X and R4a are attached to the same atom on Y; Z = a bond, -(CR3R3e)1-4-, etc. Addnl. details including provisos are given in the claims.

IT **630385-70-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 1,1-disubstituted cycloalkyl derivs. as factor Xa inhibitors for treating thromboembolic disorder)

RN 630385-70-5 HCAPLUS

CN 4(3H)-Quinazolinone, 6-chloro-3-(5-chloro-2-pyridinyl)-2-[4-[1,1-dimethyl-2-(4-morpholinyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

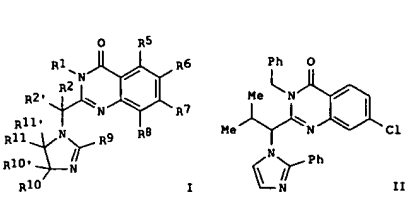
L5 ANSWER 34 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:931177 HCAPLUS
DOCUMENT NUMBER: 140:5063
TITLE: 2-[1-(Imidazol-1-yl)alkyl]-3H-quinazolin-4-one derivatives, pharmaceutical compositions containing them, and methods of their use as KSP kinesin inhibitors for the treatment of cellular proliferative diseases
INVENTOR(S): Feng, Bainian; Bergnes, Gustave; Morgans, David J. C., Jr.; Dhanak, Dashyant; Knight, Steven David; Darcy, Michael Gerard
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; Smithkline Beecham Corporation
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097053	A1	20031127	WO 2003-US14787	20030508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003270015	A1	20031202	AU 2003-270015	20030508
US 2004077668	A1	20040422	US 2003-435069	20030508
EP 1553931	A1	20050720	EP 2003-753011	20030508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530785	T2	20051013	JP 2004-505052	20030508

PRIORITY APPLN. INFO.: US 2002-379531P P 20020509
WO 2003-US14787 W 20030508

OTHER SOURCE(S): MARPAT 140:5063
GI



L5 ANSWER 34 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Compds. useful for treating cellular proliferative diseases and disorders by modulating the activity of KSP (kinesin-like spindle protein), and especially human KSP, are disclosed (no data). In particular, compds. I are claimed [wherein: R1 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R2, R2' = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R2R2' = (un)substituted 3- to 7-membered ring; R5, R6, R7, R8 = H, (un)substituted alkyl or alkoxy, halo, OH, NO2, cyano, dialkylamino, alkylsulfonyl, alkylsulfonamido, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, (un)substituted aryl, aryloxy, heteroaryl, or heteroaryloxy; R9 = H, (un)substituted alkyl, aryl, aralkyl, or heteroaryl; R10, R10', R11, R11' = H, (un)substituted alkyl, aryl, or aralkyl; or R10'R11' = pi bond; including single and mixed stereoisomers and pharmaceutically acceptable salts and/or solvates]. Approx. 60 compds. I are described in examples. Compds. I having (R)-configuration at the stereogenic center bearing R2 are preferred for reasons of greater potency than the (S)-isomers. For instance, 2-(1-amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one underwent a sequence of N-alkylation at amino with BrCH2CH(OMe)2 and K2CO3 (59%), amidation of the resultant secondary amine with PhCOCl and Et3N (54%), and deprotection/cyclocondensation with NH4OAc in refluxing AcOH (23%) to give invention compound II. Compds. I are said to be active against human ovarian cancer cells SKOV3 in vitro. Visual inspection revealed that the compds. caused cell cycle arrest in the prometaphase stage of mitosis; DNA was condensed and spindle formation had initiated, but arrested cells uniformly displayed monopolar spindles, indicating that there was an inhibition of spindle pole body separation

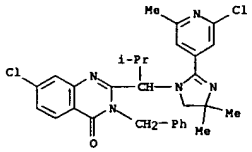
IT **627891-63-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (imidazolylalkyl)quinazolinone derivs. as KSP kinesin inhibitors for the treatment of cellular proliferative diseases)

RN 627891-63-8 HCAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-2-[1-(2-(2-chloro-6-methyl-4-pyridinyl)-4,5-dihydro-4,4-dimethyl-1H-imidazol-1-yl]-2-methylpropyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 34 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L5 ANSWER 35 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:511320 HCAPLUS

DOCUMENT NUMBER: 139:85370

TITLE: Preparation of quinazolinedione derivatives as inosine 5'-monophosphate dehydrogenase (IMPDH) inhibitors for use in pharmaceutical compositions

INVENTOR(S): Dyke, Hazel Joan; Richard, Marianna Dilani; Haughan, Alan Findlay; Sharpe, Andrew

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053958	A1	20030703	WO 2002-GB5770	20021218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002352444	A1	20030709	AU 2002-352444	20021218
PRIORITY APPLN. INFO.:			GB 2001-30585	A 20011220
			GB 2002-4137	A 20020222
			WO 2002-GB5770	W 20021218

OTHER SOURCE(S): MARPAT 139:85370

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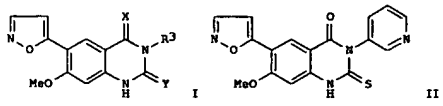


I II

AB Quinazolinediones, such as I [X, Y = O, S; R3 = alkyl, heterocyclyl, heterocyclylalkyl, aminoalkyl, etc.], were prepared for therapeutic use as IMPDH inhibitors for therapeutic use in the treatment of of cancer, inflammatory disorders, autoimmune disorders, psoriatic disorders and viral disorders. Thus, quinazolinedione derivative II was prepared via a cyclocondensation reaction of 2-isothiocyanato-4-methoxy-5-(5-oxazolyl)benzoic acid Me ester with 3-aminopyridine. The prepared quinazolinediones were assayed for inhibition of IMPDH and for inhibition of human peripheral blood mononuclear cells.

IT **553678-03-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES

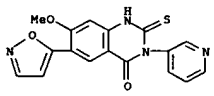
L5 ANSWER 35 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(Uses)

(prepn. of quinazolinedione derivs. as IMPDH inhibitors for use in pharmaceutical compns.)

RN 553678-03-8 HCAPLUS

CN 4(1H)-Quinazolinone, 2,3-dihydro-6-(5-isoxazolyl)-7-methoxy-3-(3-pyridinyl)-2-thioxo- (9CI) (CA INDEX NAME)

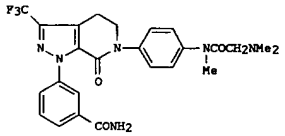


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 36 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:454323 HCAPLUS
DOCUMENT NUMBER: 139:22501
TITLE: Preparation of glycinamide heterocyclic derivatives as factor Xa inhibitors
INVENTOR(S): Pinto, Donald J. P.; Han, Wei; Hu, Zilun
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Qiao, Jennifer
SOURCE: PCT Int. Appl., 451 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048158	A1	20030612	WO 2002-US38239	20021127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003232804	A1	20031218	US 2002-304070	20021125
AU 2002351179	A1	20030617	AU 2002-351179	20021127
EP 1465892	A1	20041013	EP 2002-786826	20021127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: US 2001-336994P P 20011204
WO 2002-US38239 W 20021127
OTHER SOURCE(S): MARPAT 139:22501
GI

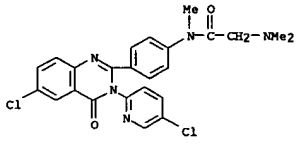


I

AB Compds. P4-P-M-M4 [M is a 3-10 membered carbocycle or a 4-10 membered heterocycle; P is null or a 5-7 membered carbocycle or heterocycle fused to ring M; one of P4 and M4 is -Z-A-B and the other is -G1-G, where G is (un)substituted (fused) (hetero)aryl or (hetero)cyclyl; G1 is null or (un)substituted optionally-functionalized alk(en)(yn)yl; Z is a bond or (hetero)alkylene; A is (substituted) 3-10 membered carbocyclyl or 5-12 membered heterocyclyl; B is a functionalized amino group (with provisos)] or their pharmaceutically-acceptable salts were prepd. for use as inhibitors of factor Xa. Thus, 1H-pyrazolo[3,4-c]pyridine deriv. I.TFA was prepd. by reactions of 3-aminobenzamide, 3-hydroxy-1-(4-iodophenyl)-4-(trifluoroacetyl)-5,6-dihydro-2(1H)-pyridinone, chloroacetyl chloride, and dimethylamine.

IT **536759-26-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glycinamide heterocyclic derivs. as factor Xa inhibitors)

RN 536759-26-9 HCAPLUS
CN Acetamide, N-[4-[6-chloro-3-(5-chloro-2-pyridinyl)-3,4-dihydro-4-oxo-2-quinazolinyl]phenyl]-2-(dimethylamino)-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 37 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:454257 HCAPLUS
DOCUMENT NUMBER: 139:7167
TITLE: Preparation of glycinamide heterocyclic derivatives as factor Xa inhibitors
INVENTOR(S): Pinto, Donald J. P.; Han, Wei; Hu, Zilun
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Qiao, Jennifer
SOURCE: PCT Int. Appl., 448 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048081	A2	20030612	WO 2002-US37212	20021118
WO 2003048081	A3	20030912		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003232804	A1	20031218	US 2002-304070	20021125

PRIORITY APPLN. INFO.: US 2001-336994P P 20011204
OTHER SOURCE(S): MARPAT 139:7167
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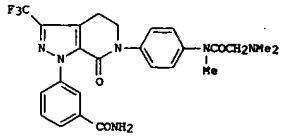


I

AB Compds. P4-P-M-M4 [M is a 3-10 membered carbocycle or a 4-10 membered heterocycle; P is null or a 5-7 membered carbocycle or heterocycle fused to ring M; one of P4 and M4 is -Z-A-B and the other is -G1-G, where G is (un)substituted (fused) (hetero)aryl or (hetero)cyclyl; G1 is null or (un)substituted optionally-functionalized alk(en)(yn)yl; Z is a bond or (hetero)alkylene; A is (substituted) 3-10 membered carbocyclyl or 5-12 membered heterocyclyl; B is a functionalized amino group (with provisos)] or their pharmaceutically-acceptable salts were prepared for use as inhibitors of factor Xa. Thus, 1H-pyrazolo[3,4-c]pyridine derivative I.TFA was prepared by reactions of 3-aminobenzamide, 3-hydroxy-1-(4-iodophenyl)-4-(trifluoroacetyl)-5,6-dihydro-2(1H)-pyridinone, chloroacetyl chloride, and dimethylamine.

L5 ANSWER 36 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

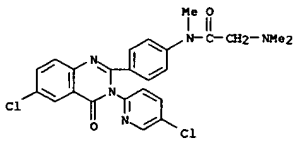
IT **536759-26-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glycinamide heterocyclic derivs. as factor Xa inhibitors)

RN 536759-26-9 HCAPLUS
CN Acetamide, N-[4-[6-chloro-3-(5-chloro-2-pyridinyl)-3,4-dihydro-4-oxo-2-quinazolinyl]phenyl]-2-(dimethylamino)-N-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 37 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT **536759-26-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glycinamide heterocyclic derivs. as factor Xa inhibitors)

RN 536759-26-9 HCAPLUS
CN Acetamide, N-[4-[6-chloro-3-(5-chloro-2-pyridinyl)-3,4-dihydro-4-oxo-2-quinazolinyl]phenyl]-2-(dimethylamino)-N-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 38 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:376563 HCAPLUS
DOCUMENT NUMBER: 138:385439
TITLE: Preparation of quinazolinone mitotic kinesin inhibitors for treating cancer
INVENTOR(S): Fraley, Mark E.; Hoffman, William F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039460	A2	20030515	WO 2002-US35111	20021101
WO 2003039460	A3	20030731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465491	AA	20030515	CA 2002-2465491	20021101
EP 1444209	A2	20040811	EP 2002-799174	20021101
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JP 2005511581	T2	20050428	JP 2003-541752	20021101
US 2004259826	A1	20041223	US 2004-494899	20040507
PRIORITY APPLN. INFO.:			US 2001-344453P	P 20011107
			WO 2002-US35111	W 20021101

OTHER SOURCE(S): MARPAT 138:385439
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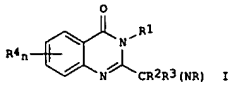


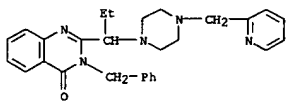
I

AB The present invention relates to quinazolinones (shown as I; variables defined below; e.g. 3-benzyl-2-(1-(4-methylpiperazin-1-yl)propyl]quinazolin-4(3H)-one) that are useful for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also related to compns. which comprise these compds., and methods of using them to treat

L5 ANSWER 38 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
cancer in mammals. Twelve examples of I were found in a kinesin ATPase in vitro assay to have IC50 ≤50 μM. Although the methods of prepn. are not claimed, 1 example prepn. of I and characterization data for another 10 examples of I are included. For I: NR = 5-12 membered N-contg. heterocycle, which is optionally substituted with 1-6 R5 groups and which optionally incorporates 1-2 addnl. heteroatoms = N, O and S in the heterocycle; a = 0, 1; b = 0, 1; m = 0-2; n = 0-4; R1 = H, C1-C10 alkyl, aryl, C2-C10 alkenyl, C2-C10 alkynyl, C1-C6 perfluoroalkyl, C3-C8 cycloalkyl, and heterocyclyl. R2 and R3 = H, (C:O)aObC1-C10 alkyl, (C:O)aObaryl, (C:O)aObC2-C10 alkenyl, (C:O)aObC2-C10 alkynyl, CO2H, C1-C6 perfluoroalkyl, (C:O)aObC3-C8 cycloalkyl, (C:O)aObheterocyclyl, SO2NR7R8, and SO2C1-C10 alkyl; R4 = (C:O)aObC1-C10 alkyl, (C:O)aObaryl, (C:O)aObC2-C10 alkenyl, (C:O)aObC2-C10 alkynyl, CO2H, halo, OH, ObC1-C6 perfluoroalkyl, (C:O)aNR7R8, CN, (C:O)aObC3-C8 cycloalkyl, (C:O)aObheterocyclyl, SO2NR7R8, and SO2C1-C10 alkyl; R5 is (C:O)aObC1-C10 alkyl, (C:O)aObaryl, C2-C10 alkenyl, C2-C10 alkynyl, (C:O)aOb heterocyclyl, CO2H, halo, CN, OH, ObC1-C6 perfluoroalkyl, Oa(C:O)bNR7R8, oxo, CHO, N(O)R7R8, or C(O)aObC3-C8 cycloalkyl; addnl. details are given in the claims.

IT **522638-66-0P**, 3-Benzyl-2-[1-[4-(pyridin-2-ylmethyl)piperazin-1-yl]propyl]quinazolin-4(3H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone mitotic kinesin inhibitors for treating cancer)

RN 522638-66-0 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(phenylmethyl)-2-[1-[4-(2-pyridinylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 39 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:261670 HCAPLUS
DOCUMENT NUMBER: 138:287666
TITLE: Preparation of heteroaryllactams as Factor Xa inhibitors
INVENTOR(S): Pinto, Donald; Quan, Mimi; Orwat, Michael; Li, Yun-Long; Han, Wei; Qiao, Jennifer; Lam, Patrick; Koch, Stephanie
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 441 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

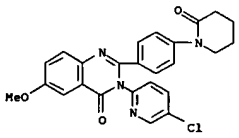
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026652	A1	20030403	WO 2002-US29491	20020917
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2461202	AA	20030403	CA 2002-2461202	20020917
US 2003191115	A1	20031009	US 2002-245122	20020917
US 6967208	B2	20051122		
EP 1427415	A1	20040616	EP 2002-775843	20020917
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012726	A	20040803	BR 2002-12726	20020917
JP 2005507889	T2	20050324	JP 2003-530289	20020917
ZA 2004002184	A	20050503	ZA 2004-2184	20040318
NO 2004001163	A	20040503	NO 2004-1163	20040319
US 2004220174	A1	20041104	US 2004-850587	20040520
US 6989391	B2	20060124		
US 2005124602	A1	20050609	US 2004-970781	20041020
US 2005171085	A1	20050804	US 2004-970807	20041021
US 6995172	B2	20060207		
US 2005261287	A1	20051124	US 2005-154972	20050616
US 2005267097	A1	20051201	US 2005-198801	20050805
PRIORITY APPLN. INFO.:			US 2001-324165P	P 20010921
			US 2002-402317P	P 20020809
			US 2002-245122	A3 20020917
			WO 2002-US29491	W 20020917
			US 2004-850587	A3 20040520
			US 2004-970807	A1 20041021

OTHER SOURCE(S): MARPAT 138:287666
AB P4PMM4 [M = 3-10 membered (substituted) (unsatd.) carbocyclyl, 4-10 membered heetercyclyl; P = null, 5-7 membered (substituted) (unsatd.) carbocyclyl, heterocyclyl fused to ring M; 1 of P4, M4 = ZAB, the other = G1G; G = (benzo-, pyrido-, pyrimido-, pyrazino-, or pyridazino-fused) (substituted) (unsatd.) 5-6 membered (hetero)cyclyl; G1 = null, (CR3R3a)1-5, etc.; R3, R3a = H, Me, Et, Pr, Ph, PhCH2, etc.; Z = bond, (CR3R3e)1-4, etc.; R3e = H, SO2NHR3, SO2N(R3)2, COR3, (substituted) alkyl, alkenyl, alkynyl, etc.; A = (substituted) 3-10 membered carbocyclyl, 5-12

L5 ANSWER 39 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
membered heterocyclyl; Z = XNQ; X = null, CO, SO, SO2, etc.; NQ = 4-8 membered mono- or bicyclic (substituted) (unsatd.) ring contg. a CO or SO2 group adjacent to the N atom; with provisos], were prepd. Thus, 6-(4-iodophenyl)-3-methoxy-1-(4-methoxyphenyl)-1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one (prepn. given), δ-valerolactam, K2CO3, and CuI were refluxed in Me2SO to give 15% 3-methoxy-1-(4-methoxyphenyl)-6-[4-(2-oxo-1-piperidinyl)phenyl]-1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one. Several title compds. inhibited Factor Xa with IC50≤ 10 μM.

IT **503615-29-0P**, 3-(5-Chloropyridin-2-yl)-6-methoxy-2-[4-(2-oxopiperidin-1-yl)phenyl]-3H-quinazolin-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaryllactams as Factor Xa inhibitors)

RN 503615-29-0 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(5-chloro-2-pyridinyl)-6-methoxy-2-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



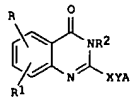
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 40 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:833514 HCAPLUS
DOCUMENT NUMBER: 137:337912
TITLE: Preparation of purinylquinazolinones as inhibitors of human phosphatidylinositol 3-kinase delta
INVENTOR(S): Sadhu, Chanchal; Dick, Ken; Treiberg, Jennifer; Sowell, C. Gregory; Kesicki, Edward A.; Oliver, Amy
PATENT ASSIGNEE(S): ICOS Corp., USA
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of U.S. Ser. No. 841,341.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002161014	A1	20021031	US 2001-27591	20011019
US 6667300	B2	20031223		
US 6518277	B1	20030211	US 2001-841341	20010424
CA 2463294	AA	20030501	CA 2002-2463294	20020827
WO 2003035075	A1	20030501	WO 2002-US27240	20020827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1438052	A1	20040721	EP 2002-757407	20020827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005509635	T2	20050414	JP 2003-537642	20020827
ZA 2002008698	A	20031010	ZA 2002-8698	20021028
US 2003195211	A1	20031016	US 2003-337192	20030106
US 6800620	B2	20041005		
US 2004266780	A1	20041230	US 2003-697912	20031030
US 6949535	B2	20050927		
US 2005261317	A1	20051124	US 2005-110204	20050420
PRIORITY APPLN. INFO.:			US 2000-199655P	P 20000425
			US 2000-238057P	P 20001005
			US 2001-841341	A2 20010424
			US 2001-27591	A 20011019
			WO 2002-US27240	W 20020827
			US 2003-697912	A1 20031030

OTHER SOURCE(S): MARPAT 137:337912
GI

L5 ANSWER 40 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

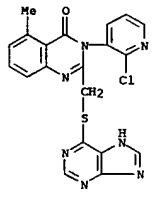


I

AB A method of disrupting leukocyte function comprises administration of title compds. [I; X = C(Rb)2, CH2CHRb, CH:CRb; Rb = H, alkyl, heteroalkyl, aryl, heteroaryl, aralkyl, etc.; Y = null, S, SO, SO2, NH, O, CO, CO2, NHCOCH2S; R, R1 = H, alkyl, aryl, heteroaryl, halo, etc.; RR1 = atoms to form a 3-4 membered alkylene, alkenylene chain; R2 = H, (substituted) alkyl, cycloalkyl, heterocycloalkyl, alkylenecycloalkyl, alkenyl, alkylenearyl, aryl, heteroaryl, etc.; A = (substituted) mono- or bicyclic ring system containing ≥2 N atoms and in which ≥1 ring is aromatic]. Thus, dose-dependent decrease in histamine release from basophils when stimulated with anti-IgE was 100% at 1,000 nM, with an EC50 of about 25 nM for I (Y = S, R = 5-Me, R1 = H, R2 = 2-ClC6H4, R3 = H; S connected to 6-position of purine ring; preparation given).

IT **371243-02-6P**, 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-5-methyl-2-[(1H-purin-6-ylthio)methyl]-
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purinylquinazolinones as inhibitors of human phosphatidylinositol 3-kinase delta)

RN 371243-02-6 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-5-methyl-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)

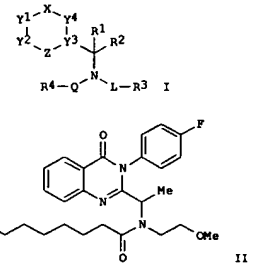


L5 ANSWER 41 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:813938 HCAPLUS
DOCUMENT NUMBER: 137:337907
TITLE: Preparation of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions
INVENTOR(S): Medina, Julio C.; Johnson, Michael G.; Li, An-Rong; Liu, Jiwen; Huang, Alan Xi; Zhu, Liusheng; Marcus, Andrew P.
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083143	A1	20021024	WO 2001-US47850	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431553	AA	20021024	CA 2001-2431553	20011211
US 2002169159	A1	20021114	US 2001-15532	20011211
US 6964967	B2	20051115		
EP 1343505	A1	20030917	EP 2001-273533	20011211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004536796	T2	20041209	JP 2002-580947	20011211
BR 2001016096	A	20051018	BR 2001-16096	20011211
US 2003069234	A1	20030410	US 2002-164690	20020606
US 6794379	B2	20040921		
US 2003055054	A1	20030320	US 2002-231895	20020829
ZA 2003004342	A	20050509	ZA 2003-4342	20030603
NO 2003002612	A	20030805	NO 2003-2612	20030610
US 2005075333	A1	20050407	US 2004-946935	20040921
PRIORITY APPLN. INFO.:			US 2000-255241P	P 20001211
			US 2001-296499P	P 20010606
			US 2001-15532	A1 20011211
			WO 2001-US47850	W 20011211
			US 2002-164690	A1 20020606

OTHER SOURCE(S): MARPAT 137:337907
GI

L5 ANSWER 41 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



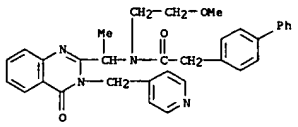
II

AB Title compds. I [wherein X = a bond, CO, CR5R6, CR5:, SO, SO2, or N: ; Z = a bond, N:, O, S, NR17, or CR7: ; with the proviso that X and Z are not both a bond; L = CO-alkylene or (hetero)alkylene; Q = (hetero)alkylene, CO, OCO, NR8CO, CH2CO, CH2SO, or CH2SO2; or NLQ = heterocyclyl; R1 and R2 = independently H, (hetero)alkyl, or (hetero)aryl; or CR1R2 = (hetero)cyclyl; or CNR2L = heterocyclyl; R3 = OH, alkoxy, NH2, (di)alkylamino, heteroalkyl, heterocyclyl, acylaminoamidino, guanidino, ureido, CN, heteroaryl, carbamoyl, or carboxy; R4 = (hetero)alkyl, (hetero)aryl, etc.; R5 and R6 = independently H, (hetero)alkyl, or (hetero)aryl; or CR5R6 = a ring; R7 and R8 = independently H, (hetero)alkyl, or (hetero)aryl; Y1 and Y2 = independently CR12: N:, O, S, or NR13; Y3 = N or C, wherein C shares a double bond with either Z or Y4; Y4 = NR14, CR14:, N:, NR14CR15R16; R12 = H, halo, OH, NH2, (di)alkylamino, (hetero)alkyl, or (hetero)aryl, with provisos; R13 = H, (hetero)alkyl, (hetero)aryl, etc.; R14 = (hetero)alkyl, (hetero)aryl, etc.; R15 and R16 = independently H or (hetero)alkyl; R17 = H, (hetero)alkyl, (hetero)aryl, etc.; with provisos] were prepared as chemokine receptor modulators, in particular CXCR3 antagonists. For example, anthranilic acid was acylated with propionyl chloride and the amide cyclized using acetic anhydride to give 2-ethylbenzo[d][1,3]oxazine-4-one. Treatment with 4-fluoroaniline, followed by ethylene glycol and NaOH afforded 2-ethyl-3-(4-fluorophenyl)-3H-quinazolin-4-one. Bromination and stepwise addition of 1-amino-2-methoxyethane and decanoyl chloride produced the decanoic acid (quinazolinylethyl)(methoxyethyl)amide II. Approx. one third of the 101 invention compds. tested in a CXCR3 binding assay displayed activity with IC50 values of < 1 μM. I are useful for the treatment of inflammatory and immunoregulatory disorders and diseases, such as multiple sclerosis, rheumatoid arthritis, and type I diabetes (no data).

IT **473718-66-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(CXCR3 antagonist; preparation of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions)

RN 473718-66-0 HCAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-4-oxo-3-(4-pyridinylmethyl)-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 41 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

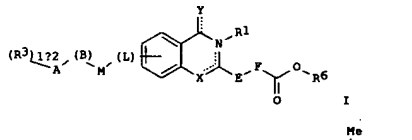
L5 ANSWER 42 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:793620 HCAPLUS
DOCUMENT NUMBER: 137:294975
TITLE: Preparation of quinazolinepropanoic acids and related compounds for the treatment of integrin-mediated disorders
INVENTOR(S): Hoekstra, William J.; Lawson, Edward C.; Costanzo, Michael J.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081467	A1	20021017	WO 2002-US10596	20020405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003139398	A1	20030724	US 2002-117542	20020405
EP 1389205	A1	20040218	EP 2002-763938	20020405
EP 1389205	B1	20051221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004529918	T2	20040930	JP 2002-579455	20020405
PRIORITY APPLN. INFO.:			US 2001-282648P P	20010409
			WO 2002-US10596 W	20020405

OTHER SOURCE(S): MARPAT 137:294975
GI

L5 ANSWER 42 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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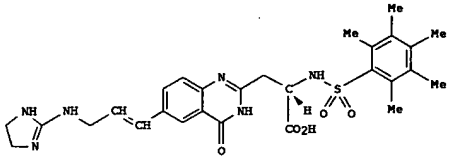


II

AB The invention is directed to novel quinazoline and quinazoline-like derivs. (shown as I (e.g. 6-[(1E)-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-1-propenyl]-(αS)-3,4-dihydro-4-oxo-α-[[(2,3,4,5,6-pentamethylphenyl)sulfonyl]amino]-2-quinazolinepropanoic acid (shown as II)); and pharmaceutically acceptable racemates, enantiomers, diastereomers and salts thereof), their usefulness as integrin antagonists and methods for the treatment of integrin-mediated disorders. In I, A is carbonyl, amino, carbamoyl, acetamido, acetimido, amidino, iminomethylamino, ureido, biureto, biurea, thioureido, guanidino, biguanidino, biguanidino, amidrazone, hydrazo, carbazoyl, semicarbazido, cycloalkylene, heterocyclene, arylene and heteroarylene. (B) is optionally present and is NH, O and C(O); M is C1-C6 alkylene, C2-6 alkenylene, C2-C6 alkymylene and arylene. R3 is 1-2 substituents independently H, C1-C8 alkyl, cycloalkyl, heterocyclo, aryl, aryl(C1-C8)alkyl, heteroaryl, heteroaryl(C1-C8)alkyl, amino, C1-C8 alkylamino, di(C1-C8)alkylamino, imino, iminomethyl, amidino, C1-C8 alkylamidino, di(C1-C8)alkylamidino, cycloalkylamidino, halogen and hydroxy. (L) is optionally present and is NH, O, S and C(O); Y is two substituents joined to the ring by single-bonds and one substituent joined to the ring by a double-bond. X is N, NH, O and S; R1 is optionally present and is H, C1-C8 alkyl, cycloalkyl, cycloalkyl(C1-C6)alkyl, aryl, aryl(C1-C6)alkyl, heteroaryl, heteroaryl(C1-C6)alkyl, arylamino and heteroarylamino; E is C1-C4 alkyl substituted with W and W'; F is C1-C4 alkyl substituted with U and U'. W, W', U and U' are independently H, C1-C8 alkyl, C2-C8 alkenyl, C2-C8 alkynyl, cycloalkyl, cycloalkyl(C1-C4)alkyl, heterocyclo, heterocyclo(C1-C4)alkyl, aryl, aryl(C1-C4)alkyl, biaryl, heteroaryl, heteroaryl(C1-C4)alkyl, -N[(R4),T(R5)] and halogen. R4 is H and C1-C8 alkyl; T is arylene, carbonyl, carboxy, sulfonyl and -C(O)NH-. R5 is H, C1-C8 alkyl, C2-C8 alkenyl, cycloalkyl, heterocyclo, aryl, aryl(C1-C4)alkyl, aryl(C2-C4)alkenyl, biaryl, biaryl(C1-C4)alkyl, heteroaryl, heteroaryl(C1-C4)alkyl and amino. R6 is H, C1-C8 alkyl and (CH2)1-8CON(R7)2; and, R7 is H, C1-C8 alkyl and cycloalkyl. Although the methods of preparation are not claimed, 18 example prepns. are included and

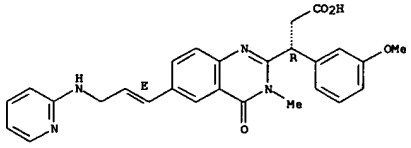
L5 ANSWER 42 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

specific compds. are claimed. I block vitronectin by binding to isolated αvβ3 (demonstrating IC50 values of from .apprx.1 to .apprx.300 nM) and inhibit fibrinogen by binding to isolated GPIIb/IIIa as well. I inhibit integrin-mediated cell-cell or cell-matrix adhesion and, therefore, may be useful in treating integrin mediated disorders including, but not limited to, restenosis, thrombosis, inflammation, atherosclerosis, arthritis, angiogenesis, osteoporosis, bone resorption, tumor cell metastasis, tumor growth, macular degeneration, diabetic retinopathy, and diseases of the lung/airway.

IT **470443-55-1P**, (βR)-3,4-Dihydro-β-(3-methoxyphenyl)-3-methyl-4-oxo-6-[(1E)-3-(2-pyridinylamino)-1-propenyl]-2-quinazolinepropanoic acid
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazolinepropanoic acids and related compds. for treatment
of integrin-mediated disorders)

RN 470443-55-1 HCAPLUS
CN 2-Quinazolinepropanoic acid, 3,4-dihydro-β-(3-methoxyphenyl)-3-methyl-4-oxo-6-[(1E)-3-(2-pyridinylamino)-1-propenyl]-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

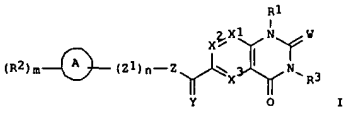
L5 ANSWER 43 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:637660 HCAPLUS
DOCUMENT NUMBER: 137:185501
TITLE: Preparation of quinazolines as specific inhibitors of type-13 matrix metalloprotease
INVENTOR(S): Andrianjara, Charles; Chantel-Barvian, Nicole; Gaudilliere, Bernard; Jacobelli, Henri; Ortwine, Daniel Fred; Patt, William Chester; Pham, Ly; Kostlan, Catherine Rose; Wilson, Michael William
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064572	A1	20020822	WO 2002-EP1979	20020211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437122	AA	20020822	CA 2002-2437122	20020211
EP 1368324	A1	20031210	EP 2002-722137	20020211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300384	A	20031215	EE 2003-384	20020211
JP 2004523546	T2	20040805	JP 2002-564505	20020211
CN 1537105	A	20041013	CN 2002-805014	20020211
BR 2002007268	A	20050315	BR 2002-7268	20020211
US 2002193377	A1	20021219	US 2002-75954	20020213
ZA 2003006008	A	20041104	ZA 2003-6008	20030804
NO 2003003593	A	20030813	NO 2003-3593	20030813
BG 108091	A	20041230	BG 2003-108091	20030813
PRIORITY APPLN. INFO.:			US 2001-268661P P	20010214
			WO 2002-EP1979 W	20020211

OTHER SOURCE(S): CASREACT 137:185501; MARPAT 137:185501
GI

L5 ANSWER 43 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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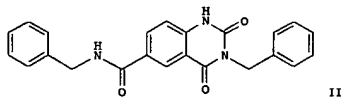
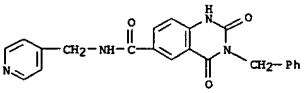


II

AB Title compds. I [R1 = H, amino, alkyl, alkenyl, alkynyl, alkylamino, aryl, heterocycle, etc.; W = O, S, =N-R'; R' = alkyl, OH, CN; X1-3 = N, C-R6; R6 = H, alkyl, amino, alkylamino, etc.; Y = O, S, NH, N-alkyl; Z = O, S, NR7; R7 = H, alkyl, aryl, aryl, heteroaryl, etc.; n = 1-8; Z1 = alkyl; A = (non)aromatic, 5- or 6-membered monocycle comprising from 0 to 4 heteroatoms selected from N, O, S, etc.; m = 0-7; R2 = alkyl, halo, CN, NO2, SCF3, CF3, OCF3, etc.; R3 = H, alkyl, alkenyl, alkynyl, etc.] were prepared Over 200 synthetic examples were provided. For instance, di-Me 4-aminoisophthalate was reacted with benzylisocyanate and heated to 95-100° overnight to give Me 3-benzyl-2,4-dioxo-1,2,3,4-tetrahydroquinazoline-6-carboxylate which was saponified (dioxaneaq, LiOH, reflux) to give the carboxylic acid. This intermediate was coupled with benzylamine to afford II. Selected examples of I had IC50 = 2.25 - 0.001 μM for MMP13 and IC50 > 100 μM for MMP1, MMP2, MMP3, MMP7, MMP9, MMP12 and MMP14; II had IC50 = 0.193 μM for MMP13. Compds. I are useful for the treatment of osteoarthritis and rheumatoid arthritis.

IT **449208-02-0P**, 3-Benzyl-2,4-dioxo-1,2,3,4-tetrahydroquinazoline-6-carboxylic acid (4-pyridylmethyl)amide
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(MMP13 inhibitor; preparation of quinazolines as specific inhibitors of type-13 matrix metalloprotease)

RN 449208-02-0 HCAPLUS
CN 6-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-2,4-dioxo-3-(phenylmethyl)-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 43 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 44 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:637472 HCAPLUS
DOCUMENT NUMBER: 137:201321
TITLE: Preparation of substituted isophthalic acid derivatives, multicyclic pyrimidinediones and analogs thereof as matrix metalloproteinase inhibitors
INVENTOR(S): Andrianjara, Charles; Ortwine, Daniel Fred; Pavlovsky, Alexander Gregory; Roark, William Howard
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064080	A2	20020822	WO 2002-IB447	20020213
WO 2002064080	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437643	AA	20020822	CA 2002-2437643	20020213
US 2003078276	A1	20030424	US 2002-75069	20020213
EP 1361873	A2	20031119	EP 2002-710275	20020213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007864	A	20040309	BR 2002-7864	20020213
JP 2004529874	T2	20040930	JP 2002-563877	20020213
US 2005004126	A1	20050106	US 2004-835619	20040429
PRIORITY APPLN. INFO.:			US 2001-268821P P	20010214
			US 2002-75069 B3	20020213
			WO 2002-IB447 W	20020213

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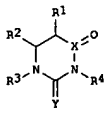
L5 ANSWER 44 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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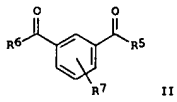

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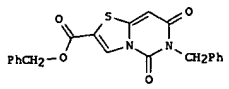


III

AB Title compds., I [R1 and R2 together may form a substituted aromatic ring or a heterocyclic ring; or R2 and R3 together may form substituted heterocycle; or R1, R3, or R4 = alkyl, arylalkyl, etc.; X = C, S; Y = O, N with provision when Y = N it forms a 5-membered heterocycle with R3] and II [R5, R6 = arylalkylamine, heterocyclylalkoxy, etc.; R7 = H, MeO, NO2, etc.], are prepared and disclosed as matrix metalloproteinase (MMP) inhibitors. Thus, III was prepared in five steps via cyclocondensation of diethylmalonate and benzylurea with subsequent chlorination, substitution with hydrosulfide hydrate to form an in situ intermediate that was reacted with bromoacetaldehyde dimethylacetal, followed by acid catalyzed cyclization and substitution with benzylchloroformate. III was demonstrated to inhibit MMP13 with an IC50 value (in μM) of 0.0230. I and II bind allosterically to the catalytic domain of MMP-13 and comprise a hydrophobic group, first and second hydrogen bond acceptors and at least one, and preferably both, of a third hydrogen bond acceptor and a second hydrophobic group. Cartesian coordinates for centroids of the above features are defined in the specification. When the ligand binds to MMP-13, the first, second and third (when present) hydrogen bond acceptors bond resp. with Thr245, Thr247 and Met 253, the first hydrophobic group locates within the S1' channel of MMP-13 and the second hydrophobic group (when present) is relatively open to solvent. The compds. specifically inhibit the matrix metalloproteinase-13 enzyme and thus are useful for treating diseases resulting from tissue breakdown, such as heart disease, multiple sclerosis, arthritis, atherosclerosis, and osteoporosis.

IT **449208-02-0P**

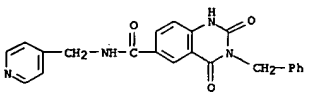
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(target compound; preparation and pharmaceutical activity of substituted isophthalic acid derivs., multicyclic pyrimidinediones and analogs thereof as matrix metalloproteinase inhibitors)

RN 449208-02-0 HCAPLUS

CN 6-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-2,4-dioxo-3-(phenylmethyl)-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 44 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 45 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:466744 HCAPLUS

DOCUMENT NUMBER: 137:47104

TITLE: Preparation of heteroarylsulfonylureas and related compounds as platelet ADP receptor antagonists

INVENTOR(S): Scarborough, Robert M.; Jantzen, Hans-michael; Huang, Wolin; Sedlock, David M.; Marlowe, Charles K.; Kane-Maguire, Kim A.

PATENT ASSIGNEE(S): Portola Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 193 pp., Cont.-in-part of U.S. Ser. No. 755,812.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002077486	A1	20020620	US 2001-920325	20010802
US 6906063	B2	20050614		
WO 2001057037	A1	20010809	WO 2001-US3585	20010205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002025961	A1	20020228	US 2001-775812	20010205
CA 2468925	AA	20030213	CA 2002-2468925	20020725
EP 1412364	A1	20040428	EP 2002-750339	20020725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504035	T2	20050210	JP 2003-517063	20020725
WO 2003011872	A1	20030213	WO 2002-US23909	20020726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003162774	A1	20030828	US 2003-350883	20030123
US 6689786	B2	20040210		
US 2004147576	A1	20040729	US 2004-759396	20040115
US 2005228029	A1	20051013	US 2004-941053	20040913

PRIORITY APPLN. INFO.:

US 2000-180208P	P	20000204
US 2000-202072P	P	20000505
US 2000-230447P	P	20000906
US 2001-775812	A2	20010205
WO 2001-US3585	A2	20010205
US 2001-920325	A	20010802
WO 2002-US23909	W	20020725
US 2003-350883	A1	20030123

OTHER SOURCE(S): MARPAT 137:47104

L5 ANSWER 45 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB DWN(E)C(:Y)NHSO2A, DWC(:Y)NHSO2A, DWN(E)C(:Y)NHCH2A, DWN(E)C(SZ):NSO2A, etc.; [A = (substituted) aryl, heteroaryl, alkylaryl, alkylheteroaryl; W = (substituted) aryl, heteroaryl; D = NR1COR2, OR1, specified heteroaryl; E = H, alkyl, polyhaloalkyl, cycloalkyl, alkylaryl, (substituted) aryl, heteroaryl; Z = alkyl; R1 = H, alkyl, polyhaloalkyl, cycloalkyl, alkylaryl, alkylcarbonyl, (substituted) arylcarbonyl, aryl, heteroaryl, heteroarylcarbonyl; R2 = (substituted) aryl, heteroaryl; R1R2 = bond, atoms to form a C1-8 chain], were prepared as inhibitors of ADP-mediated platelet aggregation (no data). Thus, N-(4-amino-2-methylphenyl)-4-chlorophthalimide di-Me N-cyanodithioiminocarbonate were stirred in pyridine at 115° for 8 h to give a residue. The residue was heated with DBU, DMAP, and 5-chlorothiophene-2-sulfonamide in pyridine at 115° for 23 h to give 5-chloro-2-[4-[[[[(5-chlorothiophen-2-yl)sulfonyl]amino](cyanoimino)methyl]amino]-2-methylphenyl]benzo[c]azolidine-1,3-dione.

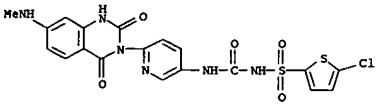
IT **438208-56-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of heteroarylsulfonylureas and related compds.
as platelet ADP receptor antagonists)

RN 438208-56-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[[[6-[1,4-dihydro-7-(methylamino)-2,4-dioxo-3(2H)-quinazolinyl]-3-pyridinyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



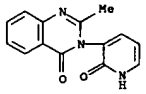
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 46 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:378049 HCAPLUS
DOCUMENT NUMBER: 138:122608
TITLE: Synthesis of derivatives of 4(3H)-quinazolinone with biological activities from N-acetylanthranilic acid
AUTHOR(S): Nguyen, Ngoc Ninh; Truong, The Ky
CORPORATE SOURCE: Institute of Testing, Ho Chi Minh City, Vietnam
SOURCE: Tap Chi Duoc Hoc (2002), (1), 19-22
CODEN: TCDHDQ; ISSN: 0258-6967
PUBLISHER: Bo Y Te Xuat Ban
DOCUMENT TYPE: Journal
LANGUAGE: Vietnamese
OTHER SOURCE(S): CASREACT 138:122608

AB 4(3H)-Quinazolinone derivs. were synthesized by the condensation of N-acetylanthranilic acid with aromatic amines or heteroarom. amines, resp. The obtained compds. were characterized by their m.p., elemental anal. data, and their mass, UV, IR, 1H and 13C NMR spectra. The obtained derivs. of 4(3H)-quinazolinone were also biol. screened for hypnotic, analgesic, antibacterial and cytotoxic activities. 3-(2-Hydroxy-3-pyridinyl)-2-methyl-4(3H)-quinazolinone at 25 mg/kg showed analgesic activity in mice. No compds. showed hypnotic, cytotoxic and antibacterial activity.

IT **88369-51-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of derivs. of 4(3H)-quinazolinone with biol. activities from N-acetylanthranilic acid)

RN 88369-51-1 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(1,2-dihydro-2-oxo-3-pyridinyl)-2-methyl- (9CI) (CA INDEX NAME)

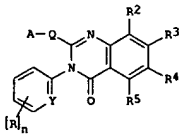


L5 ANSWER 47 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:256241 HCAPLUS
DOCUMENT NUMBER: 136:294843
TITLE: Preparation of bicyclic pyrimidin-4-one based inhibitors of factor Xa
INVENTOR(S): Zhang, Penglie; Li, Wenhao; Huang, Wenrong; Wang, Lingyan; Jia, Zhaozhong Jon; Scarborough, Robert M.; Zhu, Bing-Yan
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026718	A2	20020404	WO 2001-US30335	20011001
WO 2002026718	A3	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014546	A5	20020408	AU 2002-14546	20011001
PRIORITY APPLN. INFO.:			US 2000-236331P P	20000929
			WO 2001-US30335 W	20011001

OTHER SOURCE(S): MARPAT 136:294843
GI

L5 ANSWER 47 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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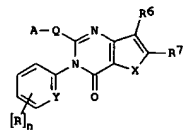
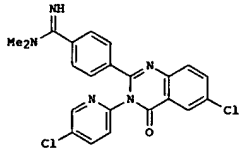


II

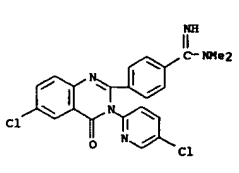


III

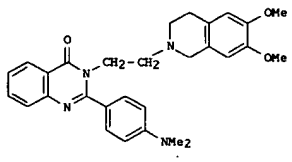
AB The title compds. [I or II; A = C(:NH)NMe2, C(:NH)NH2, 1-methylimidazol-2-yl; Q = (un)substituted phenylene, thienylene, pyridylene; R2 = H, halo, alkoxy, etc.; R3-R7 = H, F, Cl, alkoxy, etc.; Y = CH, N; X = O, S; R = H, halo, alkyl, etc.; n = 1-5] having activity against mammalian factor Xa, and therefore useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis, were prepared E.g., a 4-step synthesis of III, starting with 2-amino-5-chloropyridine and 5-chloroisatoic anhydride, was given.

IT **406937-11-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic pyrimidin-4-one based inhibitors of factor Xa)

RN 406937-11-9 HCAPLUS
CN Benzenecarboximidamide, 4-[6-chloro-3-(5-chloro-2-pyridinyl)-3,4-dihydro-4-oxo-2-quinazolinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



L5 ANSWER 48 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:116950 HCAPLUS
DOCUMENT NUMBER: 137:163309
TITLE: Studies on Quinazolinones as Dual Inhibitors of Pgp and MRP1 in Multidrug Resistance
AUTHOR(S): Wang, Shouming; Ryder, Hamish; Pretswell, Ian; Depledge, Paul; Milton, John; Hancox, Timothy C.; Dale, Ian; Dangerfield, Wendy; Charlton, Peter; Faint, Richard; Dodd, Rory; Hassan, Stephanie
CORPORATE SOURCE: Department of Medicinal Chemistry, Xenova Ltd., Slough, Berkshire, SL1 4NL, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(4), 571-574
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:163309
GI

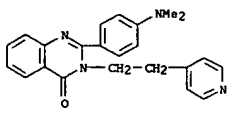


I

AB We have identified a series of quinazolinone analogs with potent dual inhibitory activities against both P glycoprotein (Pgp) and MRP1. Compound I exhibits equal potentiation activity in both assays and appears to be slightly more active than VX-710 in reversal of Pgp and MRP1 mediated drug resistance.

IT **446293-68-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(quinazolinone analogs with dual inhibitory activities against P glycoprotein and MRP1)

RN 446293-68-1 HCAPLUS
CN 4(3H)-Quinazolinone, 2-[4-(dimethylamino)phenyl]-3-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

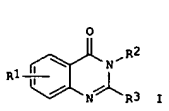


L5 ANSWER 48 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 49 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:23848 HCAPLUS
DOCUMENT NUMBER: 136:85820
TITLE: Preparation of quinazolines and quinazolinones as neuropeptide Y receptor antagonists for treatment of obesity and circulatory disorders
INVENTOR(S): Carpino, Philip A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6337332	B1	20020108	US 1999-382418	19990824
PRIORITY APPLN. INFO.:			US 1998-100749P P	19980917

OTHER SOURCE(S): MARPAT 136:85820
GI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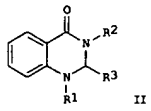
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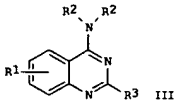
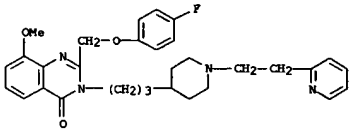

III

AB Title compds. (I, II, and III) [wherein R1 = (halo)methyl, OMe, or halo; R2 = H, (un)substituted piperidinylpropyl or piperazinylpropyl, (halo)phenylpropyl, or pyridinylpropyl; R3 = Me, (halo)styryl, or (halo)phenoxymethyl; and pharmaceutically acceptable salts thereof] were prepared as neuropeptide Y antagonists. For example, a solution of 4-chlorophenoxyacetyl chloride in toluene was added to a solution of 2-amino-3-methoxybenzoic acid and DMAP in pyridine and stirred for 17 h at 5°C to give a mixture of 2-[2-(4-chlorophenoxy)acetylamino]-3-methoxybenzoic acid and 2-(4-chlorophenoxymethyl)-8-methoxybenzo[d][1,3]oxazin-4-one. The mixture was heated to 150°C in formamide for 17 h and cooled to room temperature to afford 2-(4-chlorophenoxymethyl)-8-methoxy-3H-quinazolin-4-one. The invention compds. are useful for the treatment of obesity and circulatory disorders (no data).
IT **387346-42-1P**

L5 ANSWER 49 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolines and quinazolinones as neuropeptide Y receptor antagonists for treatment of obesity and circulatory disorders)
RN 387346-42-1 HCAPLUS
CN 4(3H)-Quinazolinone, 2-[(4-fluorophenoxy)methyl]-8-methoxy-3-[3-[1-[2-(2-pyridinyl)ethyl]-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



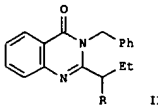
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 50 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:935583 HCAPLUS
DOCUMENT NUMBER: 136:53759
TITLE: Preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors
INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustav; Feng, Bainian; Smith, Whitney W.; Chabala, John C.; Morgans, David J., Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098278	A1	20011227	WO 2001-US13901	20010427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6545004	B1	20030408	US 2000-699047	20001024
JP 2003048881	A2	20030221	JP 2002-156766	20001026
US 6562831	B1	20030513	US 2000-724644	20001128
US 6630479	B1	20031007	US 2000-724713	20001128
US 6831085	B1	20041214	US 2000-724941	20001128
CA 2413426	AA	20011227	CA 2001-2413426	20010427
EP 1296959	A1	20030402	EP 2001-932769	20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011898	A	20030513	BR 2001-11898	20010427
JP 2004501140	T2	20040115	JP 2002-504234	20010427
NZ 523233	A	20041029	NZ 2001-523233	20010427
ZA 2002010133	A	20030617	ZA 2002-10133	20021213
NO 2002006172	A	20030220	NO 2002-6172	20021220
US 2004023996	A1	20040205	US 2003-312323	20030815
US 2004254203	A1	20041216	US 2004-893929	20040720
US 2005187232	A1	20050825	US 2005-84787	20050321
PRIORITY APPLN. INFO.:			US 2000-213104P P	20000621
			US 2000-699047 A	20001024
			US 1999-198253P P	19991027
			JP 2001-533122 A3	20001026
			US 2000-724778 A3	20001128
			US 2000-724941 A3	20001128
			WO 2001-US13901 W	20010427

OTHER SOURCE(S): MARPAT 136:53759
GI

L5 ANSWER 50 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



II

AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3''; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepared Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F-4)CH2CH2NMe2]. Data for biol. activity of I were given.

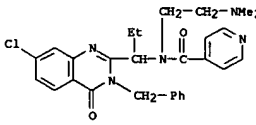
IT **336117-74-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors)

RN 336117-74-9 HCAPLUS

CN 4-Pyridinecarboxamide, N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

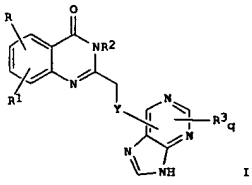
L5 ANSWER 51 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:798224 HCAPLUS
DOCUMENT NUMBER: 135:357937
TITLE: Quinazolinone derivatives as inhibitors of human phosphatidylinositol 3-kinase delta
INVENTOR(S): Sadhu, Chanchal; Dick, Ken; Treiberg, Jennifer; Sowell, C. Gregory; Kesicki, Edward A.; Oliver, Amy
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081346	A2	20011101	WO 2001-US13315	20010424
WO 2001081346	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2406278	AA	20011101	CA 2001-2406278	20010424
EP 1278748	A2	20030129	EP 2001-928855	20010424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010371	A	20030617	BR 2001-10371	20010424
JP 2003531209	T2	20031021	JP 2001-578436	20010424
NZ 522076	A	20050826	NZ 2001-522076	20010424
NO 2002005104	A	20021210	NO 2002-5104	20021024
ZA 2002008698	A	20031010	ZA 2002-8698	20021028
PRIORITY APPLN. INFO.:			US 2000-199655P P	20000425
			US 2000-238057P P	20001005
			WO 2001-US13315 W	20010424

OTHER SOURCE(S): MARPAT 135:357937
GI

L5 ANSWER 51 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB Methods of inhibiting phosphatidylinositol 3-kinase delta isoform (PI3Kδ) activity, and methods of treating diseases, such as disorders of immunity and inflammation, in which PI3Kδ plays a role in leukocyte function are claimed. Preferably, the methods employ active agents that selectively inhibit PI3Kδ, while not significantly inhibiting activity of other PI3K isoforms. Compds. are provided that inhibit PI3Kδ activity, including compds. that selectively inhibit PI3Kδ activity. The compds. claimed are all quinazolin-4-one derivs., including I [Y = null, S, NH; R = H, halo, OH, OMe, Me, CF3; R1 = H, OMe, halo; RR1 together with C-6 and C-7 of quinazoline ring define a 5- or 6-membered aromatic ring optionally containing ≥ 1 O, N or S; R2 = C1-6 alkyl, Ph, halophenyl, alkylphenyl, biphenyl, PhCH2, pyridinyl, 4-methylpiperazinyl, CO2Et, morpholinyl; R3 = NH2, halo, C1-3 alkyl, S(C1-3 alkyl), OH, NH(C1-3 alkyl), N(C1-3 alkyl)2, NH(C1-3 alkylenephenyl); q = 1, 2] and pharmaceutically acceptable salts and solvates thereof. Methods of using PI3Kδ inhibitory compds. to inhibit cancer cell growth or proliferation are also provided. Accordingly, the invention provides methods of using PI3Kδ inhibitory compds. to inhibit PI3Kδ-mediated processes in vitro and in vivo. Thus, in an example, dose-dependent decrease in histamine release from basophils when stimulated with anti-IgE was 100% at 1,000 nM, with an EC50 of about 25 nM for I (Y = S, R = 5-Me, R1 = H, R2 = 2-ClC6H4, R3 = H; 5 connected to 6-position of purine ring; preparation given).

IT **371242-82-9P**

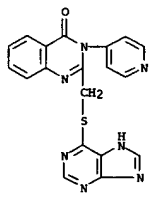
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and inhibition of human phosphatidylinositol kinase by)

RN 371242-82-9 HCAPLUS

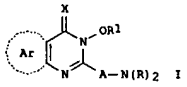
CN 4(3H)-Quinazolinone, 2-[(1H-purin-6-ylthio)methyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 51 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 52 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:713346 HCAPLUS
DOCUMENT NUMBER: 135:257265
TITLE: Synthesis and leukotriene and histamine inhibiting activity of N-hydroxyquinazolines for the treatment of asthma and allergy
INVENTOR(S): Gao, Yun; Rubin, Paul; Xiaoyi, Nie; Zepp, Charles
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070737	A2	20010927	WO 2001-US8726	20010320
WO 2001070737	A3	20020131		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002082268	A1	20020627	US 2001-813096	20010320
PRIORITY APPLN. INFO.:			US 2000-190620P	P 20000320
OTHER SOURCE(S):	MARPAT 135:257265			
GI				



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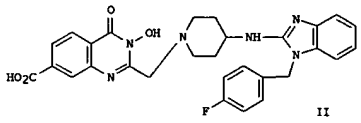
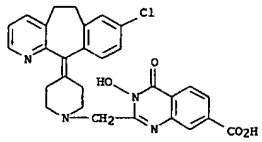


II

AB The present invention relates to synthesis of N-hydroxyquinazolines (I) [X = O, S; R1 = H or physiol. cleavable group; A = null, CH2, CH=CH,

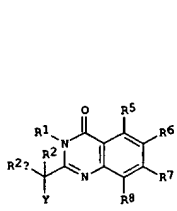
L5 ANSWER 52 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
C.tplbond.C, NH; Ar = (un)substituted aryl or heteroaryl ring; N(R)2 = (un)substituted carbocycle, heterocycle, aryl or heteroaryl ring] capable of inhibiting leukotriene activity and histamine activity, and their use in treating asthma and allergic conditions such as hay fever, dermatitis, and urticaria. Thus, II was prepd. in 10 steps from di-Me nitroterephthalate by sapon., esterification, sapon., nitro redn., cyclocondensation, aminolysis, cyclocondensation with chloroacetyl chloride, reaction with norastemizole, debenzylation and sapon. II shows an IC50 of <1 uM in binding assay to H1 receptor. Inhibition of both pathways permits more effective treatment of conditions with fewer side effects than can be achieved using most available antihistamines alone.
IT **362469-69-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and leukotriene and histamine inhibiting activity of N-hydroxyquinazolines for the treatment of asthma and allergy)
RN 362469-69-0 HCAPLUS
CN 7-Quinazolinecarboxylic acid, 2-[[4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]methyl]-3,4-dihydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



L5 ANSWER 53 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:319882 HCAPLUS
DOCUMENT NUMBER: 134:326543
TITLE: Methods and compositions utilizing quinazolinones as KSP kinesin modulators
INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030768	A1	20010503	WO 2000-US29585	20001026
WO 2001030768	C2	20020815		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2388646	AA	20010503	CA 2000-2388646	20001026
BR 2000015110	A	20020702	BR 2000-15110	20001026
EP 1226129	A1	20020731	EP 2000-976656	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003048881	A2	20030221	JP 2002-156766	20001026
JP 2003512461	T2	20030402	JP 2001-533122	20001026
NZ 518480	A	20040227	NZ 2000-518480	20001026
AU 774748	B2	20040708	AU 2001-14398	20001026
US 6562831	B1	20030513	US 2000-724644	20001128
US 6630479	B1	20031007	US 2000-724713	20001128
US 6831085	B1	20041214	US 2000-724941	20001128
ZA 2002002930	A	20021028	ZA 2002-2930	20020415
NO 2002001907	A	20020607	NO 2002-1907	20020423
ZA 2002010133	A	20030617	ZA 2002-10133	20021213
NZ 530074	A	20050324	NZ 2003-530074	20031210
US 2004254203	A1	20041216	US 2004-893929	20040720
US 2005187232	A1	20050825	US 2005-84787	20050321
PRIORITY APPLN. INFO.:			US 1999-198253P	P 19991027
			US 2000-213104P	P 20000621
			US 2000-699047	A1 20001024
			JP 2001-533122	A3 20001026
			WO 2000-US29585	W 20001026
			US 2000-724778	A3 20001128
			US 2000-724941	A3 20001128
OTHER SOURCE(S):	MARPAT 134:326543			
GI				

L5 ANSWER 53 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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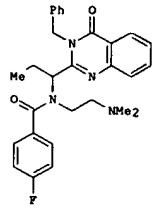
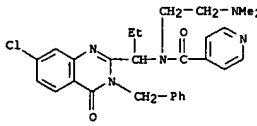


II

AB Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] were prepared by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH2NH2 to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addition of N,N-dimethylethylenediamine (55%), and (6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.
IT **336117-74-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)
RN 336117-74-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 53 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



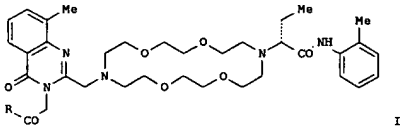
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 54 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:265417 HCAPLUS
DOCUMENT NUMBER: 134:280870
TITLE: Preparation and formulation of quinazolinones and analogs for therapeutic use as local anesthetics
INVENTOR(S): Axt, Sabine A.; Church, Timothy J.; Jacobsen, John R.; Jenkins, Thomas E.; Ji, Yu-hua; Wu, Huiwei
PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025234	A1	20010412	WO 2000-US26810	20000928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6355637	B1	20020312	US 2000-671626	20000928
EP 1216243	A1	20020626	EP 2000-968488	20000928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6436919	B1	20020820	US 2000-671630	20000928
PRIORITY APPLN. INFO.:			US 1999-157368P	P 19991001
			WO 2000-US26810	W 20000928

OTHER SOURCE(S): MARPAT 134:280870
GI



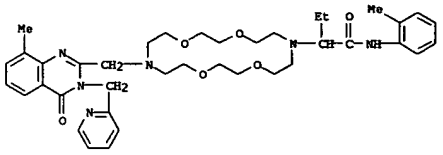
I

AB Quinazolinones, such as L1-X-L2, [L1 = heterocyclyl, such as quinazolin-2-yl, 3,1-benzoxazin-2-yl, 3,1-benzthiazin-2-yl, etc.; L2 = ArW; Ar = aryl, heteroaryl, cycloalkyl, etc.; W = linking group, such as alkyl, alkylcarbonyloxy, etc.; X = linking group, such as aminoalkylamino, 1,4,10,13-tetraoxa-7,16-diazacyclooctadecan-7,16-diyl, etc.], were prepared

L5 ANSWER 54 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
and formulated for use as local anesthetics. Thus, quinazolinone I (R = 4-morpholinyl) was via a multistep synthetic sequence starting from PhCH2OCONHCH2CO2H, morpholine, 3-Me-4-NO2C6H3CO2H, ClCOCH2Cl, (R)-MeCH2CH(NH2)CO2H, and H(OCH2CH2)3Cl. The prepd. quinazolinones were tested for anesthetic activity by the whole cell variant of the patch-clamp method and by the rat sciatic nerve sucrose-gap assay. Various pharmaceutical formulations for both topical application and injection were presented.

IT 333794-09-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and formulation of quinazolin-2-ones, which modulate voltage-gated sodium channels, for therapeutic use as local anesthetics)

RN 333794-09-4 HCAPLUS
CN 1,4,10,13-Tetraoxa-7,16-diazacyclooctadecane-7-acetamide, 16-[[3,4-dihydro-8-methyl-4-oxo-3-(2-pyridinylmethyl)-2-quinazolinyl]methyl]-α-ethyl-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)



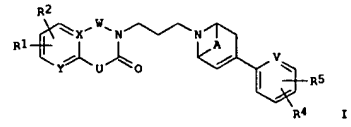
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 55 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:185074 HCAPLUS
DOCUMENT NUMBER: 134:222727
TITLE: Preparation of tetrahydroquinazoline-2,4-diones for inhibiting serotonin reuptake or 5-HT2A serotonin receptor binding
INVENTOR(S): Butler, Todd William; Fliri, Anton Franz Josef; Gallaschun, Randall James; Jones, Brian Patrick; Ragan, John Anthony
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1083178	A1	20010314	EP 2000-307433	20000830
EP 1083178	B1	20040915		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6521630	B1	20030218	US 2000-650486	20000829
JP 2001114778	A2	20010424	JP 2000-261115	20000830
JP 3285343	B2	20020527		
AT 276261	E	20041015	AT 2000-307433	20000830
PT 1083178	T	20041231	PT 2000-307433	20000830
ES 2226726	T3	20050401	ES 2000-307433	20000830
JP 2002212161	A2	20020731	JP 2001-337442	20011102
JP 3727569	B2	20051214		
US 2003109516	A1	20030612	US 2003-340287	20030110
PRIORITY APPLN. INFO.:			US 1999-151725P	P 19990831
			US 2000-650486	A3 20000829
			JP 2000-261115	A3 20000830

OTHER SOURCE(S): MARPAT 134:222727
GI



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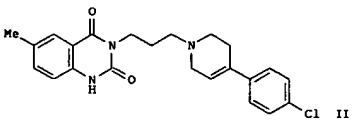


II

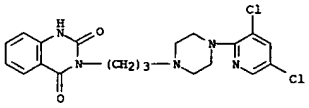
L5 ANSWER 55 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB The title compds. [I; A = (CH2)n (wherein n = 0-2); U = CH2, NH, NR3; R1, R2 = H, alkyl, Cl, etc.; or R1 and R2, together with the atoms to which they are attached, form 5-6 membered carbocyclic or heterocyclic ring; R3 = H, alkyl, C(O)alkyl; R4, R5 = H, alkyl, Cl, etc.; V = CH, CR3, N; W = CH2, CO, SO2; X = C, N; Y = CH, CR1, CR2, N] and their pharmaceutically acceptable salts, useful in treating diseases, conditions or disorders of the central nervous system, were prepared Thus, treatment of Me 2-amino-5-methylbenzoate with triphosgene in the presence of Et3N in CH2C12 followed by addition of 3-[4-(4-chlorophenyl)-3,6-dihydro-2H-pyridin-1-yl]propylamine (preparation given) afforded 79% II. The exemplified compds. I showed more than 50% inhibition at <50 nM in the serotonin reuptake assay and binding assays for 5-Ht2A serotonin receptor.

IT **329789-95-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinazoline-2,4-diones for inhibiting serotonin reuptake or 5-HT2A serotonin receptor binding)

RN 329789-95-9 HCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[3-[4-(3,5-dichloro-2-pyridinyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

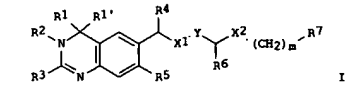
L5 ANSWER 56 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:608742 HCAPLUS
DOCUMENT NUMBER: 133:207917
TITLE: Preparation of anticancer dihydroquinazoline derivatives with a non-folate dependent locus of activity
INVENTOR(S): Skelton, Lorraine; Bavetsias, Vassilis; Jackman, Ann
PATENT ASSIGNEE(S): Cancer Research Campaign Technology Ltd., UK
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050417	A1	20000831	WO 2000-GB655	20000224
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2364708	AA	20000831	CA 2000-2364708	20000224
AU 2000026838	A5	20000914	AU 2000-26838	20000224
AU 772670	B2	20040506		
EP 1155012	A1	20011121	EP 2000-905212	20000224
EP 1155012	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002537391	T2	20021105	JP 2000-600998	20000224
AT 264322	E	20040415	AT 2000-905212	20000224
ES 2219308	T3	20041201	ES 2000-905212	20000224
US 6699861	B1	20040302	US 2001-914010	20011019
PRIORITY APPLN. INFO.:			GB 1999-4275 A	19990224
			WO 2000-GB655 W	20000224

OTHER SOURCE(S): MARPAT 133:207917
GI

L5 ANSWER 56 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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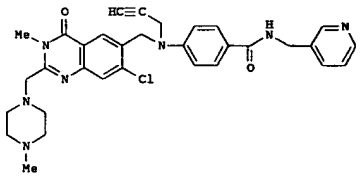


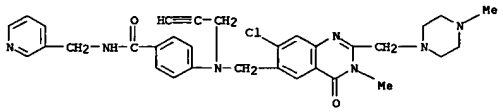
II

AB The title compds. (I) [wherein R1 and R1' together = :O and R2 = H, alkyl, alkyl-CO-B, alkyl-CO-alkyl-B, alkyl-CO2-alkyl-B, alkyl-CO2-alkenyl-B, or alkyl-CONH-alkyl-B; B = CO2H, OH, alkoxy, NH2, (di)alkylamino, or 5- or 6-membered heterocyclic group; or R1' and R2 together = a bond and R1 is alkylthio, NHR', or NHCOR'; R' = aryl or alkyl; R3 = (CH2)pA; p = 1-4; A = 5- or 6-membered N-containing heterocyclic ring attached via the N or NA'A"; A' and A" = independently alkyl groups; R4 = H, :O, or alkyl and R5 = H, alkyl, or halo; or R4 and R5 together with the carbon atoms to which they are attached = 5- or 6-membered carbocyclic ring; X1 and X2 = independently O, S, or NR"; R" = H, alkyl, alkenyl, or alkynyl; Y = divalent (hetero)aryl; R6 = H, :O, or alkyl; m = 1-4; R7 = pyridyl, pyrimidyl, (alkyl)imidazolyl, or (alkyl)triazolyl], and pharmaceutically acceptable salts thereof, were prepared for the treatment or prevention of cancer. I have a different pattern of activity to known chemotherapeutic agents, which operate via inhibition of thymidylate synthase (TS), and are thought to act via a new, non-folate dependent locus like that of CB30865. For example, hydrolysis of the 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu ester (multi-step preparation given) with TFA in CH2C12, followed by amidation with 3-(aminomethyl)pyridine in DMF using PyBOP® in the presence of diisopropylethylamine, gave II (70%). II inhibits TS poorly compared to the known anticancer agent CB3717 (IC50 II / IC50 CB3717 > 2500). However, II (CB300919) was active against the W1L2 and W112:C1 cell lines, including W1L2 cells incubated in the presence of folate metabolites, with IC50 values of 0.49 nM, 0.28 nM, and 0.32 nM, resp. In a test against W1L2:R865, a CB30865 resistant cell line, II showed decreased activity with an IC50 of 13,000 nM. In addition, II demonstrated antitumor activity against CH1 ovarian and HT29 colon cancer cells in nude mice at doses that were tolerated.

IT **289715-28-2P**, CB 300919
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticancer agent; preparation of anticancer 6-[[N-(4-carbamoylphenyl)-N-(prop-2-ynyl)amino]methyl]-3,4-dihydroquinazolin-4-ones by hydrolysis and amidation of 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu esters)

RN 289715-28-2 HCAPLUS

CN Benzamide, 4-[[[7-chloro-3,4-dihydro-3-methyl-2-[(4-methyl-1-piperazinyl)methyl]-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

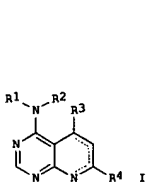


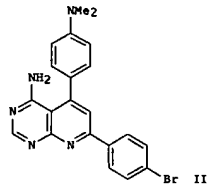
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 57 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:277982 HCAPLUS
DOCUMENT NUMBER: 132:308351
TITLE: Preparation of 5,7-disubstituted-4-aminopyrido[2,3-d]pyrimidines as adenosine kinase inhibitors
INVENTOR(S): Bhagwat, Shripad S.; Lee, Chih-hung; Cowart, Marlon D.; Mckie, Jeffrey A.; Grillot, Anne Laure; Stewart, Andrew O.; Zheng, Guo Zhu; Perner, Richard J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 411 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023444	A1	20000427	WO 1999-US24901	19991021
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1998-176521 A	19981021
OTHER SOURCE(S):	MARPAT 132:308351			

GI


I


II

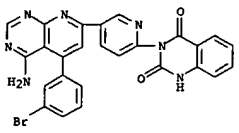
AB The title compds. [I; R1, R2 = H, alkenyl, alkoxyalkyl, alkoxycarbonyl, etc.; NR1R2 = 5-7 membered ring containing 1-2 addnl. heteroatoms selected from O, N and S; R3 = alkenyl, alkyl, alkynyl, etc.; R4 = alkenyl, alkoxyalkynyl, alkyl, etc.] which inhibit adenosine kinase and therefore are useful in treating cerebral ischemia, epilepsy, nociperception, inflammation and sepsis, were prepared E.g., a 2-step synthesis of II was presented. Biol. data for compds. I were given.

IT **265104-95-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5,7-disubstituted-4-aminopyrido[2,3-d]pyrimidines as adenosine kinase inhibitors)

RN 265104-95-8 HCAPLUS

L5 ANSWER 57 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN 2,4(1H,3H)-Quinazolinedione, 3-[5-[4-amino-5-(3-bromophenyl)pyrido[2,3-d]pyrimidin-7-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



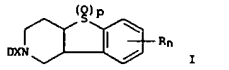
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

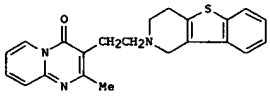
L5 ANSWER 58 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:241234 HCAPLUS
DOCUMENT NUMBER: 132:265188
TITLE: Benzothieno[3,2-c]pyridines as α2-antagonists
INVENTOR(S): Kennis, Ludo Edmond Josephine; Pieters, Serge Maria Aloysius; Bischoff, Francois Paul
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020422	A1	20000413	WO 1999-EP7418	19991001
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2346084	AA	20000413	CA 1999-2346084	19991001
AU 9960899	A1	20000426	AU 1999-60899	19991001
AU 760226	B2	20030508		
BR 9913110	A	20010508	BR 1999-13110	19991001
EP 1117667	A1	20010725	EP 1999-947469	19991001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100954	T2	20011221	TR 2001-200100954	19991001
EE 200100206	A	20020617	EE 2001-206	19991001
JP 2002526546	T2	20020820	JP 2000-574534	19991001
NZ 509911	A	20020927	NZ 1999-509911	19991001
RU 2222542	C2	20040127	RU 2001-111753	19991001
BG 105331	A	20011130	BG 2001-105331	20010312
BG 64625	B1	20050930		
NO 2001001309	A	20010315	NO 2001-1309	20010315
US 6426350	B1	20020730	US 2001-806432	20010330
US 2002169178	A1	20021114	US 2002-123338	20020416
US 6774129	B2	20040810		
PRIORITY APPLN. INFO.:			EP 1998-203363 A	19981006
			WO 1999-EP7418 W	19991001
			US 2001-806432 A3	20010330
OTHER SOURCE(S):	MARPAT 132:265188			

GI

L5 ANSWER 58 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)


I

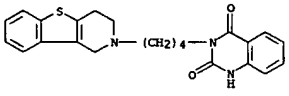

II

AB Title compds. (I; D = heterocyclic group; X = C1-C6 alkanediyl; n = 1, 2; p = 0, 1, 2; R = H, halo, alkyl, NO2, OH, alkoxy) were prepared as central α2-adrenoceptor antagonists. Thus, II was prepared in 47% yield as the (E)-2-butenedioate (2:1) by stirring and refluxing overnight a mixture of 0.009 mol 1,2,3,4-tetrahydrobenzothieno[3,2-c]pyridine, 0.011 mol 3-(2-chloroethyl)-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one, 0.23 mol Na2CO3, and a catalytic amount of KI in MeCOCH2CHMe2. The products had IC50 values of at least 10-6 M in receptor binding tests with 3H-rauwolscine in Chinese hamster ovary cells expressing human α2A, α2B, α2C.

IT **263364-06-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzothieno[3,2-c]pyridines as α2-antagonists)

RN 263364-06-3 HCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[4-(3,4-dihydro[1]benzothieno[3,2-c]pyridin-2(1H)-yl)butyl]- (9CI) (CA INDEX NAME)



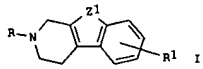
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 59 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:241232 HCAPLUS
DOCUMENT NUMBER: 132:265187
TITLE: Preparation of heteroannelated piperidines as α2-adrenoceptor antagonists
INVENTOR(S): Kennis, Ludo Edmond Josephine; Van Den Keybus, Frans Maria Alfons; Mertens, Josephus Carolus
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020421	A2	20000413	WO 1999-EP7419	19991001
WO 2000020421	A3	20000803		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2345622	AA	20000413	CA 1999-2345622	19991001
AU 9963341	A1	20000426	AU 1999-63341	19991001
AU 760502	B2	20030515		
BR 9913507	A	20010605	BR 1999-13507	19991001
EP 1119571	A2	20010801	EP 1999-950627	19991001
EP 1119571	B1	20030219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100952	T2	20011022	TR 2001-200100952	19991001
EE 200100209	A	20020617	EE 2001-209	19991001
JP 2002526545	T2	20020820	JP 2000-574533	19991001
NZ 510115	A	20021126	NZ 1999-510115	19991001
AT 232869	E	20030315	AT 1999-950627	19991001
PT 1119571	T	20030630	PT 1999-950627	19991001
ES 2193751	T3	20031101	ES 1999-950627	19991001
CN 1131230	B	20031217	CN 1999-811682	19991001
RU 2230744	C2	20040620	RU 2001-111812	19991001
BG 105332	A	20011130	BG 2001-105332	20010312
NO 2001001270	A	20010313	NO 2001-1270	20010313
US 6495555	B1	20021217	US 2001-806547	20010330
HK 1038010	A1	20030523	HK 2001-108631	20011210
PRIORITY APPLN. INFO.:			EP 1998-203370 A	19981006
			WO 1999-EP7419 W	19991001

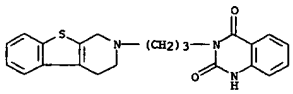
OTHER SOURCE(S): MARPAT 132:265187
GI

L5 ANSWER 59 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB Title compds. [I; R = Z2R2; R1 = H or 1-2 of halo, OH, NO2, alkyl(oxy); R2 = pyrimidinonyl, dioxopurinyl, 2-oxo-2H-1-benzopyran-3-yl, C6H4(OPh)-4, etc.; Z1 = O or SOO-2; Z2 = alkylene] were prepared Thus, I (R1 = H, Z1 = S)(II; R = H) was condensed with 7-(2-chloroethyl)-1,3-dimethyl-7H-purine-2,6-(1H,3H)-dione to give II [R = 1,3-dimethyl-7H-purine-2,6-(1H,3H)-dion-7-ylethyl]. Data for biol. activity of I were given.
IT **263543-78-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroannelated piperidines as α2-adrenoceptor antagonists)
RN 263543-78-8 HCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[3-(3,4-dihydro[1]benzothieno[2,3-c]pyridin-2(1H)-yl)propyl]- (9CI) (CA INDEX NAME)

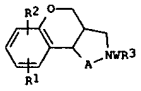


L5 ANSWER 60 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:220730 HCAPLUS
DOCUMENT NUMBER: 132:265205
TITLE: Preparation of benzopyranopyrrolylalkylpyridothienopyrimidinediones and related compounds as α1 adrenergic antagonists.
INVENTOR(S): Meyer, Michael D.; Altenbach, Robert J.; Basha, Fatima Z.; Carroll, William A.; Drizin, Irene; Kerwin, James F., Jr.; Wendt, Michael D.; Haight, Anthony R.; Zhang, Weijiang
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 761,423.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6046207	A	20000404	US 1997-980130	19971126
US 5891882	A	19990406	US 1997-975979	19971121
CA 2272330	AA	19980611	CA 1997-2272330	19971204
WO 9824791	A1	19980611	WO 1997-US22279	19971204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9855169	A1	19980629	AU 1998-55169	19971204
AU 735764	B2	20010712		
ZA 9710926	A	19980916	ZA 1997-10926	19971204
EP 942911	A1	19990922	EP 1997-951555	19971204
EP 942911	B1	20011017		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1246861	A	20000308	CN 1997-181622	19971204
CN 1130365	B	20031210		
BR 9713682	A	20000328	BR 1997-13682	19971204
AT 207071	E	20011115	AT 1997-951555	19971204
JP 2002504088	T2	20020205	JP 1998-525814	19971204
PT 942911	T	20020429	PT 1997-951555	19971204
ES 2168682	T3	20020616	ES 1997-951555	19971204
SK 283580	B6	20030911	SK 1999-717	19971204
TW 517058	B	20030111	TW 1997-86118399	19971206
NO 9902661	A	19990730	NO 1999-2661	19990602
MX 9905241	A	20000131	MX 1999-5241	19990604
KR 2000057418	A	20000915	KR 1999-704990	19990604
BG 63975	B1	20030829	BG 1999-103553	19990705
PRIORITY APPLN. INFO.:			US 1996-761423 A2	19961206
			US 1997-980130 A	19971126
			WO 1997-US22279 W	19971204

OTHER SOURCE(S): MARPAT 132:265205
GI

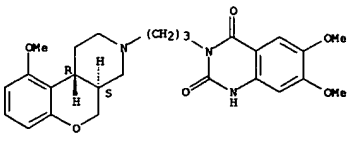
L5 ANSWER 60 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB Title compds. [I; R1, R2 = H, alkyl, alkenyl, alkynyl, alkoxyalkyl, alkoxy, alkoxycarbonyl, OH, hydroxyalkyl, CO2H, carboxyalkyl, halo, NO2, amino, aminoalkyl; A = CH2, CH2CH2; W = alkylene; R3 = specified fused dioxoazinyl], were prepared Thus, Me 3-aminothieno[3,2-b]pyridine-2-carboxylate and Et3N in THF were treated with COCl2 in PhMe; after 2 h, (3aR,9bR)-cis-2-(4-aminobutyl)-9-methoxy-1,2,3,3a,4,9b-hexahydro[1]benzopyrano[3,4-c]pyrrole (preparation given) was added followed by
4 h stirring to give the urea. The latter was refluxed 18 h to give 57% 3-[4-[(3aR,9bR)-cis-9-methoxy-1,2,3,3a,4,9b-hexahydro[1]benzopyrano[3,4-c]pyrrol-2-yl]butyl]pyrido[2',3':4,5]thieno[3,2-d]pyrimidine-2,4(1H,3H)dione hydrochloride. This antagonized α1 receptors in dog prostate with pA2 = 8.69.
IT **208993-19-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzopyranopyrrolylalkylpyridothienopyrimidinediones and related compds. as α1 adrenergic antagonists)
RN 208993-19-5 HCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-dimethoxy-3-[3-[(4aR,10bS)-1,4a,5,10b-tetrahydro-10-methoxy-2H-[1]benzopyrano[3,4-c]pyridin-3(4H)-yl]propyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 61 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:175749 HCAPLUS
DOCUMENT NUMBER: 130:218317
TITLE: AMPA antagonists for the treatment of dyskinesias associated with dopamine agonist therapy
INVENTOR(S): Chenard, Bertrand Leo; Menniti, Frank Samuel; Welch, Willard McKowan, Jr.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 900568	A2	19990310	EP 1998-307181	19980904
EP 900568	A3	20010502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 11158072	A2	19990615	JP 1998-245269	19980831
JP 2001316267	A2	20011113	JP 2001-134816	19980831
AU 9883120	A1	19990318	AU 1998-83120	19980904
AU 736254	B2	20010726		
NZ 331741	A	20000825	NZ 1998-331741	19980904
US 6136812	A	20001024	US 1998-148974	19980904
ZA 9808139	A	20000322	ZA 1998-8139	19980907
CA 2246839	AA	19990305	CA 1998-2246839	19980908
CA 2246839	C	20021112		

PRIORITY APPLN. INFO.: US 1997-58098P P 19970905
JP 1998-245269 A3 19980831

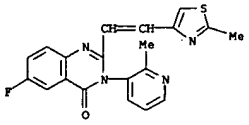
OTHER SOURCE(S): MARPAT 130:218317

AB The invention relates to a method of treating dyskinesias associated with dopamine agonist therapy in a mammal which comprises administering to said mammal a compound, as defined herein, which is an antagonist of the AMPA receptor. Dopamine agonist therapy, as referred to in the present invention, is generally used in the treatment of a central nervous system disorder such as Parkinson's disease. One example compound of the 212 claimed was (S)-3-(2-chlorophenyl)-2-[2-(5-diethylaminomethyl-2-fluorophenyl)vinyl]-6-fluoro-3H-quinazolin-4-one.

IT **199656-00-3**
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(AMPA antagonists for treatment of dyskinesias associated with dopamine agonist therapy)

RN 199656-00-3 HCAPLUS
CN 4(3H)-Quinazolinone, 6-fluoro-3-(2-methyl-3-pyridinyl)-2-[2-(2-methyl-4-thiazolyl)ethenyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 61 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 62 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:8508 HCAPLUS
DOCUMENT NUMBER: 130:25079
TITLE: 4-(4-Piperazinyl)thieno[3,2-c]pyridine-2-carboxamide derivatives for use as serotonin antagonists
INVENTOR(S): McCort, Gary; Hoornaert, Christian; Cadilhac, Caroline
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.
SOURCE: Fr. Demande, 34 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2761068	A1	19980925	FR 1997-3391	19970320
FR 2761068	B1	19990423		

PRIORITY APPLN. INFO.: FR 1997-3391 19970320

OTHER SOURCE(S): MARPAT 130:25079

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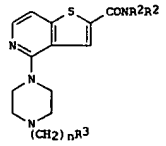


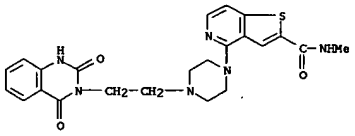
I

AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl; R3 = H, (un)substituted Ph, heterocyclyl; n = 0-3] were prepared for use as serotonin antagonists (no data). Thus, 4-(1-piperazinyl)thieno[3,2-c]pyridine was N-tert-butoxycarbonylated, ethoxycarbonylated, converted to the amide, deblocked, and treated with 3-FC6H4CH2CH2Br to give I [R1, R2 = H, R3 = 3-FC6H4, n = 2].

IT **216511-85-2P**
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylthienopyridinecarboxamides for use as serotonin antagonists)

RN 216511-85-2 HCAPLUS
CN Thieno[3,2-c]pyridine-2-carboxamide, 4-[4-[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]-1-piperazinyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 62 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

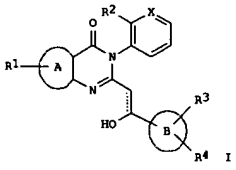


●2 HCl

L5 ANSWER 63 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:3412 HCAPLUS
DOCUMENT NUMBER: 130:66508
TITLE: Quinazolin-4-one AMPA antagonists
INVENTOR(S): Chenard, Bertrand Leo; Welch, Willard McKowan, Jr.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

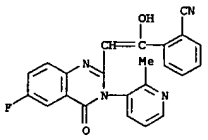
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 884316	A1	19981216	EP 1998-304522	19980609
EP 884316	B1	20020904		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6060479	A	20000509	US 1998-79419	19980514
CA 2239705	AA	19981209	CA 1998-2239705	19980605
CA 2239705	C	20020611		
JP 11079996	A2	19990323	JP 1998-173853	19980608
JP 3591760	B2	20041124		
BR 9803704	A	20000321	BR 1998-3704	19980608
AT 223399	E	20020915	AT 1998-304522	19980609
ES 2181130	T3	20030216	ES 1998-304522	19980609
JP 2004250458	A2	20040909	JP 2004-170019	20040608
PRIORITY APPLN. INFO.:			US 1997-49083P	P 19970609
			JP 1998-173853	A3 19980608

OTHER SOURCE(S): MARPAT 130:66508
GI



AB Preparation of quinazolin-4-one derivs. I [A = benzo- or thieno-fused aromatic ring; B = Ph, pyridyl, thiazolyl, pyrimidyl; X = N, CH; R1 = H, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, cyano, halo, amino, nitro and (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms; R2 = halo, cyano, (C1-C6)alkyl

L5 ANSWER 63 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
optionally substituted with from one to three fluorine atoms, nitro, amino, (C1-C6)alkylthio, (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms, hydroxy, etc.; R3, R4 = H, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, halo, cyano, hydroxy (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms, etc.], AMPA antagonists (no data), are described. E.g., treating 3-(2-chlorophenyl)-6-fluoro-2-methyl-3H-quinazolin-4-one with diisopropylamine/BuLi, followed by Et picolinate, gave 40% 3-(2-chlorophenyl)-6-fluoro-2-(2-hydroxy-2-pyridin-2-ylvinyl)-3H-quinazolin-4-one.
IT **217821-33-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinones as AMPA antagonists)
RN 217821-33-5 HCAPLUS
CN Benzonitrile, 2-[2-[6-fluoro-3,4-dihydro-3-(2-methyl-3-pyridinyl)-4-oxo-2-quinazolinyl]-1-hydroxyethenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 64 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:3410 HCAPLUS
DOCUMENT NUMBER: 130:66507
TITLE: Quinazolin-4-one AMPA antagonists
INVENTOR(S): Chenard, Bertrand Leo; Reinhold, Anthony Ronald; Welch, Willard McKowan
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 884310	A1	19981216	EP 1998-304319	19980601
EP 884310	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6627755	B1	20030930	US 1998-79420	19980514
AT 303997	E	20050915	AT 1998-304319	19980601
ES 2245015	T3	20051216	ES 1998-304319	19980601
CA 2240138	AA	19981209	CA 1998-2240138	19980605
CA 2240138	C	20020820		
JP 11012255	A2	19990119	JP 1998-160821	19980609
JP 3415443	B2	20030609		
BR 9801808	A	20000321	BR 1998-1808	19980609
US 2004049039	A1	20040311	US 2003-640482	20030813
US 6921764	B2	20050726		
PRIORITY APPLN. INFO.:			US 1997-49082P	P 19970609
			US 1997-53274P	P 19970721
			US 1997-50110P	P 19970613
			US 1998-79420	A3 19980514

OTHER SOURCE(S): MARPAT 130:66507
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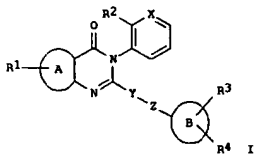
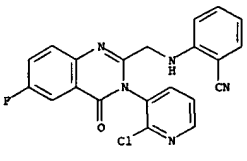


AB Preparation of quinazolin-4-one derivs. I [A = benzo- or thieno-fused aromatic ring; B = Ph, pyridyl, pyrimidyl; X = N, CH; YZ = CH2NH, NHCH2; R1 = H, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, cyano, halo, amino, nitro and (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms; R2 = halo, cyano, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, nitro,

L5 ANSWER 64 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
amino, (C1-C6)alkylthio, (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms, hydroxy, etc.; R3, R4 = H, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, halo, cyano, hydroxy (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms, etc.] and the use of such compds. to treat neurodegenerative, psychotropic, and drug and alc. induced central and peripheral nervous system disorders (no data), are described. E.g., reaction of 3-(2-chloropyridin-3-yl)-6-fluoro-3,4-dihydroquinazolin-4-one-2-carboxaldehyde and anthranilonitrile gave an intermediate imine, which was reduced to give 2-[[3-(2-chloropyridin-3-yl)-6-fluoro-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]amino]benzonitrile.
IT **217962-95-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone as AMPA antagonists)
RN 217962-95-3 HCAPLUS
CN Benzonitrile, 2-[[[3-(2-chloro-3-pyridinyl)-6-fluoro-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]amino]-, (3R)- (9CI) (CA INDEX NAME)

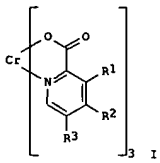


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 65 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:724208 HCAPLUS
DOCUMENT NUMBER: 130:33033
TITLE: Chromium picolinate complexes and pharmaceuticals with hypoglycemic or insulin-lowering effect
INVENTOR(S): Kuroki, Yasuhisa
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10298189	A2	19981110	JP 1997-112682	19970430
PRIORITY APPLN. INFO.:			JP 1997-112682	19970430

OTHER SOURCE(S): MARPAT 130:33033
GI



I

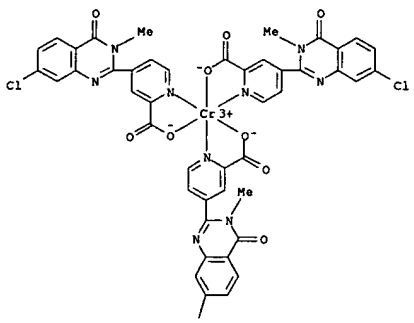
AB Hypoglycemic agents, their compns., or insulin-lowering compns. contain Cr complexes I [R1-R3 = H, lower alkyl, OH, benzoyl, lower alkoxycarbonyl, halo-substituted 3-(lower alkyl)-4(3H)-quinazolin-2-yl; R1 = R2 = R3 ≠ H] and optional carriers. 3-Hydroxypicolinic acid (4.17 g) was treated with 2.66 g CrCl3.6H2O in H2O at 80° for 5 h to give 1.67 g trans-I.1/2H2O (R1 = OH, R2 = R3 = H), which was orally administered to dexamethasone-treated rats to show 5% decrease of blood glucose (at 10 mg/kg dose) and 25% decrease of blood insulin (at 100 mg/kg dose). Formulation examples are given.

IT **216688-19-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of chromium picolinate complexes as hypoglycemic or insulin-lowering agents)

RN 216688-19-6 HCAPLUS
CN Chromium, tris[4-(7-chloro-3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)-2-pyridinecarboxylato-κN1,κO2]- (9CI) (CA INDEX NAME)

L5 ANSWER 65 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



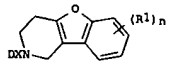
PAGE 2-A

L5 ANSWER 66 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:682394 HCAPLUS
DOCUMENT NUMBER: 129:302654
TITLE: Preparation of 1,2,3,4-tetrahydro-benzofuro[3,2-c]pyridinesas central α2 adrenoceptor antagonists.
INVENTOR(S): Kennis, Ludo Edmond Josephine; Love, Christopher John; Bischoff, Francois Paul
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845297	A1	19981015	WO 1998-EP2136	19980402
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2264598	AA	19981015	CA 1998-2264598	19980402
AU 9874307	A1	19981030	AU 1998-74307	19980402
AU 727599	B2	20001214		
BR 9806263	A	20000404	BR 1998-6263	19980402
JP 2000505115	T2	20000425	JP 1998-542406	19980402
JP 3287577	B2	20020604		
NZ 334501	A	20000623	NZ 1998-334501	19980402
EP 1019408	A1	20000719	EP 1998-921458	19980402
EP 1019408	B1	20040630		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
TR 9900644	T2	20000921	TR 1999-9900644	19980402
EE 3691	B1	20020415	EE 1999-114	19980402
CZ 290008	B6	20020515	CZ 1999-682	19980402
CN 1097053	B	20021225	CN 1998-801286	19980402
AP 1138	A	20030117	AP 1999-1650	19980402
W: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW				
RU 2198175	C2	20030210	RU 1999-107290	19980402
SK 283621	B6	20031007	SK 1999-287	19980402
AT 270294	E	20040715	AT 1998-921458	19980402
ES 2224391	T3	20050301	ES 1998-921458	19980402
ZA 9802969	A	19991007	ZA 1998-2969	19980407
TW 589315	B	20040601	TW 1998-87105162	19980407
NO 9900859	A	19990930	NO 1999-859	19990223
BG 63848	B1	20030331	BG 1999-103213	19990225
KR 2000036076	A	20000626	KR 1999-702086	19990312
US 6156757	A	20001205	US 1999-402593	19991004
PRIORITY APPLN. INFO.:			EP 1997-201045 A	19970408
			WO 1998-EP2136 W	19980402

OTHER SOURCE(S): MARPAT 129:302654
GI

L5 ANSWER 66 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB Title compds. [I; R1 = H, halo, alkyl, NO2, OH, alkyloxy; X = C1-6 alkanediyl; n = 1, 2; D = specified (substituted) mono-, bi-, or tricyclic N-heterocyclyl], were prepared Thus, 3-(2-chloroethyl)-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one was refluxed with 1,2,3,4-tetrahydrobenzofuro[3,2-c]pyridine hydrochloride and KI in 4-methyl-2-pentanone to give 3-[2-[3,4-dihydrobenzofuro[3,2-c]pyridin-2(1H)-yl]ethyl]-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one. The latter inhibited xylazine-induced loss of righting reflex in rats with lowest active dose = 0.08 mg/kg s.c.

IT **214549-32-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,2,3,4-tetrahydrobenzofuro[3,2-c]pyridines as central α2 adrenoceptor antagonists)

RN 214549-32-3 HCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[4-(3,4-dihydrobenzofuro[3,2-c]pyridin-2(1H)-yl)butyl]- (9CI) (CA INDEX NAME)

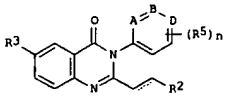
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 67 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:608617 HCAPLUS
DOCUMENT NUMBER: 129:230734
TITLE: Preparation of atropisomers of 3-heteroaryl-4(3H)-quinazolinones for treatment of neurodegenerative and central nervous system trauma related conditions.
INVENTOR(S): Chenard, Bertrand Leo; Devries, Keith Michael; Welch, Willard McKowan, Jr.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9838187	A1	19980903	WO 1998-IB151	19980206
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2282279	AA	19980903	CA 1998-2282279	19980206
CA 2282279	C	20041102		
AU 9857759	A1	19980918	AU 1998-57759	19980206
AU 732448	B2	20010426		
EP 964860	A1	19991222	EP 1998-901424	19980206
EP 964860	B1	20040421		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9807807	A	20000222	BR 1998-7807	19980206
JP 2000509732	T2	20000802	JP 1998-537449	19980206
JP 3299990	B2	20020708		
AT 264854	E	20040515	AT 1998-901424	19980206
PT 964860	T	20040730	PT 1998-901424	19980206
ES 2218801	T3	20041116	ES 1998-901424	19980206
TW 530055	B	20030501	TW 1998-87102713	19980225
ZA 9801665	A	19990817	ZA 1998-1665	19980227
HR 980108	B1	20041031	HR 1998-980108	19980227
NO 9904178	A	19990827	NO 1999-4178	19990827
US 6380204	B1	20020430	US 2000-380114	20000113
PRIORITY APPLN. INFO.:			US 1997-38540P P	19970228
			WO 1998-IB151 W	19980206

OTHER SOURCE(S): MARPAT 129:230734
GI

L5 ANSWER 67 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

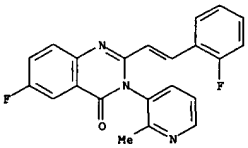


I

AB Title compds. [I; A, B, D = N, CH; n = 1-4; R5 = alkyl, halo, CF3, amino, aminoalkyl, alkoxy, hydroxyalkyl, alkoxyalkyl, CO2H, etc.; R2 = (substituted) Ph, 5-6 membered heterocyclyl; R3 = H, halo, cyano, NO2, CF3, alkyl, alkoxy; dotted line = optional double bond; with provisos], were prepared Thus, 6-fluoro-3-(2-methylpyridin-3-yl)-2-[2-(2-methylthiazol-4-yl)vinyl]-3H-quinazolin-4-one was refluxed with ammonium formate and Pd/C in MeOH overnight to give 47% 6-fluoro-3-(2-methylpyridin-3-yl)-2-[2-(2-methylthiazol-4-yl)ethyl]quinazolin-4-one. The latter was chromatographed on a Chiralcel AD column followed by salification with MeSO3H to give (S)-6-fluoro-3-(2-methylpyridin-3-yl)-2-[2-(2-methylthiazol-4-yl)ethyl]quinazolin-4-one mesylate. Tested I showed IC50<500 nM in a screen of AMPA receptor activation-induced 45Ca2+ uptake.

IT 212710-60-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of atropisomers of heteroarylquinazolinones for treatment of neurodegenerative and central nervous system trauma related conditions)

RN 212710-60-6 HCAPLUS
CN 4(3H)-Quinazolinone, 6-fluoro-2-[2-(2-fluorophenyl)ethenyl]-3-(2-methyl-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)



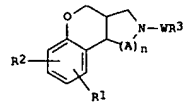
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 68 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:394339 HCAPLUS
DOCUMENT NUMBER: 129:67797
TITLE: Preparation of benzopyranopyrrole and benzopyranopyridine as alpha-1 adrenergic antagonists
INVENTOR(S): Meyer, Michael D.; Altenbach, Robert J.; Basha, Fatima; Carroll, William A.; Drizin, Irene; Kerwin, James F.; Wendt, Michael D.; Haight, Anthony R.; Zhang, Weijiang
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

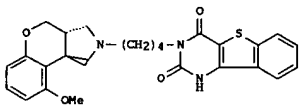
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9824791	A1	19980611	WO 1997-US22279	19971204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6046207	A	20000404	US 1997-980130	19971126
CA 2272330	AA	19980611	CA 1997-2272330	19971204
AU 9855169	A1	19980629	AU 1998-55169	19971204
AU 735764	B2	20010712		
EP 942911	A1	19990922	EP 1997-951555	19971204
EP 942911	B1	20011017		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9713682	A	20000328	BR 1997-13682	19971204
AT 207071	E	20011115	AT 1997-951555	19971204
JP 2002504088	T2	20020205	JP 1998-525814	19971204
SK 283580	B6	20030911	SK 1999-717	19971204
NO 9902661	A	19990730	NO 1999-2661	19990602
MX 9905241	A	20000131	MX 1999-5241	19990604
BG 63975	B1	20030829	BG 1999-103553	19990705
PRIORITY APPLN. INFO.:			US 1996-761423 A	19961206
			US 1997-980130 A	19971126
			WO 1997-US22279 W	19971204

OTHER SOURCE(S): MARPAT 129:67797
GI

L5 ANSWER 68 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I



II

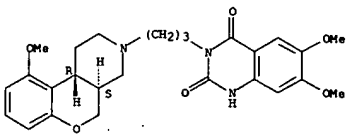
AB The present invention relates to a compound of formula (I; R1, R2 = H, alkyl, alkenyl, alkynyl, alkoxyalkyl, alkoxy, alkoxycarbonyl, OH, hydroxyalkyl, CO2H, carboxyalkyl, halo, NO2, NH2, aminoalkyl; A = CH2; n = 1,2; W = C2-10 alkylene; R3 = a bi- or tricyclic heterocyclic ring system) and the pharmaceutically acceptable salts thereof. The compds. are α-1 adrenergic antagonists and are useful in the treatment of benign prostatic hyperplasia (BPH), bladder outlet obstruction, neurogenic bladder, and uterine smooth muscle contraction; also disclosed are α-1 antagonist compns. and a method for antagonizing α-1 adrenoreceptors and treating BPH, bladder outlet obstruction, neurogenic bladder, and uterine smooth muscle contraction. Thus, a solution of phosgene in toluene was added to a solution of Me 3-aminobenzo[b]thiophene-2-carboxylate and Et3N in THF and allowed to react for 2 h followed by adding (3aS,9bR)-trans-2-(4-aminobutyl)-9-methoxy-1,2,3,3a-hexahydro[1]benzopyrano[3,4-c]pyrrole and the resulting mixture was allowed to react for 4 h to give the title compound (II). In the radioligand binding assay using [3H]prazosin, II showed Ki value of 0.03, 0.018, 0.326, and 0.03 nM for rat 1A, bovine 1a, hamster 1b, and 1d rat α-1a adrenoreceptor, resp., vs. 0.112, 0.195, 0.223, and 0.054 nM, resp., for prazosin.

IT 208993-19-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzopyranopyrrole and benzopyranopyridine as alpha-1 adrenergic antagonists)

RN 208993-19-5 HCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-dimethoxy-3-[3-[(4aR,10bS)-1,4a,5,10b-tetrahydro-10-methoxy-2H-[1]benzopyrano[3,4-c]pyridin-3(4H)-yl]propyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 68 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 69 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:197358 HCAPLUS
DOCUMENT NUMBER: 128:257695
TITLE: Preparation of modified amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compositions
INVENTOR(S): Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PATENT ASSIGNEE(S): Karl Thomae G.m.b.H., Germany
SOURCE: PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811128	A1	19980319	WO 1997-EP4862	19970908
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19636623	A1	19980312	DE 1996-19636623	19960910
DE 19720011	A1	19981119	DE 1997-19720011	19970514
CA 2262818	AA	19980319	CA 1997-2262818	19970908
AU 9741196	A1	19980402	AU 1997-41196	19970908
AU 721035	B2	20000622		
EP 927192	A1	19990707	EP 1997-938928	19970908
EP 927192	B1	20040512		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9712023	A	19990831	BR 1997-12023	19970908
JP 2000505100	T2	20000425	JP 1998-513227	19970908
JP 3483893	B2	20040106		
AT 266673	E	20040515	AT 1997-938928	19970908
EE 4375	B1	20041015	EE 1999-115	19970908
NO 9901130	A	19990505	NO 1999-1130	19990309
KR 2000044040	A	20000715	KR 1999-702008	19990310
BG 64214	B1	20040531	BG 1999-103250	19990315
US 6344449	B1	20020205	US 1999-254281	19991012
HK 1021192	A1	20040430	HK 1999-105722	19991208
US 2001036946	A1	20011101	US 2001-789391	20010221
US 2003069231	A1	20030410	US 2002-119875	20020410
US 2004214819	A1	20041028	US 2004-835495	20040429

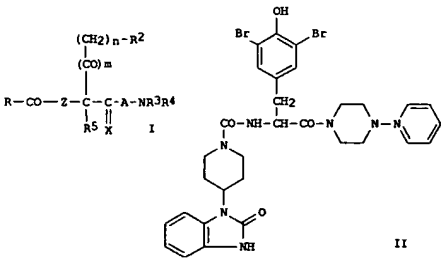
PRIORITY APPLN. INFO.:

DE 1996-19636623	A	19960910
DE 1997-19720011	A	19970514
WO 1997-EP4862	W	19970908
US 1999-254281	A1	19991012
US 2001-789391	A1	20010221
US 2002-119875	B1	20020410

OTHER SOURCE(S): MARPAT 128:257695

L5 ANSWER 69 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

GI



I

II

AB The invention concerns modified amino acids of general formula I [A = bond, CX; Z = CH2, NR1; R1 = H, alkyl, phenyl-alkyl; X = O, H,H; n = 1-2; m = 0-1; R = (substituted)alkyl; R2 = Ph, (substituted)(hetero)(bi)cycle; R3 = H, (substituted)alkyl, Ph, pyridinyl; R4 = H, (substituted)alkyl; R3R4= (hetero)cycle; R5 = H, alkyl, alkoxycarbonyl, PhCH2], pharmaceuticals containing these compds., their use and the method for their production, as well as their use for the production and purification of antibodies and as marked compds. in RIA and ELISA assays and as diagnostic or analytic auxiliary agents in neurotransmitter research. Thus, 3,5-dibromo-NZ-[4-(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-pyridinyl)-piperazine, to give II(22%). Title compds. show human calcitonin gene related peptide (CGRP) antagonist activity; in in-vitro binding studies with SK-N-MC-cells, I had IC50 ≤10000 nM, and in the same system, had CGRP-antagonist activity at doses from 10-11 to 10-6 M.

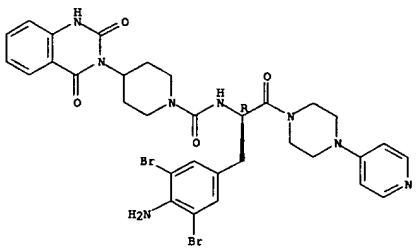
IT **204696-30-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compns.)

RN 204696-30-0 HCAPLUS

CN 1-Piperidinecarboxamide, N-[1-[(4-amino-3,5-dibromophenyl)methyl]-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]-4-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 69 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



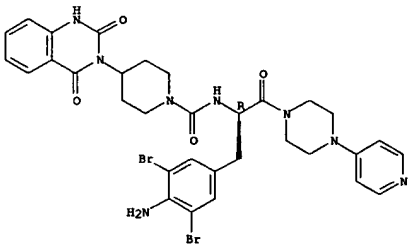
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 70 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:186625 HCAPLUS
DOCUMENT NUMBER: 128:230701
TITLE: Preparation of varied amino acids as calcitonin gene-related peptide antagonists in pharmaceutical compositions
INVENTOR(S): Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PATENT ASSIGNEE(S): Karl Thomae G.m.b.H., Germany
SOURCE: Ger. Offen., 142 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19636623	A1	19980312	DE 1996-19636623	19960910
CA 2262818	AA	19980319	CA 1997-2262818	19970908
WO 9811128	A1	19980319	WO 1997-EP4862	19970908
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9741196	A1	19980402	AU 1997-41196	19970908
AU 721035	B2	20000622		
EP 927192	A1	19990707	EP 1997-938928	19970908
EP 927192	B1	20040512		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9712023	A	19990831	BR 1997-12023	19970908
CN 1230196	A	19990929	CN 1997-197772	19970908
CN 1129605	B	20031203		
JP 2000505100	T2	20000425	JP 1998-513227	19970908
JP 3483893	B2	20040106		
JP 2003300959	A2	20031021	JP 2003-21750	19970908
AT 266673	E	20040515	AT 1997-938928	19970908
EP 1440976	A1	20040728	EP 2004-3959	19970908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PT 927192	T	20040930	PT 1997-938928	19970908
EE 4375	B1	20041015	EE 1999-115	19970908
ES 2221691	T3	20050101	ES 1997-938928	19970908
ZA 9708083	A	19991217	ZA 1997-8083	19970909
HR 970481	B1	20031031	HR 1997-970481	19970909
TW 477792	B	20020301	TW 1997-86113120	19970910
TW 498076	B	20020811	TW 2000-89125839	19970910
NO 9901130	A	19990505	NO 1999-1130	19990309
BG 64214	B1	20040531	BG 1999-103250	19990315
US 6344449	B1	20020205	US 1999-254281	19991012
HK 1021192	A1	20040430	HK 1999-105722	19991208

L5 ANSWER 70 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

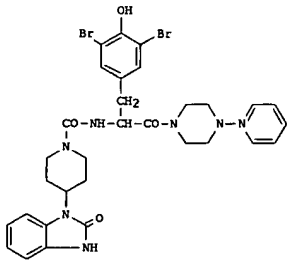


L5 ANSWER 70 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PRIORITY APPLN. INFO.:

DE 1996-19636623	A	19960910
DE 1997-19720011	A	19970514
EP 1997-938928	A3	19970908
JP 1998-513227	A3	19970908
WO 1997-EP4862	W	19970908

OTHER SOURCE(S): MARPAT 128:230701
GI



II

AB Title compds. RCOZCR1R2C(:X)ANR3R4 [(I); R = (substituted) alkyl; R1 = H, alkyl, PhCH2; R2 = (CO)m(CH2)nR5; m = 0, 1; n = 1, 2; R5 = Ph, heterocycle; X = O, (H,H); Z = CH2, NR6; R6 = H, alkyl, phenyl-alkyl; A = bond, proline; R3 = H, substituted alkyl, Ph, pyridinyl; R4 = H, substituted alkyl; NR3R4 = (substituted) heterocycle], useful as calcitonin gene-related peptide (CGRP) antagonists, were prepared Thus, 3,5-dibromo-N2-[4-(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-pyridinyl)-piperazine, to give II (22%). In in-vitro binding studies with human CGRP-receptors, I had IC50 ≤10000 nM; in CGRP-antagonist in vitro tests, I was effective at doses from 10-11 to 10-5 M.

IT **204696-30-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compns.)

RN 204696-30-0 HCAPLUS
CN 1-Piperidinecarboxamide, N-[1-[(4-amino-3,5-dibromophenyl)methyl]-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]-4-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 71 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:752948 HCAPLUS
DOCUMENT NUMBER: 128:34774
TITLE: Preparation of 2,3-disubstituted-4(3H)-quinazolinones as AMPA receptor antagonists.
INVENTOR(S): Elliott, Mark Leonard; Welch, Willard Mckowan, Jr.
PATENT ASSIGNEE(S): Pfizer Inc., USA; Elliott, Mark Leonard; Welch, Willard Mckowan, Jr.
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

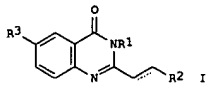
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9743276	A1	19971120	WO 1997-IB134	19970217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2252907	AA	19971120	CA 1997-2252907	19970217
CA 2252907	C	20050517		
AU 9715549	A1	19971205	AU 1997-15549	19970217
AU 730503	B2	20010308		
EP 901487	A1	19990317	EP 1997-901749	19970217
EP 901487	B1	20030604		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
CN 1218464	A	19990602	CN 1997-194654	19970217
CN 1103772	B	20030326		
BR 9709085	A	19990803	BR 1997-9085	19970217
JP 11514663	T2	19991214	JP 1997-540682	19970217
JP 3241388	B2	20011225		
AT 242232	E	20030615	AT 1997-901749	19970217
PT 901487	T	20030829	PT 1997-901749	19970217
ES 2198546	T3	20040201	ES 1997-901749	19970217
SK 284108	B6	20040908	SK 1998-1520	19970217
CZ 295565	B6	20050817	CZ 1998-3526	19970217
TW 539675	B	20030701	TW 1997-86104460	19970408
ZA 9704156	A	19981116	ZA 1997-4156	19970514
HR 970261	A1	20001231	HR 1997-970261	19970515
HR 970261	B1	20030630		
AP 1148	A	20030228	AP 1997-1003	19970515
W: BW, GM, KE, MW, UG, ZM, ZW				
IL 126589	A1	20030624	IL 1997-126589	19971217
NO 9805293	A	19990113	NO 1998-5293	19981113
KR 2000011054	A	20000225	KR 1998-709205	19981114
HK 1019607	A1	20031107	HK 1999-104806	19991027
US 6303615	B1	20011016	US 1999-180732	19991123
CN 1420114	A	20030528	CN 2002-131946	20020905

PRIORITY APPLN. INFO.:

US 1996-17738P	P	19960515
WO 1997-IB134	W	19970217

OTHER SOURCE(S): MARPAT 128:34774
GI

L5 ANSWER 71 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

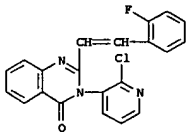


AB Title compds. [I; R1 = (substituted) Ph, pyridyl; R2 = (substituted) Ph, 5-6 membered heterocyclyl; R3 = H, halo, cyano, No2, CF3, alkyl, alkoxy], were prepared Thus, 3-(2-chlorophenyl)-6-fluoro-2-(2-pyridin-2-ylvinyl)-3H-quinazolin-4-one was hydrogenated in EtOAc over Pd/C to give 100% 3-(2-chlorophenyl)-6-fluoro-2-(2-pyridin-2-ylethyl)-3H-quinazolin-4-one. Tested I inhibited AMPA receptor activation-induced 45Ca2+ uptake with IC50 <5 μM.

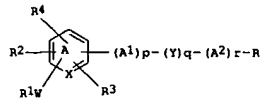
IT **199655-37-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,3-disubstituted-4(3H)-quinazolinones as AMPA receptor antagonists)

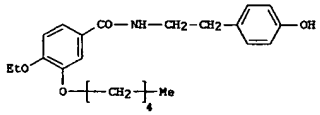
RN 199655-37-3 HCAPLUS

CN 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-2-[2-(2-fluorophenyl)ethenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 72 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



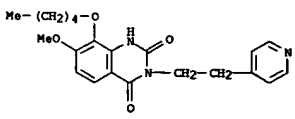


AB The title compds. I [X = CH, N; W = O, etc.; R1 = (un)substituted alkyl, etc.; R2 = H, (un)substituted alkyl, etc.; R3 = H, alkoxy, etc.; R4 = H, or R2 and R4 together form a ring fused to ring A; A1 = CH:CH, CH2CH2, C.tplbond.C; Y = CONR10, NHCONH, etc.; R10 = H, etc.; A2 = alkylene, etc.; R = aryl, etc.; p, q, r = 0 or 1; provisos related to p, q, r are given] are prepared The title compds. act selectively on the peripheral cannabinoid receptors and are useful in the treatment of inflammation, allergy, autoimmune diseases, nephritis, etc. In the in vitro test for affinity for the peripheral cannabinoid receptors, the title compound II showed the Ki value of 1.1 nM. II showed ED50 of 0.5 mg/kg orally in the carrageenin-induced edema test in mice.

IT **194358-85-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamides, cinnamides, and heterocyclic compds. as inflammation and allergy inhibitors)

RN 194358-85-5 HCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-methoxy-8-(pentyloxy)-3-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 72 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:542421 HCAPLUS
DOCUMENT NUMBER: 127:190528
TITLE: Preparation and formulation of benzamides, cinnamides, and heterocyclic compounds as inflammation and allergy inhibitors
INVENTOR(S): Inaba, Takashi; Kaya, Tetsudo; Iwamura, Hiroyuki
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 381 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729079	A1	19970814	WO 1997-JP291	19970206
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2245586	AA	19970814	CA 1997-2245586	19970206
AU 9716186	A1	19970828	AU 1997-16186	19970206
EP 887340	A1	19981230	EP 1997-902594	19970206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6017919	A	20000125	US 1998-117879	19980806
PRIORITY APPLN. INFO.:			JP 1996-20083 A	19960206
			JP 1996-94989 A	19960417
			WO 1997-JP291 W	19970206
OTHER SOURCE(S):	MARPAT 127:190528			

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L5 ANSWER 73 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:310799 HCAPLUS
DOCUMENT NUMBER: 126:293363
TITLE: Preparation of 2-phenylsulfonyl- and 2-(heterocyclylsulfonyl)quinazoline derivatives as chymase inhibitors
INVENTOR(S): Fukami, Harukazu; Ito, Akiko; Niwata, Shinjiro; Kakutani, Saki; Sumida, Motoo; Kiso, Yoshinobu
PATENT ASSIGNEE(S): Suntory Limited, Japan
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711941	A1	19970403	WO 1996-JP2830	19960927
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 795548	A1	19970917	EP 1996-932039	19960927
EP 795548	B1	20020703		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ES 2175127	T3	20021116	ES 1996-932039	19960927
US 5814631	A	19980929	US 1997-849114	19970528
PRIORITY APPLN. INFO.:			JP 1995-285437 A	19950928
			JP 1996-116557 A	19960510
			WO 1996-JP2830 W	19960927
OTHER SOURCE(S):	MARPAT 126:293363			

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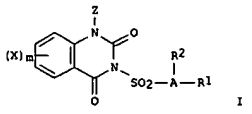


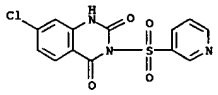
AB Quinazoline derivs. represented by general formula [I; group A = benzene, pyridine, pyrrole, or pyrazole ring; m = 0-2; X = OH, NO2, halo, C1-4 (halo)alkyl, or (halo)alkoxy, C7-12 aralkyloxy; X = group to form a naphthalene or quinoline ring together with the benzene ring to which X is attached; R1, R2 = H, halo, C1-4 (halo)alkyl, NO2, cyano, pyrazolyl, tetrazolyl, C1-4 alkyl, CO2H, allyloxycarbonyl, C1-4 (un)substituted alkoxy; or R1 and R2 together with the benzene ring represent a naphthalene or quinoline ring; Z = H, C1-4 (halo)alkyl, C2-5 alkenyl, (un)substituted aralkyl, aromatic heterocyclylalkyl, C1-4 alkoxycarbonylmethyl, allyloxycarbonylmethyl, (1° or 2° amino)carbonylmethyl, (un)substituted aralkyloxymethyl; proviso given] or pharmacol. acceptable salts thereof are prepared They are useful as preventives/remedies for cardiac and circulatory diseases (e.g. hypertension or heart failure) caused by abnormal overprodn. of angiotensin II. Thus, a quinazolinedione derivative (II; R = H) (preparation given) was condensed with 3-(diethylamino)-1,5-dihydro-2,4,3-

L5 ANSWER 73 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
benzodioxaphosphepine in the presence of tetrazole in DMF, followed by oxidn. with m-chloroperbenzoic acid in CH2Cl2 and hydrogenolysis over 10% Pd-C in dioxane under H atm. to give II [R = P(O)(OH)2]. II (R = H) and II [R = P(O)(OH)2] showed IC50 of 0.060 and 0.025 μM, resp., for inhibiting human heart chymase. The title compds. I also inhibited cathepsin G and chymotrypsin. Formulation examples contg. I were given.

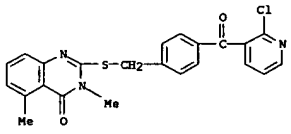
IT **189062-42-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-phenylsulfonyl- and N-(heterocyclylsulfonyl)quinazoline derivs. as chymase inhibitors for treating heart or circulatory diseases)

RN 189062-42-8 HCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-(3-pyridinylsulfonyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 74 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 74 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:685153 HCAPLUS
DOCUMENT NUMBER: 125:328725
TITLE: Preparation of heterocyclic compounds as antitumor agents
INVENTOR(S): Aono, Tetsuya; Marui, Shogo; Itoh, Fumio; Yamaoka, Masuo; Nakao, Masafumi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 145 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733633	A1	19960925	EP 1996-104176	19960315
EP 733633	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5753664	A	19980519	US 1996-614893	19960313
CA 2171932	AA	19960917	CA 1996-2171932	19960315
JP 09095485	A2	19970408	JP 1996-59508	19960315
AT 241625	E	20030615	AT 1996-104176	19960315
PRIORITY APPLN. INFO.:			JP 1995-56869 A	19950316
			JP 1995-191770 A	19950727
OTHER SOURCE(S):	MARPAT 125:328725			

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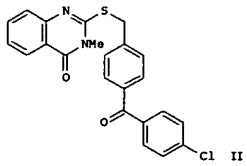

AB RZZ1COR2 [I; R = (un)substituted condensed pyrimidinone or condensed pyridazinone ring (sic); R2 cyclic group; Z = divalent group; Z1 = divalent cyclic group] were prepared Thus, 2-mercapto-3-methyl-4(3H)-quinazolinone was etherified by 4-ClC6H4COC6H4(CH2Br)-4 to give title compound II. Data for in vivo antitumor activity of selected I were given.

IT **183167-05-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as antitumor agents)

RN 183167-05-7 HCAPLUS

CN 4(3H)-Quinazolinone, 2-[[[4-[(2-chloro-3-pyridinyl)carbonyl]phenyl]methyl]thio]-3,5-dimethyl- (9CI) (CA INDEX NAME)

L5 ANSWER 75 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:527667 HCAPLUS
DOCUMENT NUMBER: 125:168015
TITLE: Preparation of quinazolinones as cholecystokinin (CCK) antagonists
INVENTOR(S): Padia, Janak Khimchand
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9620178	A1	19960704	WO 1995-US15918	19951206
W: CA, EE, JP, LT, LV, MX, SI				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6897213	B1	20050524	US 1997-812508	19970307
PRIORITY APPLN. INFO.:			US 1994-364624 A	19941227
			US 1995-545241 A	19951121
OTHER SOURCE(S):	MARPAT 125:168015			

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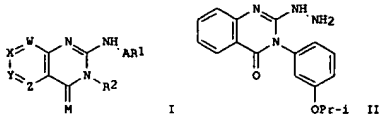


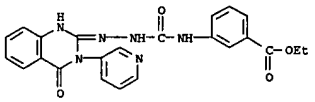
AB The title compds. [I; W, X, Y, Z = (substituted) CH, N and no more than two of them are N; M = O, S; A = (N-substituted) NHCO(CH2)n, NHCOO(CH2)2, NHCONH(CH2)n, etc.; R1, R2 = C1-6 alkyl, (substituted) Ph, heteroaryl, etc.; n = 0-1] with good binding affinity for the CCK-A and CCK-B receptors and useful to suppress appetite, reduce gastric acid secretion and anxiety, to treat gastrointestinal ulcers, psychosis and pain, and to block drug or alc. withdrawal reaction, were prepared Thus, reaction of hydrazine II with 4-BrC6H4NCO in MeCN afforded 47% I [W, X, Y, Z = CH; M = O; A = NHCONH; R1 = 4-BrC6H4; R2 = 3-iPrOC6H4] which showed Ki of 3432 nM against CCK-A and 16.0 nM against CCK-B.

IT **180423-29-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinones as cholecystokinin (CCK) antagonists)

RN 180423-29-4 HCAPLUS

CN Benzoic acid, 3-[[[2-[3,4-dihydro-4-oxo-3-(3-pyridinyl)-2-quinazolinyl]hydrazino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 75 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



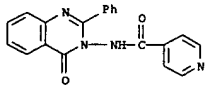
L5 ANSWER 76 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:377710 HCAPLUS
DOCUMENT NUMBER: 125:75356
TITLE: Synthesis of substituted quinazolone derivatives as potential anti-HIV agents. (Part III)
AUTHOR(S): Desai, Nisheeth Chhotalal; Bhatt, Jyotindra Jatashankar; Shah, Bhavesh Ramniklal; Undavia, Navin Keshavlal; Trivedi, Pradip Bhanushankar; Narayanan, Ven
CORPORATE SOURCE: Chief, Drug Synthesis & Chemistry Branch, National Cancer Inst. Executive Plaza North Suite 831, Bethesda, MD, 208922-7448, USA
SOURCE: Farmaco (1996), 51(5), 361-366
CODEN: FRMCE8
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Several 1-[2-phenyl-4(4H)-oxo-3-quinazolinyl]-2-methyl-4-arylidine-5-oxo-imidazolines, 2-phenyl-3-(aroyl amino)-4(4H)-oxo quinazolines and N1-2-methyl-4(4H)-oxo-3-quinazolinyl-N2-aryl-thioureas have been synthesized and tested for anti-HIV activity. Substitution in position 3 of the quinazolone gave compds. with anti-HIV activity in human host cell lines.

IT **6769-20-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and anti-HIV activity of quinazolones)

RN 6769-20-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-(4-oxo-2-phenyl-3(4H)-quinazolinyl)- (9CI) (CA INDEX NAME)



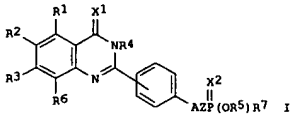
L5 ANSWER 77 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:994818 HCAPLUS
DOCUMENT NUMBER: 124:117591
TITLE: Preparation and formulation of quinazolinonylbenzylphosphonic acid diester derivatives as hypolipemics, antihypertensives, and antidiabetics
INVENTOR(S): Kuroki, Yasuhisa; Miyata, Kazuyoshi; Tsuda, Yoshihiko; Inoue, Yasuhide; Kanaya, Jun; Sato, Keigo
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

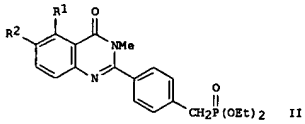
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9524410	A1	19950914	WO 1995-JP303	19950227
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 08143586	A2	19960604	JP 1995-35261	19950223
JP 3533542	B2	20040531		
CA 2184891	AA	19950914	CA 1995-2184891	19950227
CA 2184891	C	20000926		
AU 9518244	A1	19950925	AU 1995-18244	19950227
AU 679344	B2	19970626		
EP 749974	A1	19961227	EP 1995-909996	19950227
EP 749974	B1	20010627		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1147257	A	19970409	CN 1995-192824	19950227
CN 1066739	B	20010606		
AT 202567	E	20010715	AT 1995-909996	19950227
TW 379225	B	20000111	TW 1995-84102161	19950307
US 5798344	A	19980825	US 1996-704740	19960905
PRIORITY APPLN. INFO.:			JP 1994-37361 A	19940308
			JP 1994-126526 A	19940608
			JP 1994-251484 A	19940919
			WO 1995-JP303 W	19950227

OTHER SOURCE(S): MARPAT 124:117591
GI

L5 ANSWER 77 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

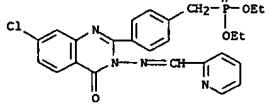


II

AB The title compds. I [R1, R2, R3 and R6 represent each independently hydrogen, lower alkyl, halogen, nitro, etc.; R4 represents Ph, lower alkyl, phenylalkyl, etc.; R5 represents lower alkyl; R7 represents lower alkoxy, hydroxy, Ph, or phenylated lower alkoxy or lower alkylamino wherein the Ph group may be halogenated; X1 and X2 represent each oxygen or sulfur; A represents oxygen or a single bond; and Z represents lower alkylene] are prepared The title compound II [R1 = F; R2 = H] at 100 mg/Kg orally decreased blood glucose in rats by 50%. The title compound II [R1 = H; R2 = Br] at 100 mg/Kg orally decreased plasma triglycerides in rats by 35%.

IT **173019-02-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinonylbenzylphosphonic acid diester derivs. as hypolipemics, antihypertensives, and antidiabetics)

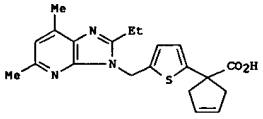
RN 173019-02-8 HCAPLUS
CN Phosphonic acid, [[4-(7-chloro-3,4-dihydro-4-oxo-3-[(2-pyridinylmethylene)amino]-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 78 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:801419 HCAPLUS
DOCUMENT NUMBER: 123:198800
TITLE: Preparation of [(azacyclomethyl)heterocyclyl]alkanoate s and analogs as angiotensin II receptor antagonists
INVENTOR(S): Carpino, Philip A.; Larson, Eric R.; Mylari, Banavara L.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9502596	A1	19950126	WO 1994-IB187	19940701
W: AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, KZ, LV, NO, NZ, PL, RO, RU, SK, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9469794	A1	19950213	AU 1994-69794	19940701
FI 9403359	A	19950116	FI 1994-3359	19940714
BR 9500208	A	19970114	BR 1995-208	19950113
US 5789415	A	19980804	US 1996-569133	19960111
PRIORITY APPLN. INFO.:			US 1993-92349 A	19930715
			WO 1994-IB187 W	19940701

OTHER SOURCE(S): MARPAT 123:198800
GI



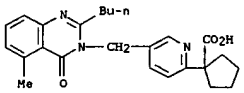
II

AB RCH2ZCR1R2R3 [I; R = azacyclyl group; R1,R2 = H, OH, alkyl, Ph, etc.; R1R2 = atoms to complete a (heterocyclic) ring; R3 = CHO, CO2H, CH2OH, tetrazolyl, etc.; Z = naphthylene, heterocyclylene, etc.] were prepared Thus, Et 2-thienylacetate was cyclocondensed with cis-ClCH2CH:CHCH2Cl and the product formylated to give, in 2 addnl. steps, Et 1-(5-chloromethyl-2-thienyl)cyclopent-3-enecarboxylate which was condensed with 2-ethyl-5,7-dimethylimidazo[4,5-b]pyridine to give, after saponification, title compound II. I had IC50 of ≤10-5M against SARILE AII binding at rat liver preparation in vitro.

IT **167984-69-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L5 ANSWER 78 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of [(azacyclomethyl)heterocyclyl]alkanoates and analogs as angiotensin II receptor antagonists)

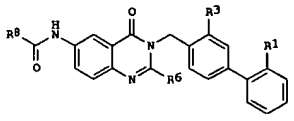
RN 167984-69-2 HCAPLUS
CN Cyclopentanecarboxylic acid, 1-[5-[(2-butyl-5-methyl-4-oxo-3(4H)-quinazolinyl)methyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 79 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:795409 HCAPLUS
DOCUMENT NUMBER: 124:29780
TITLE: Substituted quinazolinones bearing acidic functional groups as angiotensin II antagonists
INVENTOR(S): Chakravarty, Prasun K.; De, Laszlo Stephen E.; Glinka, Tomasz W.; Greenlee, William J.; Mantlo, Nathan B.; Patchett, Arthur A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 24 pp. Cont.-in-part of U.S. 5,238,942.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5441959	A	19950815	US 1993-108465	19930818
US 5238942	A	19930824	US 1992-867794	19920416
CA 2068229	AA	19921111	CA 1992-2068229	19920508
JP 05155867	A2	19930622	JP 1992-117670	19920511
PRIORITY APPLN. INFO.:			US 1991-698506 B2	19910510
			US 1992-867794 A2	19920416

OTHER SOURCE(S): MARPAT 124:29780
GI



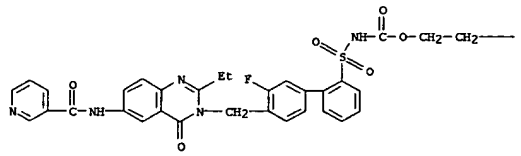
I

AB Novel substituted quinazolinones I or a pharmaceutically acceptable salt thereof, wherein: R1 = SO2NHCO2R23, R3 = e.g., halo; R6 = straight chain C1-4 alkyl; R8 = R23' or NR24R23'; R23 and R23' are independently, e.g., aryl, heteroaryl, C3-7 cycloalkyl; R24 = e.g., H, C1-6 alkyl, aryl; and R23' and R24 when taken together may form a morpholine or piperazine ring, are useful as angiotensin II antagonists (IC50 < 50 μM). Thus, e.g., treatment of sulfonamide I (R8 = iso-PrNH, R6 = Me, R3 = F, R1 = SO2NH2, preparation given) with 3-methylbutyl chloroformate afforded the corresponding I (R1 = SO2NHCO2-3-methylbutyl).

IT **171548-59-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted quinazolinones bearing acidic functional groups as angiotensin II antagonists)

RN 171548-59-7 HCAPLUS
CN Carbamic acid, [[4'-[[2-ethyl-4-oxo-6-[(3-pyridinylcarbonyl)amino]-3(4H)-quinazolinyl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 3-methylbutyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 79 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
PAGE 1-A



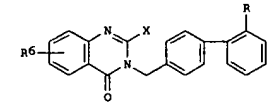
PAGE 1-B

—CHMe2

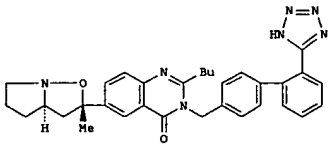
L5 ANSWER 80 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:772565 HCAPLUS
DOCUMENT NUMBER: 123:169645
TITLE: Angiotensin II receptor blocking [(biphenylyl)methyl]quinazolinones.
INVENTOR(S): Levin, Jeremy I.; Venkatesan, Aranapakam M.
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: Eur. Pat. Appl., 132 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 621276	A1	19941026	EP 1994-105285	19940405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5358951	A	19941025	US 1993-52945	19930423
CA 2121897	AA	19941024	CA 1994-2121897	19940421
JP 07025870	A2	19950127	JP 1994-106216	19940422
PRIORITY APPLN. INFO.:			US 1993-52945 A	19930423

OTHER SOURCE(S): MARPAT 123:169645
GI



I

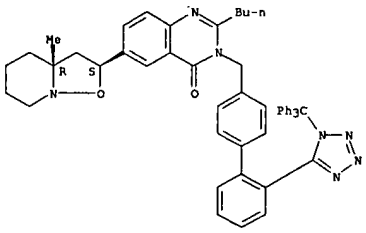


II

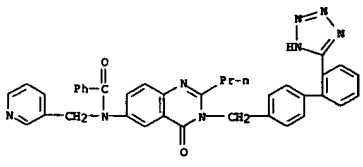
AB The 3-(biphenylylmethyl)-4-quinazolinones I (R = tetrazolyl, carboxy, sulfonylamino; R6 = (un)substituted Ph, etc.) were disclosed as angiotensin II (AII) antagonists. The example compound II was prepared
IT **155995-27-0P**
RL: **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (biphenylylmethyl)quinazolinones angiotensin antagonists)

L5 ANSWER 80 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 155995-27-0 HCAPLUS
CN 4(3H)-Quinazolinone, 2-butyl-6-(hexahydro-3a-methyl-2H-isoxazolo[2,3-a]pyridin-2-yl)-3-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



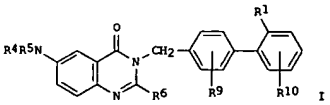
L5 ANSWER 81 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:700798 HCAPLUS
DOCUMENT NUMBER: 123:160067
TITLE: The SAR of 6-(N-alkyl-N-acyl)-2-propyl-3-[(2'-(tetrazol-5-yl)biphen-4-yl)methyl]quinazolinones as balanced affinity antagonists of the human AT1 and AT2 receptors
AUTHOR(S): de Laszlo, Stephen E.; Chang, Raymond S.; Chen, Tsing-Bau; Faust, Kristie A.; Greenlee, William J.; Kivlighn, Salah D.; Lotti, Victor J.; O'Malley, Stacey S.; Schorn, Terry W.; et al.
CORPORATE SOURCE: Department Exploratory Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(13), 1359-64
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:160067
AB Modification of the 6-N-alkyl-N-acyl groups of L-159,689 [6-(N-benzoyl-N-pentyl)-amino-2-propyl-3-[(2'-(tetrazol-5-yl)biphen-4-yl)methyl]quinazolin-4-(3H)one] led to the identification of the 6-(N-benzoyl-N-(3-pyridylmethyl)) analog (L-162,537). L-162,537 had improved aqueous solubility and oral bioavailability in the dog. The SAR of this class of AT1 and AT2 ligands was determined The antihypertensive activity of some of these compds. was determined
IT **167027-99-8P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(structure-activity relations (alkylacyl)propyl[(tetrazolyl)biphenylmethyl]quinazolinones as balanced affinity antagonists of the human angiotensin II AT1 and AT2 receptors)
RN 167027-99-8 HCAPLUS
CN Benzamide, N-[3,4-dihydro-4-oxo-2-propyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 82 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:494627 HCAPLUS
DOCUMENT NUMBER: 123:306582
TITLE: Angiotensin II receptor subtype 2 receptor (AT2) antagonists for inhibition of vascular restenosis, their preparation, and pharmaceutical compositions containing them
INVENTOR(S): Reilly, Christopher F.; DeLaszlo, Stephen E.; Johnson, Robert G.; Fujita, Tsuneo
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9503055	A1	19950202	WO 1994-US7837	19940713
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5409926	A	19950425	US 1993-93833	19930719
AU 9473311	A1	19950220	AU 1994-73311	19940713
PRIORITY APPLN. INFO.:			US 1993-93833 A	19930719
			WO 1994-US7837 W	19940713

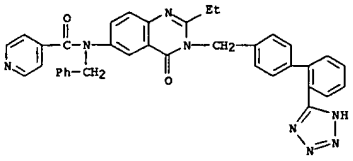
OTHER SOURCE(S): MARPAT 123:306582
GI



I

AB Disubstituted 6-aminoquinazolinones I [R1 = CO2R2 (R2 = H, C1-6 alkyl), tetrazol-5-yl; R4 = (substituted) C1-6 alkyl, C2-6 alkenyl, Ph C1-6 alkyl, heteroaryl C1-6 alkyl; R5 = CO2R7, COR8 (R7 = (substituted) C1-6 alkyl, Ph C1-6 alkyl, heteroaryl C1-6 alkyl; R8 = (substituted) C1-6 alkyl, Ph, heteroaryl, etc.); R6 = H, Me, Et, etc.; R9 = H, F, Cl, Br, I, C1-4 alkyl, C1-6 alkoxy; R10 = H, C1-5 alkyl, Ph] are useful as angiotensin II receptor (subtype 2) antagonists (AT2 antagonists) alone or in combination with heparin, and can act to suppress the vascular stenosis which commonly occurs during the development of atherosclerosis and the restenosis following arterial angioplasty, stent placement, bypass surgery, heart transplantation or endarterectomy. Preparation of selected I is included. The effect of I (R1 = tetrazolyl; R4 = benzyl; R5 = CO-2-thiophene; R6 = Et; R9, R10 = H) (II) on restenosis in the rat was determined Capsule, tablet, suppository, and injection formulations of II are presented.
IT **150484-50-7**
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(angiotensin II receptor subtype 2 receptor antagonists for inhibition

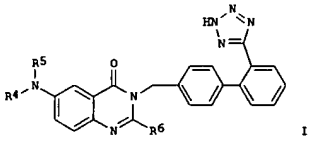
L5 ANSWER 82 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
of vascular restenosis, their prepn., and pharmaceutical compns. contg. them)
RN 150484-50-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[2-ethyl-3,4-dihydro-4-oxo-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 83 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:420519 HCAPLUS
DOCUMENT NUMBER: 122:314564
TITLE: 6-Amino-3-(biphenylylmethyl)quinazolinones as angiotensin II antagonists
INVENTOR(S): De Laszlo, Stephen E.; Glinka, Tomasz W.; Greenlee, William J.; Chakravarty, Prasun K.; Patchett, Arthur A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 37 pp. Cont. of U.S. Ser. No. 912,458, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5385894	A	19950131	US 1994-222354	19940404
PRIORITY APPLN. INFO.:			US 1994-222354	B1 19940404
			US 1992-912458	B2 19920713
			US 1991-665389	19910306

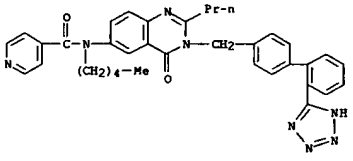
OTHER SOURCE(S): MARPAT 122:314564
GI



I

AB Novel disubstituted 6-aminoquinazolinones I (R4 = e.g., benzyl, Bu, Pr; R5 = e.g., CO2Bu-iso, CO2Me, CO2Pr; R6 = e.g., Bu, Pr) are useful as angiotensin II antagonists. In an antihypertensive screening, I exhibited an activity of IC50 < 50 mM, thereby demonstrating and confirming utility as AII antagonists. Pharmaceutical formulations were given.
IT 150484-36-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(6-amino-3-(biphenylylmethyl)quinazolinones as angiotensin II antagonists)
RN 150484-36-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[3,4-dihydro-4-oxo-2-propyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl]-N-pentyl- (9CI) (CA INDEX NAME)

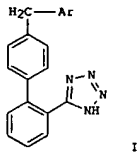
L5 ANSWER 83 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 84 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:397363 HCAPLUS
DOCUMENT NUMBER: 123:276050
TITLE: Angiotensin II (AII) antagonists as inhibitors of the growth of adipose tissue
INVENTOR(S): Crandall, David Leroy
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 635263	A2	19950125	EP 1994-108298	19940530
EP 635263	A3	19950927		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07017862	A2	19950120	JP 1994-164687	19940623
CA 2126709	AA	19941229	CA 1994-2126709	19940624
AU 9465991	A1	19950105	AU 1994-65991	19940627
AU 680659	B2	19970807		
US 5830909	A	19981103	US 1996-684609	19960719
PRIORITY APPLN. INFO.:			US 1993-82562 A	19930628

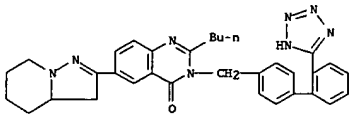
OTHER SOURCE(S): MARPAT 123:276050
GI



I

AB AII receptor-blocking tetrazolylbiphenyl compds. I (Ar = N-containing heterocycle) and related compds. are useful for inhibiting adipocyte AII receptors and thereby reducing adipocyte growth and body weight gain and for treatment of associated diseases, e.g. obesity and noninsulin-dependent diabetes mellitus. Binding characteristics of the adipocyte membrane AII receptors in rats and humans were determined Thus, 2-ethyl-5,7-dimethyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-3H-imidazo[4,5-b]pyridine displaced 125I-labeled [Sar1,Ile8]angiotensin II from rat epididymal fat cell membranes with an IC50 of 2.44 + 10-9M.
IT 155148-44-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(angiotensin II antagonists as inhibitors of growth of adipose tissue)
RN 155148-44-0 HCAPLUS
CN 4(3H)-Quinazolinone, 2-butyl-6-(3,3a,4,5,6,7-hexahydropyrazolo[1,5-a]pyridin-2-yl)-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-

L5 ANSWER 84 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



L5 ANSWER 85 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:4668 HCAPLUS
DOCUMENT NUMBER: 122:10054
TITLE: Preparation of (biphenylylmethyl)quinazolinones as angiotensin II receptor blockers.
INVENTOR(S): Levin, Jeremy I.; Venkatesan, Aranapakam M.
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 31 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5292734	A	19940308	US 1993-52936	19930423

PRIORITY APPLN. INFO.: US 1993-52936 19930423
OTHER SOURCE(S): MARPAT 122:10054
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

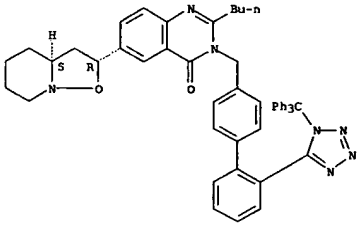
AB Title compds. [I; R = tetrazol-5-yl, CO2H, NHSO2CF3; X = C3-5 alkyl; R6 = Q1, Q2, etc.; R1, R2, R10, R11, R14 = H, (substituted) alkyl, Ph, pyridyl, thienyl, furyl, CO2R7, etc.; R3 = H, alkyl, (substituted) Ph, pyridyl, thienyl, furyl, COR5, CO2R7, etc.; R4 = H, COR5, CO2R7, alkyl, (substituted) Ph, PhCH2, etc.; R5, R7 = H, alkyl; R8 = H, alkyl, (substituted) Ph, COR5; R9 = H, alkyl, (substituted) Ph; A = (CR11R14)m; X1 = O, (CR11R14)n, CO2CONR7; m = 2-5; n = 1-5; m+n ≤6], were prepared Thus, cis-2-butyl-6-(hexahydro-2-methylpyrrolo[1,2-b]isoxazol-2-yl)-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-4(3H)-quinazolinone Na salt was heated with Zn in HOAc/H2O at 65° for 5 h to give title compound II. II at 1 mg/kg i.v. in rats gave 93% inhibition of vasopressor response to angiotensin II at 0.05 μg/kg i.v.

IT **155995-21-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as intermediate for angiotensin II antagonist)

RN 155995-21-4 HCAPLUS
CN 4(3H)-Quinazolinone, 2-butyl-6-(hexahydro-2H-isoxazolo[2,3-a]pyridin-2-yl)-3-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 85 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



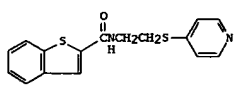
L5 ANSWER 86 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:435336 HCAPLUS
DOCUMENT NUMBER: 121:35336
TITLE: Pyridine derivatives, their production and use as pharmaceuticals
INVENTOR(S): Takatani, Muneo; Saijo, Taketoshi; Tomimatsu, Kiminori
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Can. Pat. Appl., 320 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2068255	AA	19921111	CA 1992-2068255	19920508
EP 522606	A2	19930113	EP 1992-201288	19920507
EP 522606	A3	19930505		
EP 522606	B1	19960403		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
US 5246948	A	19930921	US 1992-880641	19920507
EP 612729	A2	19940831	EP 1994-107873	19920507
EP 612729	A3	19940907		
EP 612729	B1	19970423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
AT 136296	E	19960415	AT 1992-201288	19920507
AT 152102	E	19970515	AT 1994-107873	19920507
JP 05125048	A2	19930521	JP 1992-115871	19920508
US 5389658	A	19950214	US 1993-81181	19930624
US 5457106	A	19951010	US 1994-334221	19941104
US 5561147	A	19961001	US 1995-455170	19950531
US 5767121	A	19980616	US 1996-717022	19960920

PRIORITY APPLN. INFO.:
JP 1991-105691 A 19910510
EP 1992-201288 A3 19920507
US 1992-880641 A3 19920507
US 1993-81181 A3 19930624
US 1994-334221 A3 19941104
US 1995-455170 A3 19950531

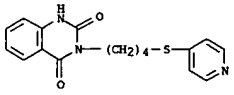
OTHER SOURCE(S): MARPAT 121:35336
GI



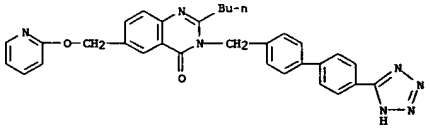
I

AB Pyridines R-X-A-N(R3)-CHR4-Y [R = (un)substituted pyridyl; X = O, S, SO, SO2; A = C1-15 bivalent hydrocarbon residue with (un)substituted branched moiety; Y = O, S; R3 = H, hydrocarbyl; R4 = hydrocarbyl, heterocyclyl; R3R4 joined with (thio)carbamoyl group to form (un)substituted heterocyclyl; R3, R4 independently attached to A to form a ring] and their pharmaceutically acceptable salts were prepared Their immunomodulatory activity or adhesion protein expression inhibitory activity as well as inflammation inhibitory, antipyretic, and analgesic activities are claimed. For example, among specifically claimed compds. is the

L5 ANSWER 86 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
benzothiophenecarboxamide I.
IT **155966-85-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as inflammation inhibitor, antipyretic, analgesic, antiallergic or immunosuppressant)
RN 155966-85-1 HCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[4-(4-pyridinylthio)butyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 87 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

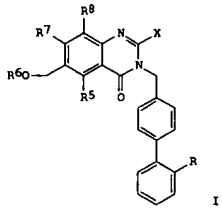


● HCl

L5 ANSWER 87 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:245151 HCAPLUS
DOCUMENT NUMBER: 120:245151
TITLE: Preparation of (tetrazolylbiphenylylmethyl)quinazolinones as angiotensin II antagonists.
INVENTOR(S): Levin, Jeremy I.; Venkatesan, Aranapakam M.
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5286729	A	19940215	US 1993-52935	19930423
PRIORITY APPLN. INFO.:			US 1993-52935	19930423
OTHER SOURCE(S):	MARPAT 120:245151			

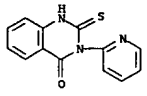
GI



I

AB Title compds (I; R = tetrazol-5-yl; X = alkyl; R5, R7, R8 = H; R6 = pyridinyl, thienyl, furyl), were prepared Thus, 2-butyl-6-[(2-pyridinyloxy)methyl]-3-[[2'-(1-triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl-4(3H)-quinazolinone [preparation via coupling of 2-butyl-6-hydroxymethyl-4(1H)-quinazolinone with 5-[4'-(bromomethyl)[1,1'-biphenyl]-2-yl]-1-(triphenylmethyl)-1H-tetrazole given] was stirred with HCl in Et2O/EtOAc to give 2-butyl-6-[(2-pyridinyloxy)methyl]-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-4(3H)-quinazolinone hydrochloride. The latter at 5 mg/kg i.v. in rats gave 51-92% inhibition of angiotensin II vasopressor response.
IT **154423-22-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as angiotensin II antagonist)
RN 154423-22-0 HCAPLUS
CN 4(3H)-Quinazolinone, 2-butyl-6-[(2-pyridinyloxy)methyl]-3-[[4'-(1H-

L5 ANSWER 88 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:158608 HCAPLUS
DOCUMENT NUMBER: 120:158608
TITLE: Antituberculotics. 67. Antibacterial activity of compounds containing a thioxo-group in the heterocycle
AUTHOR(S): Waisser, K.; Odlerova, Z.; Beckert, R.; Viola, H.; Kuhmstedt, H.
CORPORATE SOURCE: Farm. Fak., Univ. Karlovy, Hradec Kralove, Czech Rep.
SOURCE: Cesko-Slovenska Farmacie (1993), 42(5), 212-13
CODEN: CKFRAY; ISSN: 0009-0530
DOCUMENT TYPE: Journal
LANGUAGE: Czech
AB Nine compds. containing thioxo-group in the heterocycle were evaluated against
Mycobacterium tuberculosis, M. kansasii, M. avium, and M. fortuitum. The most active compds. were quinoxaline derivs. Structure-activity relations are discussed.
IT **119426-81-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(tuberculostatic activity of, structure in relation to)
RN 119426-81-2 HCAPLUS
CN 4(1H)-Quinazolinone, 2,3-dihydro-3-(2-pyridinyl)-2-thioxo- (9CI) (CA INDEX NAME)



L5 ANSWER 89 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:191754 HCAPLUS
DOCUMENT NUMBER: 118:191754
TITLE: Preparation of [[[(tetrazolyl)pyridinyl]phenyl]methyl] quinazolinones as angiotensin II antagonists
INVENTOR(S): Chakravarty, Prasun K.; Maccoss, Malcolm; Mantlo, Nathan; Walsh, Thomas F.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 104 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 512676	A1	19921111	EP 1992-302516	19920324
R: CH, DE, FR, GB, IT, LI, NL				
US 5162325	A	19921110	US 1991-697169	19910507
CA 2063752	AA	19921108	CA 1992-2063752	19920323
JP 06172347	A2	19940621	JP 1992-116639	19920325
PRIORITY APPLN. INFO.:			US 1991-697169 A	19910507

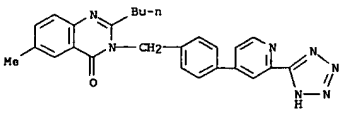
OTHER SOURCE(S): MARPAT 118:191754
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Het = Q1,Q2, etc.; R1 = (substituted) (1-6 alkyl, -Ph, -naphthyl, -heteroaryl, perfluoro-C1-4 alkyl; E = bond, S(O)n(CH2)s, O; n = 0-2; s = 0-5; J1 = CM; J1 and L are connected to form a 6 C (substituted) aromatic ring containing 1 N; K1 = CM; K1 is connected to L in the same ways as defined for J1; M = O, S, NR15; Y1Y2Y3Y4 = N:CR11CR11:CR11, CR11:NCR11:CR11, CR11:CR11N:CR11, CR11:CR11CR11:N, etc.; R9,R10 = H, Cl, Br, F, iodo, NO2, C1-6 alkyl, C1-6 acyloxy, C3-6 cycloalkyl, C1-6 alkoxy, NH2, CF3, etc.; R11 = H, halo, NO2, NH2, C1-4 alkylamino, di-(C1-4 alkyl)amino, CF3, C1-4 alkyl, etc.; Z = CO2R2, SO3R13, NHSO2R14, etc.; R2 = H, C1-6 alkyl, CH2OCOMe, etc.; R13 = H, CH2Ph, etc.; R14 = aryly, heteroaryl, C3-7 cycloalkyl, (substituted) C1-4 alkyl, C1-4 perfluoroalkyl; R15 = H, aryl, (substituted) C1-6 alkyl, heteroaryl, etc.; R16 = (substituted) C1-10 alkyl, C1-4 perfluoroalkyl, (substituted) C3-8 cycloalkyl, etc.] are prepared as angiotensin II antagonists useful as antihypertensives (no data). Thus, ClCO2Ph was added to a solution of 3-cyanopyridine, CuI, and p-tolylmagnesium bromide in THF containing Me2S and the mixture was stirred 30 min to give 1-phenoxycarbonyl-4-(p-tolyl)-3-cyano-1,4-dihydroxypyridine. The latter was refluxed 6 h in decalin containing S8 to give 3-cyano-4-(p-tolyl)pyridine. The latter can be converted in 3 steps to title compound II. Capsules containing II were prepared

IT **145980-92-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

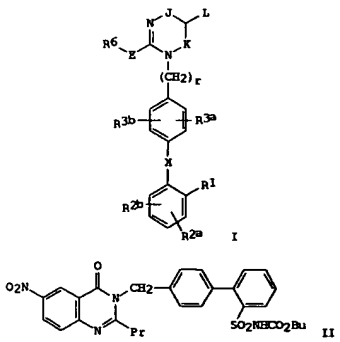
L5 ANSWER 89 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)
RN 145980-92-3 HCAPLUS
CN 4(3H)-Quinazolinone, 2-butyl-6-methyl-3-[[4-[2-(1H-tetrazol-5-yl)-4-pyridinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 90 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:169116 HCAPLUS
DOCUMENT NUMBER: 118:169116
TITLE: Preparation of substituted quinazolinones as angiotensin II antagonists
INVENTOR(S): Chakravarty, Prasun K.; Greenlee, William J.; Mantlo, Nathan B.; Patchett, Arthur A.; Dooseop, Kim; De Laszlo, Stephen E.; Glinka, Tomasz W.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 119 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 512870	A1	19921111	EP 1992-304215	19920511
R: CH, DE, FR, GB, IT, LI, NL				
US 5238942	A	19930824	US 1992-867794	19920416
CA 2068229	AA	19921111	CA 1992-2068229	19920508
JP 05155867	A2	19930622	JP 1992-117670	19920511
PRIORITY APPLN. INFO.:			US 1991-698506 A	19910510
			US 1992-867794 A	19920416

OTHER SOURCE(S): MARPAT 118:169116
GI



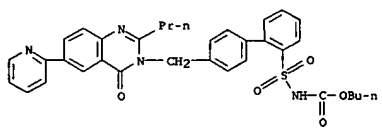
I

II

AB Title compds. I [L is connected with J or K to form an aromatic ring as defined below; J = C:M or J and L are atoms to form a 6 membered (substituted) aromatic ring; K = C:M or K and L are connected to form a

L5 ANSWER 90 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
6-membered (substituted) arom. ring provided that only 1 of J and K is C:M; M = O, NR22; R1 = SO2NR25OR25, SO2NHSO2R23, SO2NHCO2R23, etc.; R2a, R2b = H, halo, NO2, NH2, C2-6 alkyl, CF3, etc.; R3a = H, halo, C1-6 alkyl, C1-6 alkoxy, C1-6 alkoxyalkyl; R3b = H, halo, NO2, C1-6 alkyl, C1-6 acyloxy, C3-7 cycloalkyl, C1-6 alkoxy, etc.; E = bond, NR13(CH2)sS(O)x(CH2)s, CHOH, O, CO; x = 0-2; s = 0-5; R6 = aryl, (substituted) C1-6 alkyl, - C2-5 alkenyl, - C2-5 alkynyl, heteroaryl, C3-7 cycloalkyl, perfluoro-C1-4 alkyl, H; R13 = H, C2-5 alkanoyl, C1-6 alkyl, allyl, C3-6 cycloalkyl, aryl, arylmethyl; R22 = aryl, heteroaryl, (substituted) C1-4 alkyl; R23 = aryl, heteroaryl, C3-7 cycloalkyl, (substituted) C1-6 alkyl, perfluoro-C1-4 alkyl, diarylmethyl; R25 = H, aryl, (substituted) C1-6 alkyl; X = bond, CO, O, S, NR13, OCH2, CH2O, SCH2, CH2S, CF:CH, etc.; r = 1, 2] were prepd. as angiotensin II antagonists useful as antihypertensives (no data). Thus, 6-nitro-2-propyl-3-[(2'-(sulfonamido)biphenyl-4-yl)methyl]quinazolin-4-(3H)-one (prepn. from 6-nitro-2-propylquinazolin-4(1H)-one and 4'-bromomethylbiphenyl-2-tert-butylsulfonamide given) in dry pyridine was treated with dimethylaminopyridine then ClCO2Bu to give title compd. II. Formulations contg. I were prepd.

IT **145863-75-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)
RN 145863-75-8 HCAPLUS
CN Carbamic acid, [[4'-[(4-oxo-2-propyl-6-(2-pyridinyl)-3(4H)-quinazolinyl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-, butyl ester (9CI) (CA INDEX NAME)

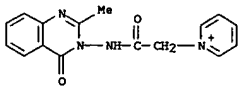


L5 ANSWER 91 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:16185 HCAPLUS
DOCUMENT NUMBER: 118:16185
TITLE: Pharmacological studies on quaternized 4(3H)-quinazolinones
AUTHOR(S): Buyuktimkin, S.; Ekinci, A. C.; Buyuktimkin, N.; Otuk, G.
CORPORATE SOURCE: Fac. Pharm., Univ. Istanbul, Beyazit, 34452, Turk.
SOURCE: Journal of Pharmaceutical Sciences (1992), 81(11), 1092-4
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Locomotor activity-inhibiting, anticonvulsant, muscle relaxant, analgesic, and antimicrobial properties of 2-methyl-3-pyridinium-acetylamino-4(3H)-quinazolinone chloride (I), 2-methyl-3-(4-methylpyridinium)acetylamino-4(3H)-quinazolinone chloride (II), 2-methyl-3-(4-ethylpyridinium)acetylamino-4(3H)-quinazolinone chloride (III), 2-methyl-3-(3-carboxamidopyridinium)acetylamino-4(3H)-quinazolinone chloride (IV), and 2-methyl-3-(4-carboxamidopyridinium)acetylamino-4(3H)-quinazolinone chloride (V) were investigated. The locomotor activity-inhibiting properties and anticonvulsant activity of II were almost equal to those of methaqualone. The analgesic activities of II and III in the hot-plate test were equal to that of aspirin, whereas in the Koster test, the analgesic activity of II was higher. The compds. did not exhibit antimicrobial or muscle relaxant properties. Most active compds. had higher lipophilicity values than those of inactive compds.

IT **111830-33-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(pharmacol. of)

RN 111830-33-2 HCAPLUS
CN Pyridinium, 1-[2-[(2-methyl-4-oxo-3(4H)-quinazolinyl)amino]-2-oxoethyl]-, chloride (9CI) (CA INDEX NAME)

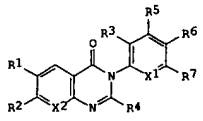


● Cl⁻

L5 ANSWER 92 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:634037 HCAPLUS
DOCUMENT NUMBER: 117:234037
TITLE: Preparation of pyridyl- and phenylquinazolones as anticonvulsants
INVENTOR(S): Dwivedi, Chandradhar; Omodt, Gary W.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9213535	A1	19920820	WO 1991-US788	19910206
W: CA, JP				
PRIORITY APPLN. INFO.:			WO 1991-US788	19910206
OTHER SOURCE(S):	MARPAT 117:234037			

GI



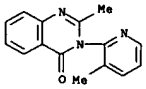
I

AB Title compds. I [X1 = N, S, O, CH2; X2 = N, CH; R1, R2 = H, NO2, NH2 when 1 of R1 and R2 = NO2 or NH2 the other is H; R3, R4 = C1-5 alkyl; R5-R7 = H, halo; X2 = CH when X1 = N, S or O] were prepared as anticonvulsants. Thus, acetanthranil was heated over an open flame with 2-amino-3-picoline to give 2-methyl-3-(3-methyl-2-pyridyl)-4-quinazolone (II) in 58% yield. II at 100 mg/kg i.p. in mice gave 100% protection against pentylenetetrazol-induced convulsions. The LD50 of II was .simeq.1000 mg/kg i.p. in mice.

IT **3214-64-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as anticonvulsant)

RN 3214-64-0 HCAPLUS
CN 4(3H)-Quinazolinone, 2-methyl-3-(3-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

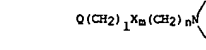
L5 ANSWER 92 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



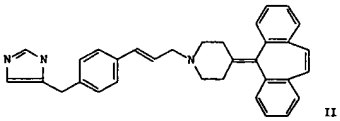
L5 ANSWER 93 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:426350 HCAPLUS
DOCUMENT NUMBER: 117:26350
TITLE: Preparation of piperidine derivatives as antiarrhythmic agents
INVENTOR(S): Hirasawa, Akira; Shoji, Masataka; Yoshimoto, Ryota; Gyotoku, Yuichi; Eguchi, Chikahiko
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 479601	A2	19920408	EP 1991-309103	19911004
EP 479601	A3	19920812		
EP 479601	B1	19991215		
R: DE, FR, GB, IT				
JP 05025044	A2	19930202	JP 1991-254951	19911002
JP 2853404	B2	19990203		
US 5229400	A	19930720	US 1991-770892	19911004
PRIORITY APPLN. INFO.:			JP 1990-269193 A	19901005
OTHER SOURCE(S):	MARPAT 117:26350			

GI



I



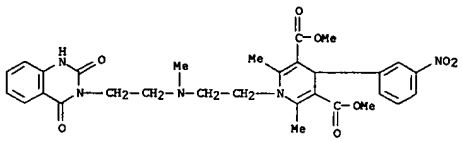
II

AB Title compds. [I; Q = (substituted) Ph, cyclohexyl, piperidinyl, tetrahydropyranyl, pyridyl, (N-methyl)pyrrolyl, thienyl, furyl, hexyl, cyano; X = CO, NHCO, NHCONH, SO2NH, S, O, R1C:CR2, CR3(CN); Y = Ph2C:C, (4-FC6H4)2C:C, 4-FC6H4COCH, PhCH, PhCOCH, etc.; R1, R2 = H, Me, Et, Pr; R3 = H, C1-12 alkyl; aryl; l, m = 0, 1; n = 0-6] were prepared Thus, 4-(N-imidazolylmethyl)cinnamyl alc. was stirred 2 h with SOCl2 in CHCl3 and the product was stirred with 4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidine, K2CO3, and NaI in MeCOCH2CHMe2 at 90° to give title compound II. I inhibited CHCl3-induced arrhythmia/tachycardia in mice with min ED of 10-100 mg/kg i.p.

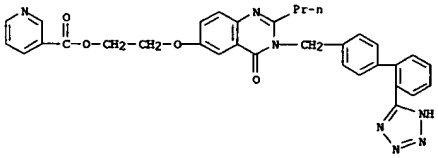
IT **141840-90-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiarrhythmic)

RN 141840-90-6 HCAPLUS

L5 ANSWER 93 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 3,5-Pyridinedicarboxylic acid, 1-[2-[[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]methylamino]ethyl]-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, dimethyl ester (9CI) (CA INDEX NAME)



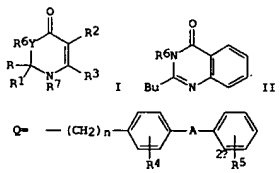
L5 ANSWER 94 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
2'-position, n = 1) showed ≥70% inhibition of pressor action of i.v. angiotensin II in rats receiving 30 mg/kg orally.
IT **137416-88-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as angiotensin II antagonist)
RN 137416-88-7 HCAPLUS
CN 3-Pyridinecarboxylic acid, 2-[[3,4-dihydro-4-oxo-2-propyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 94 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:656219 HCAPLUS
DOCUMENT NUMBER: 115:256219
TITLE: Preparation and formulation of 3-[(tetrazolylbiphenylyl)methyl]-4(3H)quinazolinones and analogs as angiotensin II antagonists
INVENTOR(S): Morimoto, Akira; Nishikawa, Kohei
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 62 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 445811	A2	19910911	EP 1991-103497	19910307
EP 445811	A3	19920115		
EP 445811	B1	19950614		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2037630	AA	19910908	CA 1991-2037630	19910306
CA 2037630	C	20010703		
JP 05155862	A2	19930622	JP 1991-123230	19910306
JP 3114073	B2	20001204		
US 5304565	A	19940419	US 1991-666037	19910307
PRIORITY APPLN. INFO.:			JP 1990-56205 A	19900307
			JP 1990-56206 A	19900307
			JP 1990-71051 A	19900320

OTHER SOURCE(S): MARPAT 115:256219
GI

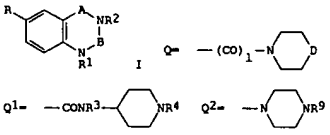


AB The title compds. [I; R = (un)substituted hydrocarbyl optionally bound through a heteroatom; R2, R3 = H, cyano, NO2, CO2H, CONH2, alkyl, alkoxycarbonyl, etc.; R2R3 = atoms to complete an (un)substituted fused benzene ring; Y = N or CH; R6, R7 = Q (wherein A = bond, spacer containing ≤2 atoms in a chain; R4 = H, halo, NO2; R5 = residue capable of forming or convertable to an anion; n = 1, 2), R1R6 = bond or R1R7 = bond] were prepared II (R6 = Q wherein A = bond, R4 = H, R5 = 1H-tetrazol-5-yl in

L5 ANSWER 95 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:553834 HCAPLUS
DOCUMENT NUMBER: 111:153834
TITLE: Preparation of benzoheterocycle derivatives as drugs for treating heart diseases
INVENTOR(S): Tamada, Shigeharu; Fujioka, Takafumi; Ogawa, Hidenori; Teramoto, Shuji; Kondo, Kazumi
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 44 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

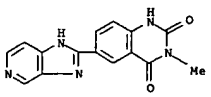
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01061468	A2	19890308	JP 1987-218276	19870901
JP 2544939	B2	19961016		
PRIORITY APPLN. INFO.:			JP 1987-218276	19870901

OTHER SOURCE(S): MARPAT 111:153834
GI

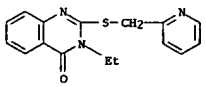


AB The title compds. [I; R = H, Q, Q1, 1H-imidazo[4,5-c]pyridyl; R3 = H, lower alkyl; R4 = phenyl-lower alkyl having 1-3 substituents selected from lower alkoxy, halo, or NO2 on Ph, phenylthio-lower alkyl, (N-lower alkyl) anilino-lower alkyl; l = 0, 1; D = CHNR5, NR7; R5 = H, lower alkyl, etc.; R7 = H, lower alkanoyl, etc.; R1 = H, lower alkyl, (halo)phenyl-lower alkyl, lower alkoxycarbonyl-lower alkyl; R2 = H, lower alkyl, (halo) Ph; A = CH2, CO, CR8; R8 = H, Q2; R9 = H, phenyl-lower alkyl, (lower alkoxy) Bz; provided that when A = CR8, R2 being unsubstituted and one of R and R8 = H; B = CO, CS, CR10; R10 = H, lower alkyl, NH2, pyridyl; provided that when B = CR10, R1 being unsubstituted and A = CO] useful as cardiotonics, etc., were prepared A solution of 0.2 mL CCl3O2CCl in CH2Cl2 was added dropwise under ice-cooling to a solution of 2-aminomethyl-4-[4-(3,4-dimethoxybenzoyl)-1-piperazinyl]aniline 1.1 and Et3N 0.8 g in 30 mL CH2Cl2 and the mixture was stirred 1 h under ice-cooling and 1 h at room temperature
After adding 5 N aqueous NaOH, the mixture was stirred overnight to give 0.35 g
6-[4-(3,4-dimethoxybenzoyl)-1-piperazinyl]-3,4-dihydro-2-quinazoline (II). I at 1 μM, 100 or 300 nM increased 20-85.9% myocardial contractility and 0.5-3.0 mL/min coronary blood flow in dogs. A tablet (200 mg) containing
II 5, starch 132, magnesium stearate 18, and lactose 45 mg was formulated.
IT **122941-56-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic**

L5 ANSWER 95 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiotonic)
RN 122941-56-4 HCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methyl- (9CI) (CA INDEX NAME)



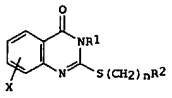
L5 ANSWER 96 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 117038-35-4 HCAPLUS
CN 4(3H)-Quinazolinone, 3-ethyl-2-[[(2-pyridinylmethyl)thio]- (9CI) (CA INDEX NAME)



L5 ANSWER 96 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:590443 HCAPLUS
DOCUMENT NUMBER: 109:190443
TITLE: Preparation, testing, and formulation of 2-(heterocyclylalkyl)quinazolin-4-ones as ulcer inhibitors
INVENTOR(S): Takahashi, Toshihiro; Horaguchi, Tatsuo; Nakamura, Koichi; Suzuki, Yoshikuni
PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 276825	A1	19880803	EP 1988-101148	19880127
EP 276825	B1	19920909		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63295565	A2	19881201	JP 1987-205071	19870820
JP 07107056	B4	19951115		
US 4861780	A	19890829	US 1988-148491	19880126
ES 2044981	T3	19940116	ES 1988-101148	19880127
US 5008266	A	19910416	US 1989-373024	19890726
PRIORITY APPLN. INFO.:			JP 1987-20123 A	19870130
			JP 1987-205071 A	19870820
			US 1988-148491 A3	19880126
OTHER SOURCE(S):	CASREACT 109:190443; MARPAT 109:190443			

GI



I

AB The title compds. [I; R1 = H, C1-6 alkyl, (substituted) aryl, aralkyl; R2 = C1-6 alkylamino, (substituted) Ph, heterocyclyl, geranyl, dipyridylmethylalkyl; X = H, halo, C1-6 alkyl] and pharmaceutically acceptable salts thereof were prepared as ulcer inhibitors. A mixture of NaOMe and 2-chloromethylpyridine.HCl in MeOH was added to 2-mercapto-3-phenyl-4(3H)-quinazolinone in MeOH and the mixt was stirred 2.5 h to give 3-phenyl-2-(2-pyridylmethylthio)-4-(3H)quinazolinone. I gave 40-96% inhibition of indomethacin-induced ulcers in mice at 100 mg/kg orally.
IT **117038-35-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as ulcer inhibitor)

L5 ANSWER 97 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:570453 HCAPLUS
DOCUMENT NUMBER: 109:170453
TITLE: Preparation, testing, and formulation of 2-(aralkylsulfinyl)-4(3H)-quinazolinones as ulcer inhibitors
INVENTOR(S): Takahashi, Toshihiro; Horaguchi, Tatsuo; Nakamaru, Koichi; Suzuki, Yoshikuni
PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 276826	A1	19880803	EP 1988-101149	19880127
EP 276826	B1	19911227		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63301873	A2	19881208	JP 1987-205072	19870820
JP 07049423	B4	19950531		
US 4833144	A	19890523	US 1988-148602	19880126
ES 2038218	T3	19930716	ES 1988-101149	19880127
PRIORITY APPLN. INFO.:			JP 1987-20124 A	19870130
			JP 1987-205072 A	19870820
OTHER SOURCE(S):	MARPAT 109:170453			

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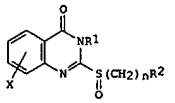
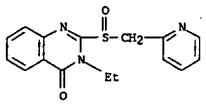


I

AB The title compds. [I; R1 = C1-6 alkyl, (substituted) aryl, aralkyl; R2 = (substituted) Ph, (substituted) 5- or 6-membered heterocyclyl; X = H, C1-6 alkyl, halo; n = 1, 2], useful as ulcer inhibitors, were prepared A solution of NaOMe in MeOH and 2-chloromethylpyridine-HCl were added to 2-mercapto-3-phenyl-4(3H)-quinazolinone in MeOH and the mixture was stirred at room temperature for 2.5 h to give 3-phenyl-2-(2-pyridylmethylthio)-4-(3H)-quinazolinone, which was dissolved in CHCl3 and treated with m-ClC6H4C(O)OOH at ice temperature to give 3-phenyl-2-(2-pyridylmethylsulfinyl)-4(3H)-quinazolinone. The latter at 100 mg/kg orally in mice gave 83% suppression of indomethacin-induced ulcer.
IT **117038-06-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as ulcer inhibitor)
RN 117038-06-9 HCAPLUS
CN 4(3H)-Quinazolinone, 3-ethyl-2-[(2-pyridinylmethyl)sulfinyl]- (9CI) (CA

L5 ANSWER 97 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)

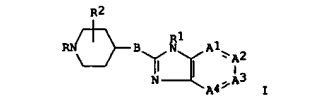


L5 ANSWER 98 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:437821 HCAPLUS
DOCUMENT NUMBER: 109:37821
TITLE: Preparation of 4-[(bicyclic heterocyclyl)methyl]piperidines and analogs as antihistaminics
INVENTOR(S): Janssens, Frans E.; Kennis, Ludo E. J.; Hens, Jozef F.; Torremans, Joseph L. G.; Diels, Gaston S. M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 571,135, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

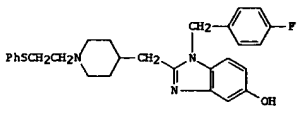
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4695575	A	19870922	US 1985-747754	19850624
ES 539281	A1	19870616	ES 1984-539281	19841231
AU 8537364	A1	19850912	AU 1985-37364	19850107
AU 573673	B2	19880616		
CA 1259609	A1	19890919	CA 1985-471589	19850107
DK 8500089	A	19850710	DK 1985-89	19850108
FI 8500079	A	19850710	FI 1985-79	19850108
FI 83867	B	19910531		
FI 83867	C	19910910		
NO 8500085	A	19850710	NO 1985-85	19850108
NO 160849	B	19890227		
NO 160849	C	19890607		
JP 60185777	A2	19850921	JP 1985-479	19850108
JP 07068240	B4	19950726		
HU 36471	A2	19850930	HU 1985-61	19850108
HU 200338	B	19900528		
ZA 8500187	A	19860827	ZA 1985-187	19850108
RO 90622	B3	19861210	RO 1985-117252	19850108
SU 1396964	A3	19880515	SU 1985-3836858	19850108
IL 74018	A1	19880831	IL 1985-74018	19850108
PL 145710	B1	19881031	PL 1985-251488	19850109
US 4839374	A	19890613	US 1987-94987	19870910
PRIORITY APPLN. INFO.:			US 1984-569369 A2	19840109
			US 1984-671135 A2	19841113
			US 1985-747754 A3	19850624

OTHER SOURCE(S): CASREACT 109:37821
GI

L5 ANSWER 98 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

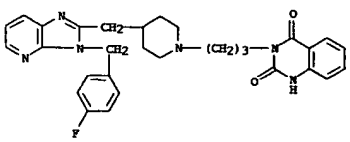


II

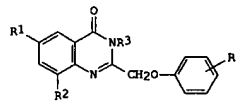
AB The title compds. [I; 3 of A1-A4 = (un)substituted CH, the 4th = N, (un)substituted CH; B = CH2, O, SO, SO2; R = substituted C1-6 alkyl, alkoxy, alkylthio, amino, pyrrolidinyl, piperidinyl, hexahydroazepinyl, etc.; R1 = H, alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, (hetero)aralkyl; R2 = H, alkyl] and their stereoisomers and acid salts were prepared as antihistaminics and serotonin antagonists. 1-[(4-Fluorophenyl)methyl]-2-(4-piperidinylmethyl)-1H-benzimidazol-5-ol and PhSCH2CH2Br were refluxed 2 h in Me2CHCH2COMe containing Na2CO3 to give 27.8% benzimidazole derivative (II). I inhibited compound 48/80-induced lethality in rats, caused by histamine release, with ED50 of 0.005-0.16 mg/kg s.c. or orally. I also inhibited gastric lesions caused by simultaneous release of serotonin.

IT **99953-71-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihistaminic)

RN 99953-71-6 HCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[3-[4-[[3-[(4-fluorophenyl)methyl]-3H-imidazo[4,5-b]pyridin-2-yl]methyl]-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 99 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:174207 HCAPLUS
DOCUMENT NUMBER: 92:174207
TITLE: Pharmacological evaluation of some newer substituted 4-quinazolones as CNS depressant and anticonvulsant agent
AUTHOR(S): Shukla, J. S.; Saxena, Shradha
CORPORATE SOURCE: Chem. Dep., Lucknow Univ., Lucknow, India
SOURCE: Indian Drugs (1980), 17(4), 96-8
CODEN: INDRBA; ISSN: 0019-462X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

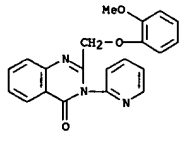


I

AB The i.p. LD50 values of the 14 quinazolones I (R = Cl, MeO, or NO2; R1 = H or Br; R2 = H, Br, I; R3 = pyridyl or thiazolyl) tested in mice were ≥700 mg/kg. Almost all the compds. depressed the behavioral parameters measured. 2-(o-Methoxyphenoxymethyl)-3-(2'-thiazolyl)-4-quinazolone [73342-49-1] gave the best protection against pentylenetetrazol-induced seizures, decreasing the death rate by 60% when injected i.p. at 100 mg/kg 4 h before administration of the convulsant. Structure anticonvulsant activity relations are discussed.

IT **73342-50-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)

RN 73342-50-4 HCAPLUS
CN 4(3H)-Quinazolinone, 2-[(2-methoxyphenoxy)methyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 100 OF 100 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1974:14891 HCAPLUS
DOCUMENT NUMBER: 80:14891
TITLE: Synthesis and pharmacological studies of some quinazolone derivatives
AUTHOR(S): Stefanova, D.; Daleva, L.; Kolchagova, R.; Zhelyazkov, L.
CORPORATE SOURCE: Sci.-Res. Chem.-Pharm. Inst., Sofia, Bulg.
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1973), 7(10), 19-24
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Quinazolones (I; R = o-MeC6H4, cyclohexyl, Ph, p-ClC6H4, p-MeOC6H4, p-EtOC6H4, furfuryl, PhCH2, PhCH2CH2) were prepared by amination of o-AcNHC6H4CO2H with RNH2 in the presence of polyphosphoric acid containing POCl3 and their pharmacol. activity determined Compds. were tested for stimulant activity, as tranquilizers (morphine and phenamine antagonists), for soporific activity, effect on muscle tone, and effect on exptl. convulsions. LD50 (mg/kg, rats) ranged from 320 to 3000. Addnl. compds. prepared and tested were quinazolones [II; R = 3,4,5-(MeO)3-C6H2, p-ClC6H4OCH2, 4-pyridyl, 3-pyridyl].
IT **50840-27-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(pharmacol. activity of)
RN 50840-27-2 HCAPLUS
CN 4-Pyridinecarboxylic acid, 2-(2-methyl-4-oxo-3(4H)-quinazolinyl)ethyl ester (9CI) (CA INDEX NAME)